



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 95235**

**TO: Shahnam J Sharareh**  
**Location: 2b19 / 3d13**  
**Wednesday, June 04, 2003**  
**Art Unit: 1617**  
**Phone: 306-5400**  
**Serial Number: 09 / 899629**

**From: Jan Delaval**  
**Location: Biotech-Chem Library**  
**CM1-1E07**  
**Phone: 308-4498**  
  
**jan.delaval@uspto.gov**

### **Search Notes**

Jan Delaval  
Reference Librarian  
Biotechnology & Chemical Library  
CM1 1E07 - 703-308-4498  
jan.delaval@uspto.gov

=> fil reg

FILE 'REGISTRY' ENTERED AT 07:29:05 ON 04 JUN 2003  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 2 JUN 2003 HIGHEST RN 524673-75-4  
DICTIONARY FILE UPDATES: 2 JUN 2003 HIGHEST RN 524673-75-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNnote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d 145 sqide can tot

L45 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2003 ACS  
RN 250614-40-5 REGISTRY  
CN Indate(2-)-111In, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-  
1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]  
acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-  
phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE  
SQL 10,5,5  
NTE multichain  
cyclic,cyclic  
modified (modifications unspecified)

type	----- location -----	description
bridge	Lys-5 - Lys-5'	covalent bridge, dimer
stereo	Phe-4 -	D
stereo	Phe-4' -	D

SEQ 1 RGDFK  
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HITS AT: 1-4, 5

SEQ 1 RGDFK  
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HITS AT: 1-4, 5

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C75 H108 In N23 O23 . 2 H

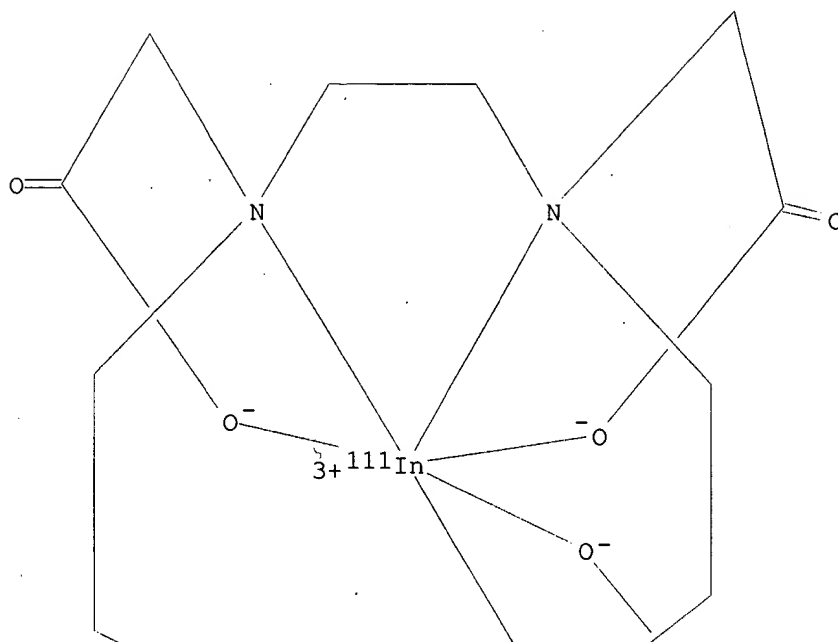
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SR CA

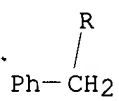
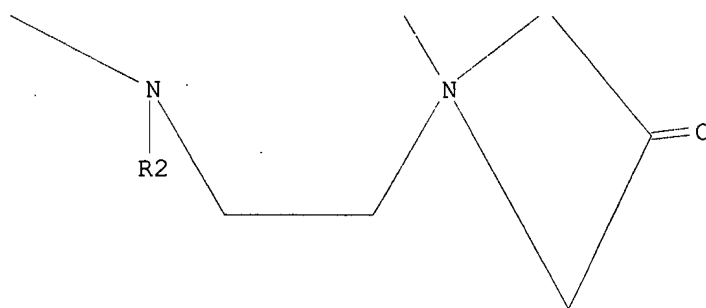
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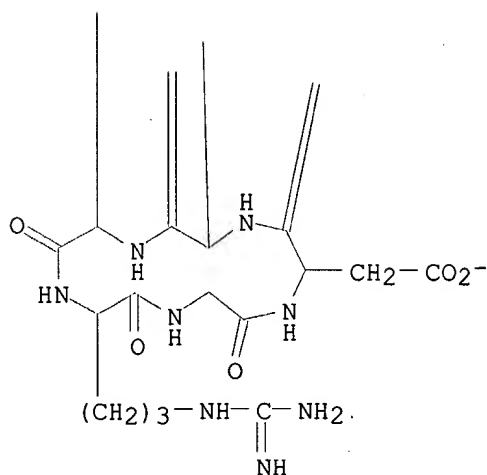
Jan Delaval  
Reference Librarian  
Biotechnology & Chemical Library  
CM1 1E07 - 703-308-4498  
[jan.delaval@uspto.gov](mailto:jan.delaval@uspto.gov)

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PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

5 REFERENCES IN FILE CA (1957 TO DATE)  
 5 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:255514  
 REFERENCE 2: 137:140780  
 REFERENCE 3: 137:109487  
 REFERENCE 4: 136:70083  
 REFERENCE 5: 131:351678

L45 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 250614-39-2 REGISTRY

CN Lutetate(2-)-177Lu, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 10,5,5

NTE multichain

cyclic,cyclic

modified (modifications unspecified)

type	-----	location	-----	description
bridge	Lys-5	-	Lys-5'	covalent bridge, dimer
stereo	Phe-4	-		D
stereo	Phe-4'	-		D

SEQ 1 RGDFK

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HITS AT: 1-4, 5

SEQ 1 RGDFK

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HITS AT: 1-4, 5

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

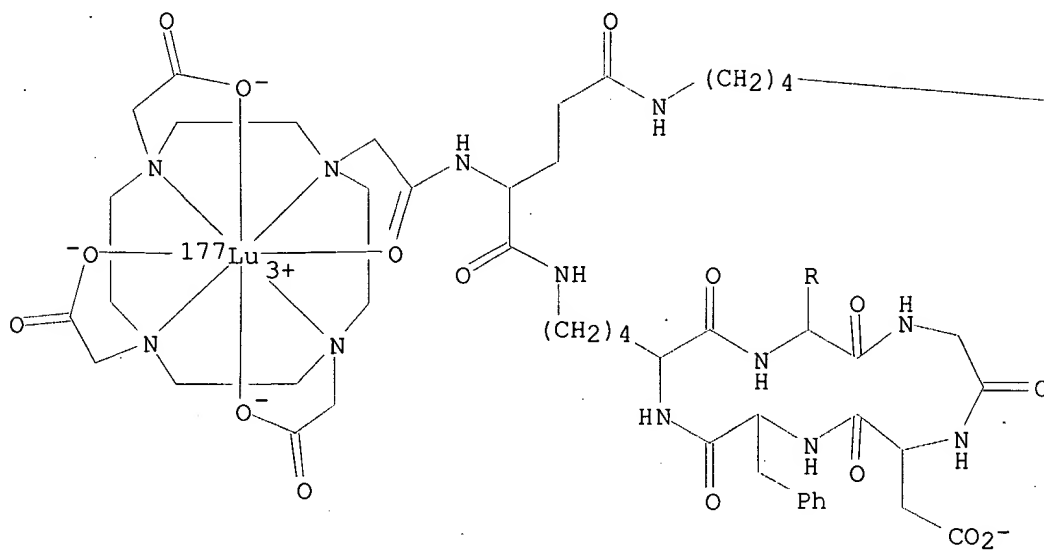
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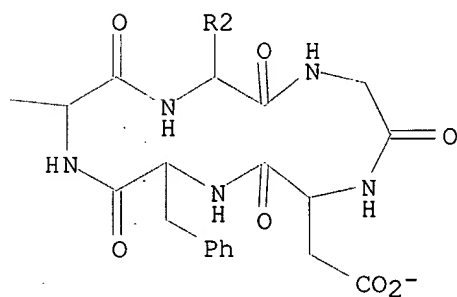
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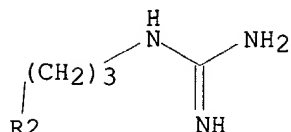
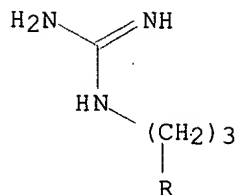
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● 2 H<sup>+</sup>

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REFERENCE 1: 138:255514  
 REFERENCE 2: 137:140780  
 REFERENCE 3: 137:109487  
 REFERENCE 4: 136:70083  
 REFERENCE 5: 135:177368  
 REFERENCE 6: 131:351678

L45 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 250614-38-1 REGISTRY

CN Yttrate(2-)-90Y, [[5,5'-[N-[[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

OTHER NAMES:

CN RP 697

FS PROTEIN SEQUENCE

SQL 10,5,5

NTE multichain

cyclic,cyclic

modified (modifications unspecified)

type	-----	location	-----	description
bridge	Lys-5	-	Lys-5'	covalent bridge, dimer
stereo	Phe-4	-		D
stereo	Phe-4'	-		D

SEQ 1 RGDFK

SEQ 1 RGDFK

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

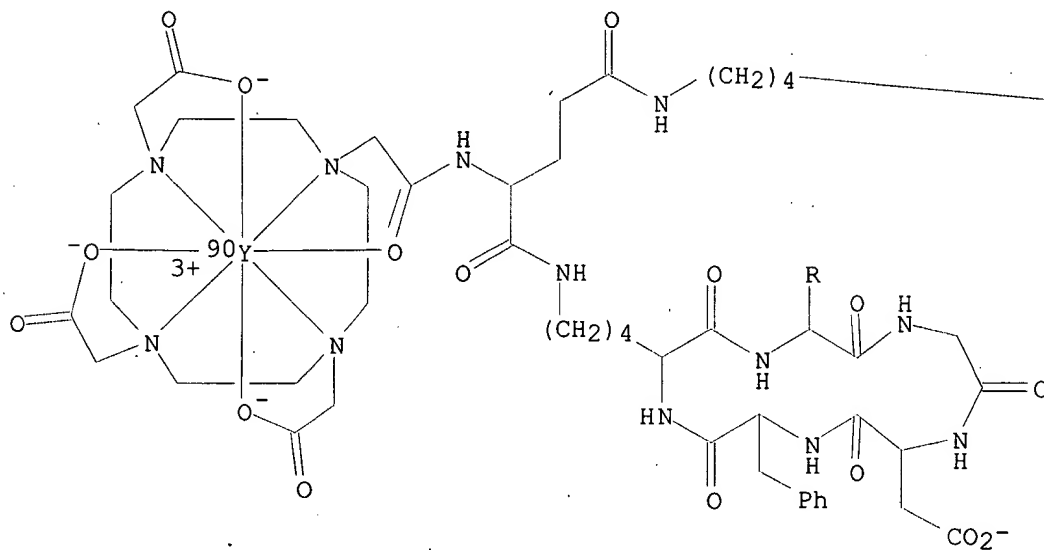
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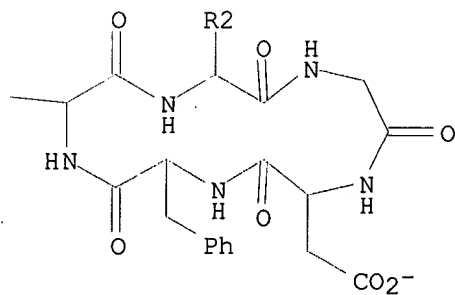
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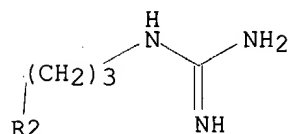
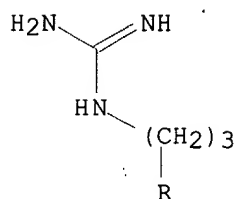
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PAGE 2-A

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REFERENCE 1: 138:255514  
 REFERENCE 2: 137:140780  
 REFERENCE 3: 137:109487  
 REFERENCE 4: 136:123597  
 REFERENCE 5: 136:70083  
 REFERENCE 6: 135:185318  
 REFERENCE 7: 135:177368  
 REFERENCE 8: 131:351678

L45 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 250612-82-9 REGISTRY

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10,5,5

NTE multichain

cyclic,cyclic

modified (modifications unspecified)

type	----- location -----		description
bridge	Lys-5	- Lys-5'	covalent bridge, dimer
stereo	Phe-4	-	D
stereo	Phe-4'	-	D

SEQ 1 RGDFK

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HITS AT: 1-4, 5

SEQ 1 RGDFK

HITS AT: 1-4, 5

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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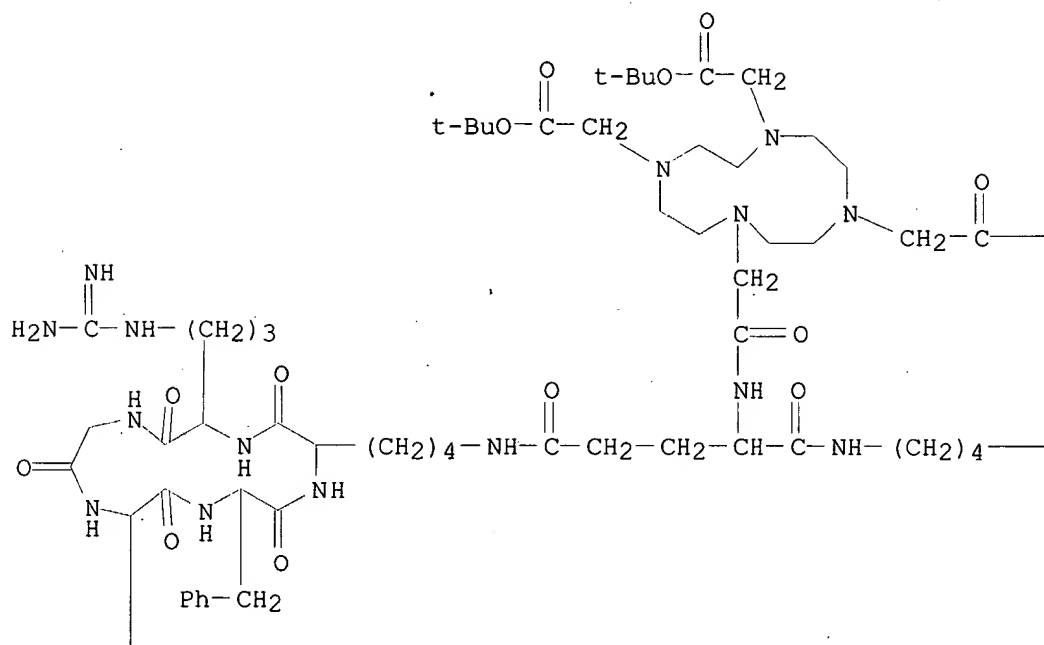
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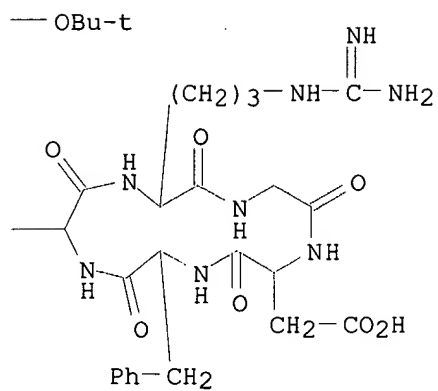
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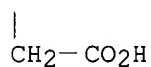
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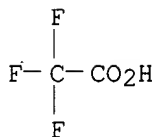


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REFERENCE 3: 137:109487  
REFERENCE 4: 136:123597  
REFERENCE 5: 136:70083  
REFERENCE 6: 131:351678

RN 250612-81-8 REGISTRY  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 10,5,5  
 NTE multichain  
 cyclic,cyclic  
 modified (modifications unspecified)

type	-----	location	-----	description
bridge	Lys-5	-	Lys-5'	covalent bridge, dimer
stereo	Phe-4	-		D
stereo	Phe-4'	-		D

SEQ 1 RGDFK  
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 HITS AT: 1-4, 5

SEQ 1 RGDFK  
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 HITS AT: 1-4, 5

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

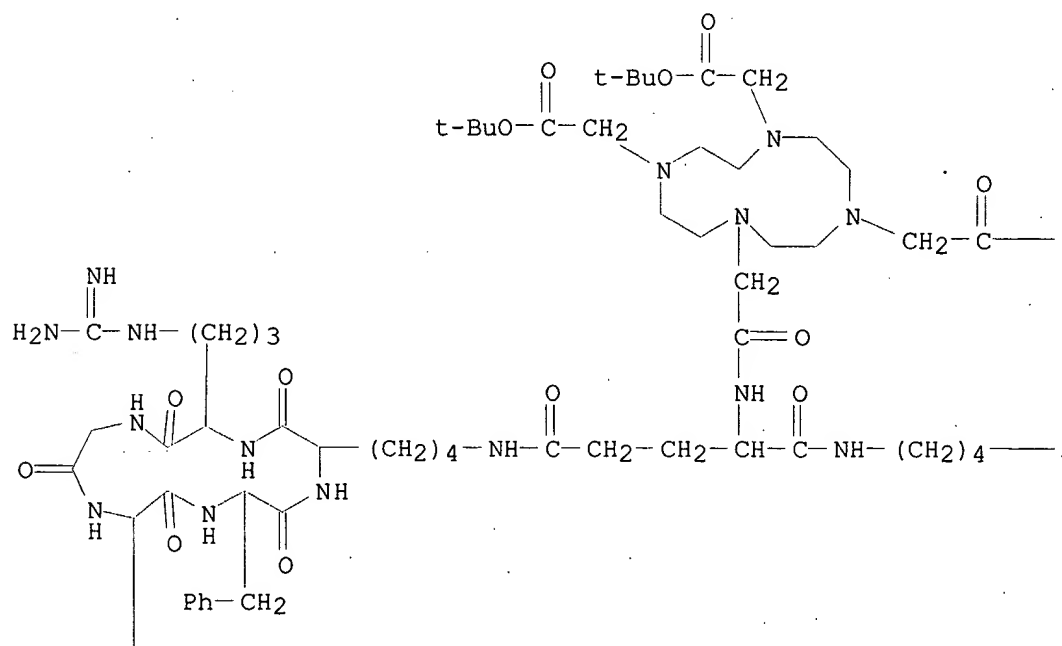
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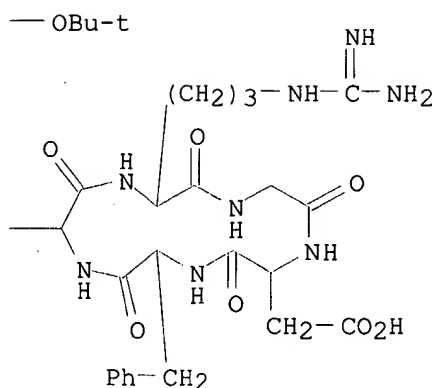
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LC STN Files: CA, CAPLUS, TOXCENTER

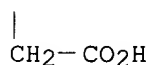
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PAGE 1-B



PAGE 2-A



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 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 135:177368

L45 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 250612-07-8 REGISTRY

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-  
 yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10,5,5

NTE multichain

cyclic,cyclic

modified (modifications unspecified)

type	-----	location	-----	description
bridge	Lys-5	-	Lys-5'	covalent bridge, dimer
stereo	Phe-4	.	-	D
stereo	Phe-4'	.	-	D

SEQ 1 RGDFK

=====

HITS AT: 1-4, 5

SEQ 1 RGDFK

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HITS AT: 1-4, 5



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SR CA

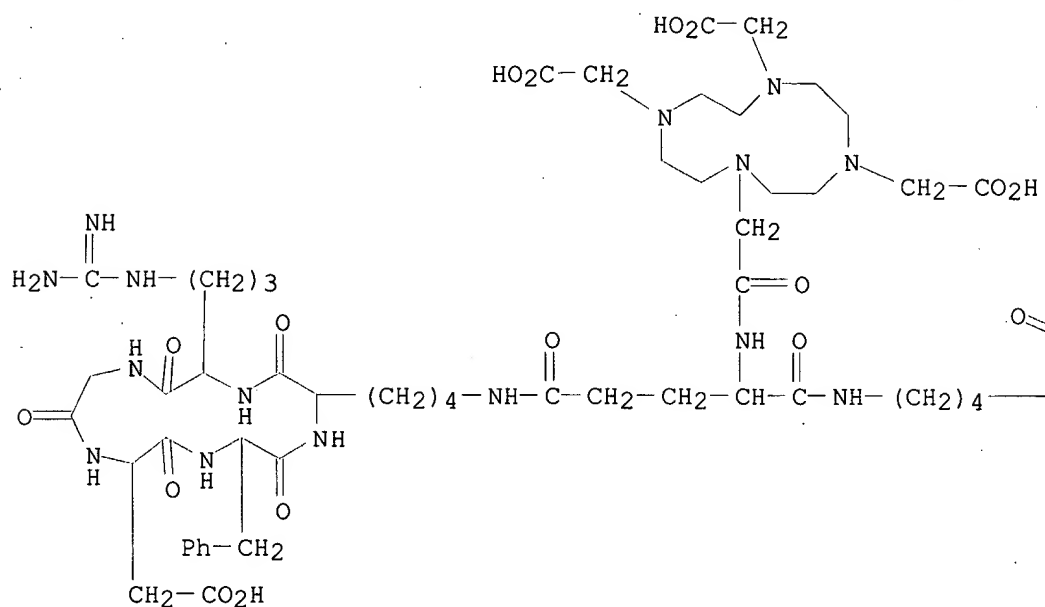
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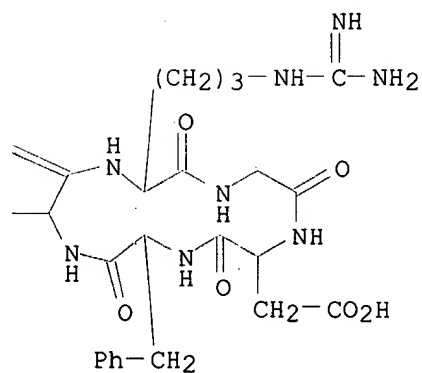
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CMF C75 H113 N23 O23

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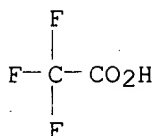
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



6 REFERENCES IN FILE CA (1957 TO DATE)  
6 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:255514  
REFERENCE 2: 137:140780  
REFERENCE 3: 137:109487  
REFERENCE 4: 136:123597  
REFERENCE 5: 136:70083  
REFERENCE 6: 131:351678

L45 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2003 ACS

RN 250612-06-7 REGISTRY

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-  
yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN SU 015

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10,5,5

NTE multichain

cyclic,cyclic

modified (modifications unspecified)

type	location	description
bridge	Lys-5 - Lys-5'	covalent bridge, dimer
stereo	Phe-4 -	D
stereo	Phe-4'	D

SEQ 1 RGDFK

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HITS AT: 1-4, 5

SEQ 1 RGDFK

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HITS AT: 1-4, 5

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

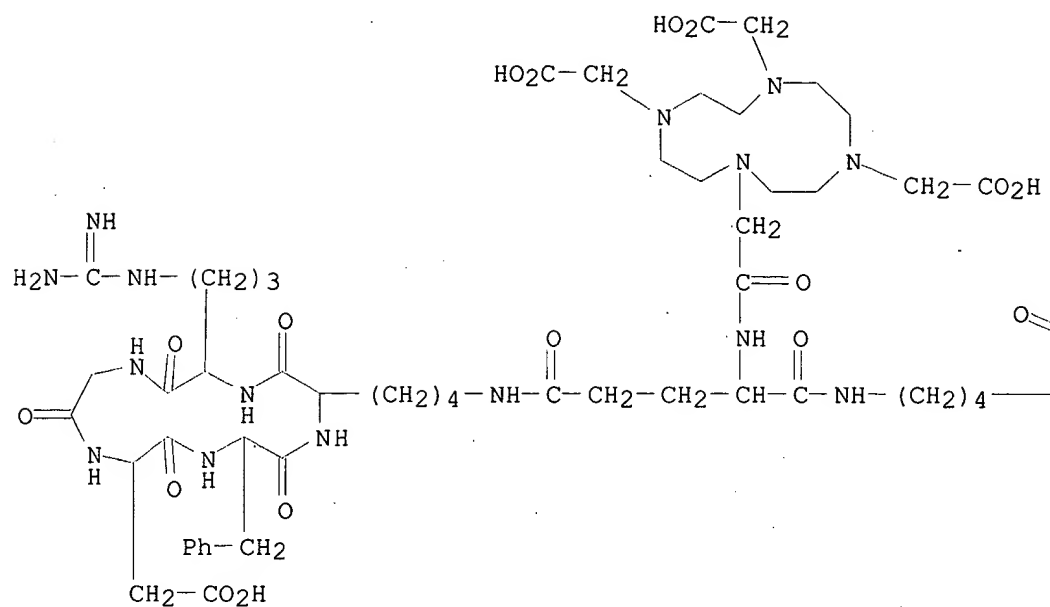
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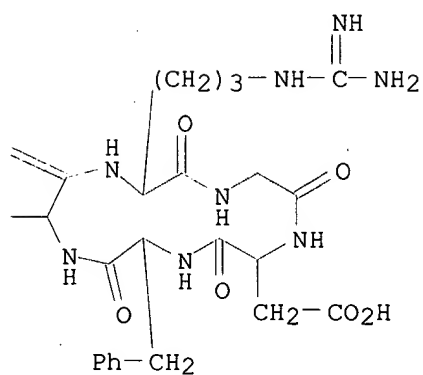
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LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

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PAGE 1-B



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 5 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:255514  
 REFERENCE 2: 136:70083  
 REFERENCE 3: 135:185318  
 REFERENCE 4: 135:177368

REFERENCE 5: 131:351678

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FILE 'USPAT2' ENTERED AT 07:29:35 ON 04 JUN 2003

CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 152 bib abs hitstr tot

L52 ANSWER 1 OF 14 USPATFULL

AN 2003:123076 USPATFULL

TI Vitronectin receptor antagonist pharmaceuticals

IN Cheesman, Edward H., Lunenberg, MA, United States

Sworin, Michael, Tyngsboro, MA, United States

Rajopadhye, Milind, Westford, MA, United States

PA Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

PI US 6558649 B1 20030506

AI US 1999-466582 19991217 (9)

PRAI US 1998-112831P 19981218 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dameron L.

LREP Woodcock Washburn LLP

CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 4950

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The present invention further provides novel compounds useful for imaging atherosclerosis, restenosis, cardiac ischemia and myocardial reperfusion injury. The present invention still further provides novel compounds useful for the treatment of rheumatoid arthritis. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **250612-82-9P**

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

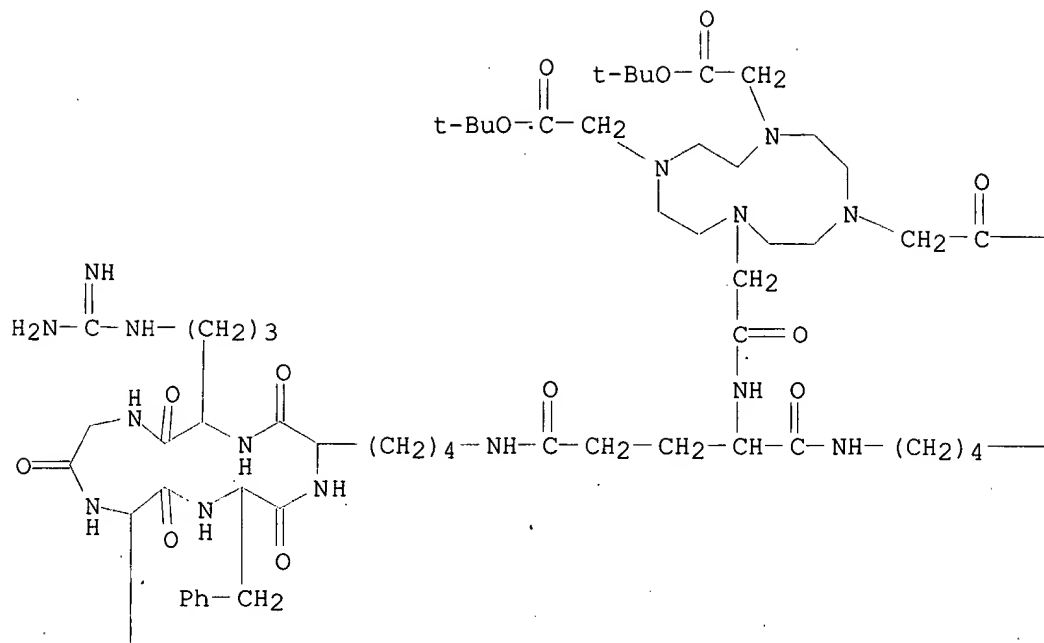
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tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

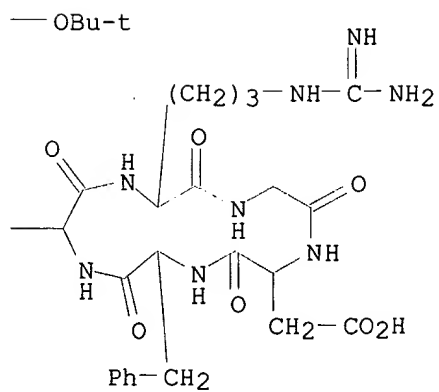
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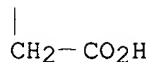
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PAGE 1-B



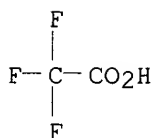
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CMF C2 H F3 O2



IT 250612-06-7P 250612-07-8P

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(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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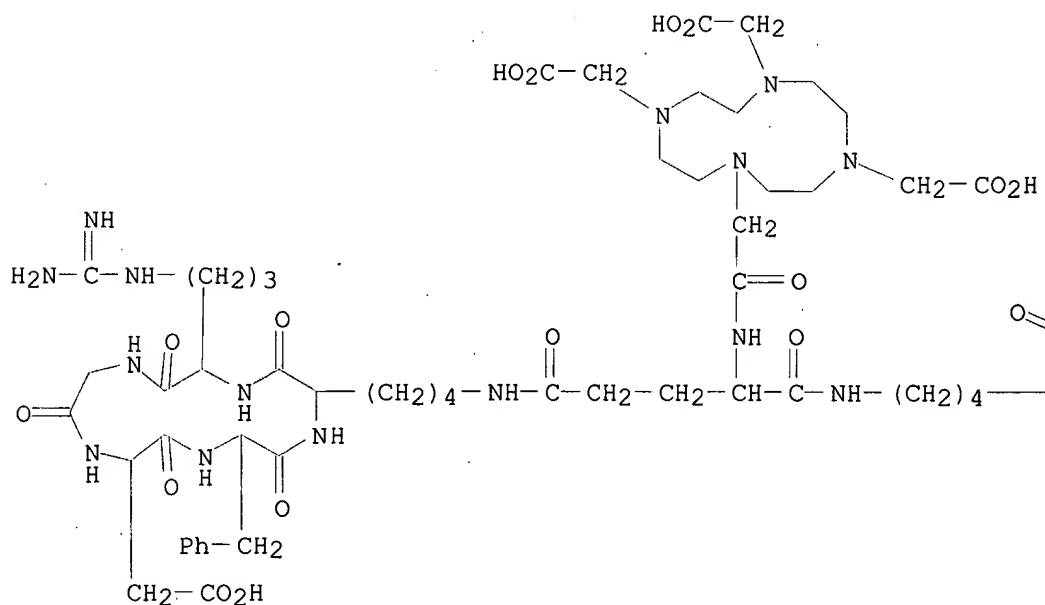
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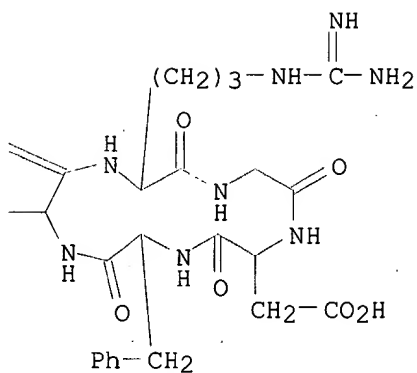
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yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

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PAGE 1-A



PAGE 1-B

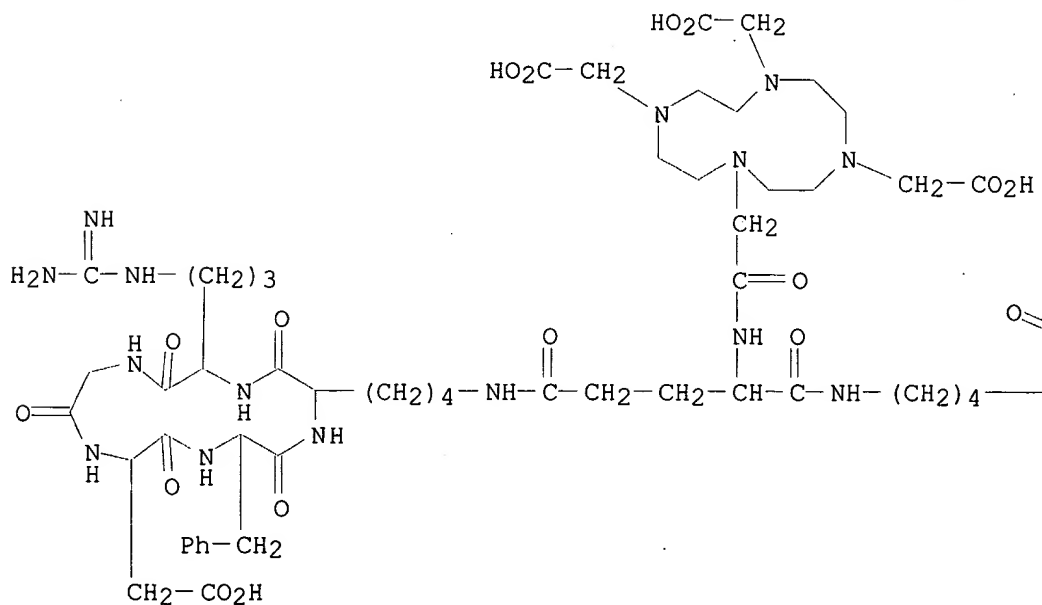


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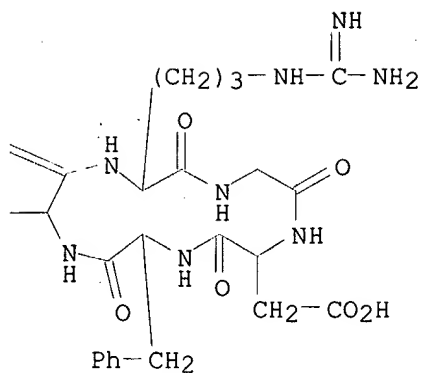
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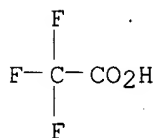
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CM 2

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CMF C2 H F3 O2



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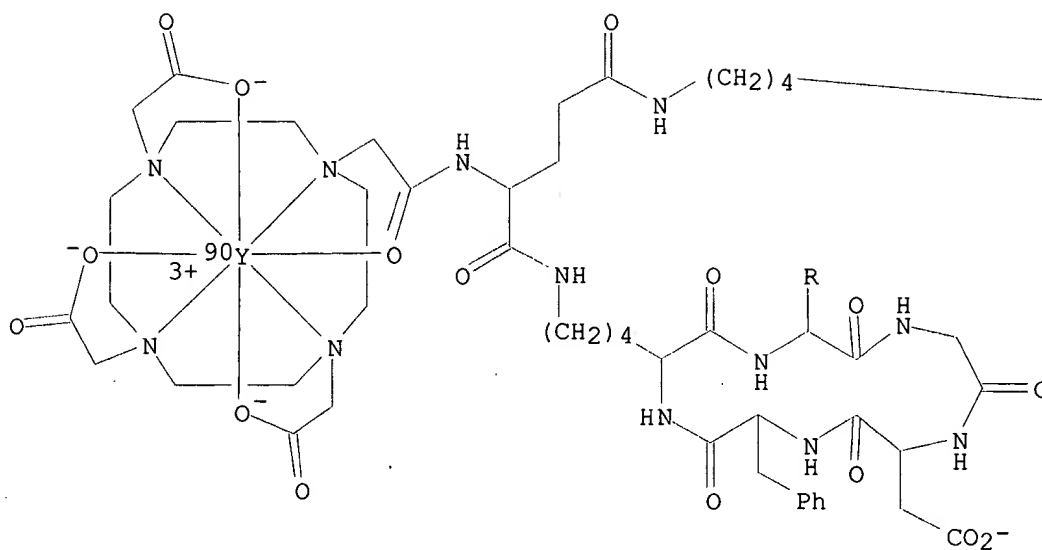
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RN 250614-38-1 USPATFULL

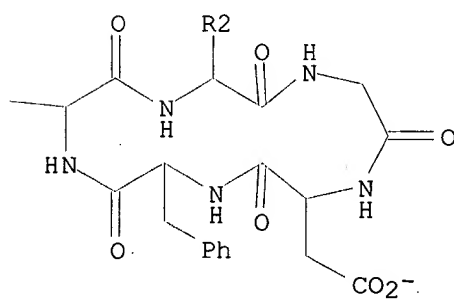
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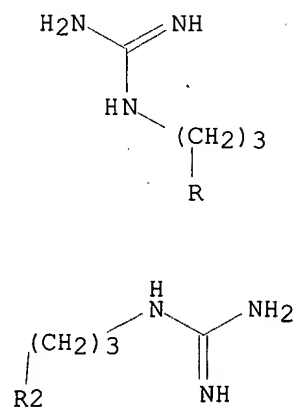
PAGE 1-A



PAGE 1-B



PAGE 2-A

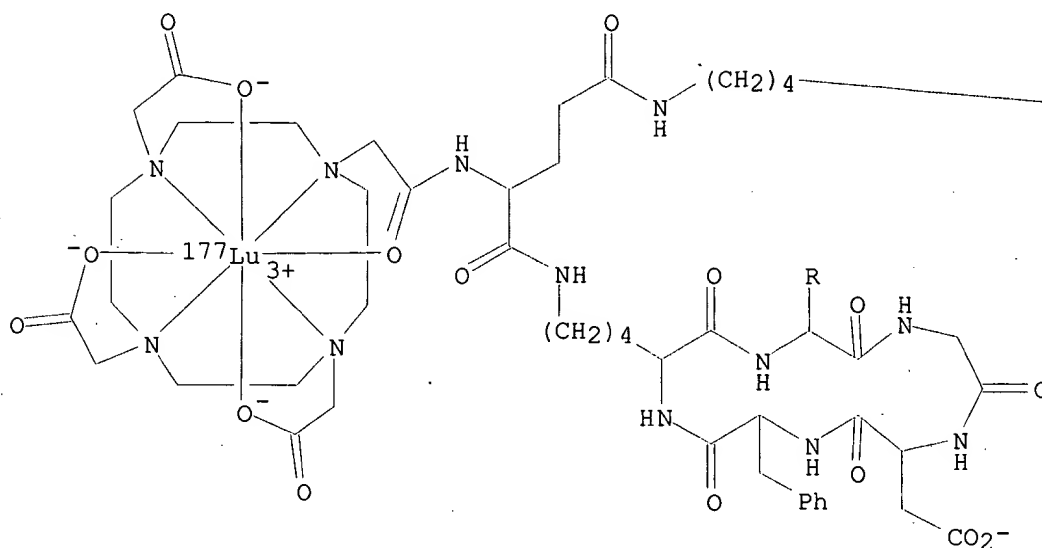


2 H<sup>+</sup>

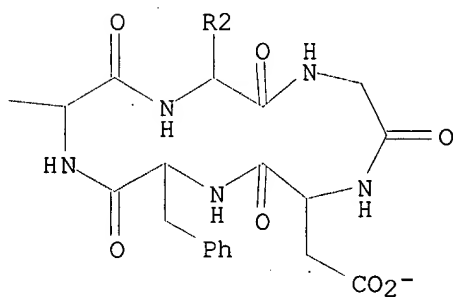
RN 250614-39-2 USPATFULL

CN Lutetate(2-)-<sup>177</sup>Lu, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

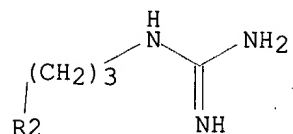
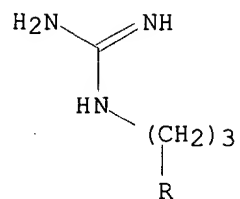
PAGE 1-A



PAGE 1-B



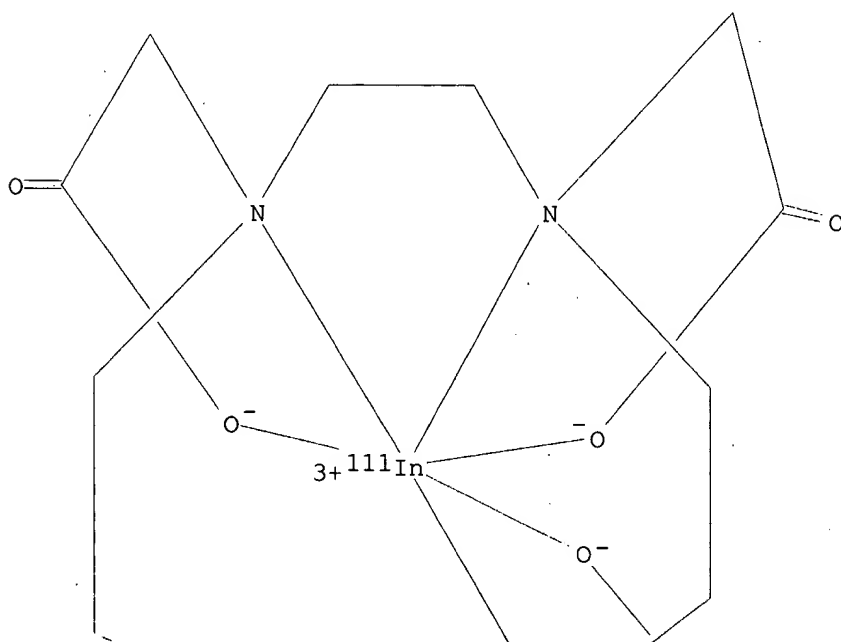
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● 2 H<sup>+</sup>

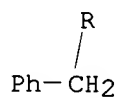
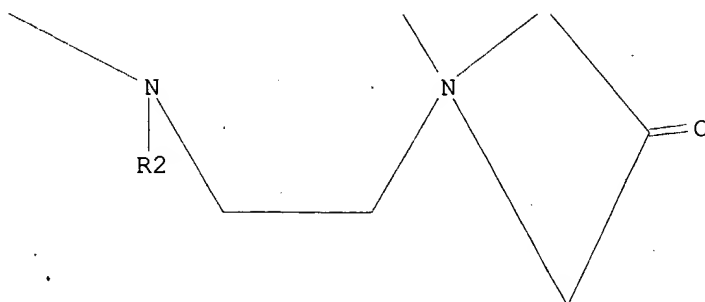
RN 250614-40-5 USPATFULL

CN Indate(2-)-111In, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A

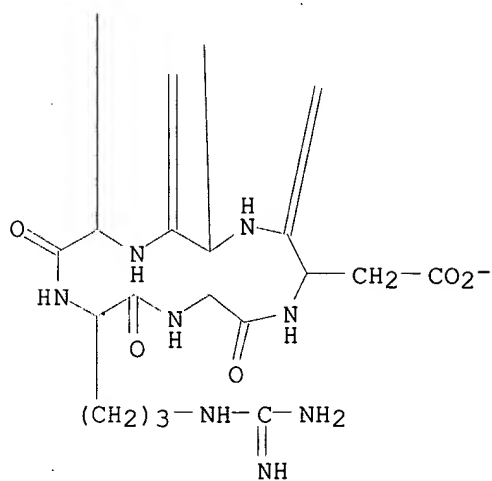


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A

2 H<sup>+</sup>

L52 ANSWER 2 OF 14 USPATFULL  
 AN 2003:102466 USPATFULL  
 TI Benzodiazepine vitronectin receptor antagonist pharmaceuticals  
 IN Cheesman, Edward H, Lunenburg, MA, United States

PA Sworin, Michael, Tyngsboro, MA, United States  
Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

PI US 6548663 B1 20030415

AI US 1999-281050 19990330 (9)

PRAI US 1998-112715P 19981218 (60)  
US 1998-112829P 19981218 (60)  
US 1998-112732P 19981218 (60)  
US 1998-112831P 19981218 (60)  
US 1998-80150P 19980331 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Balasubramanian, Venkataraman

LREP Woodcock Washburn LLP

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 4239

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

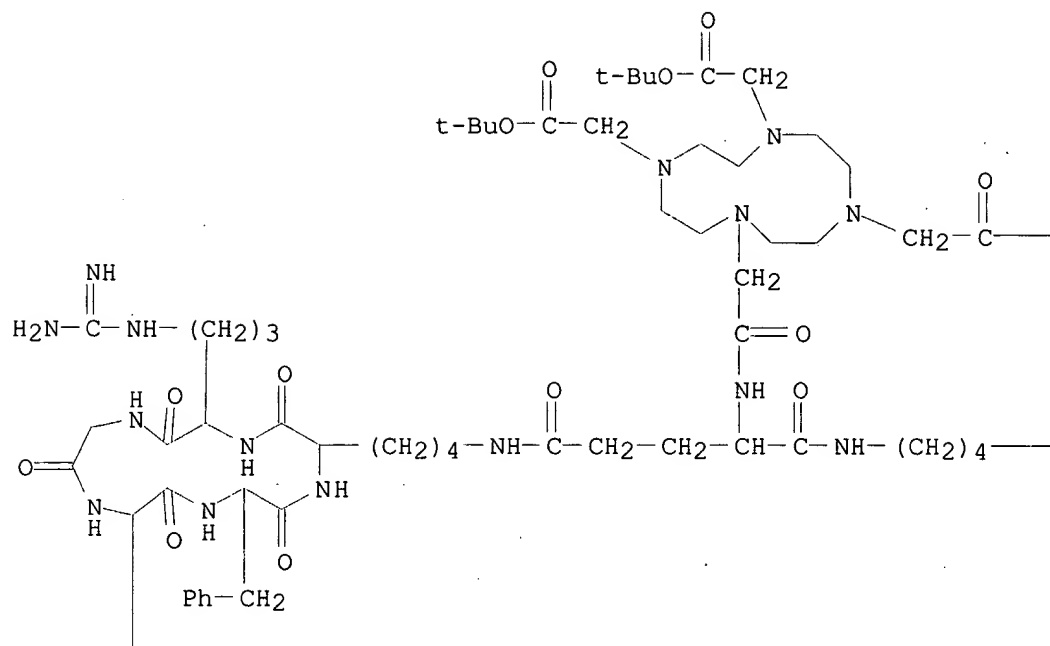
CN Cyclo(L-arginylglycyl-L.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

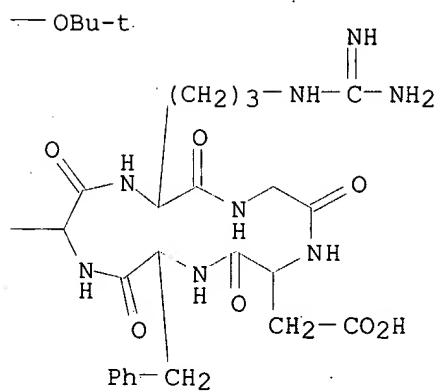
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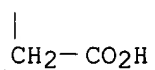
PAGE 1-A



PAGE 1-B



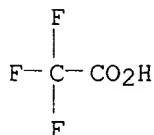
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



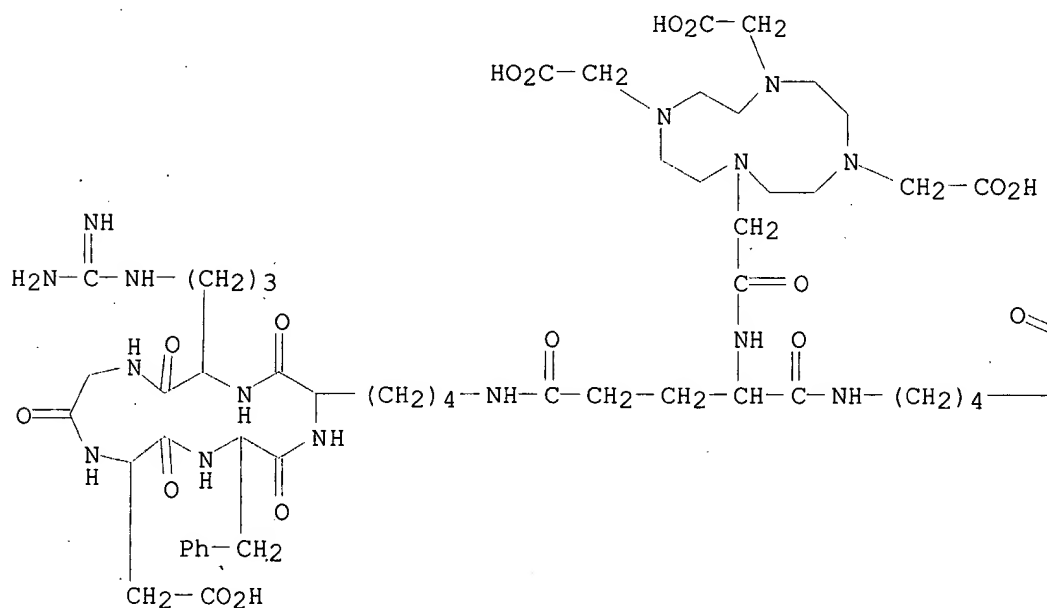
IT 250612-06-7P 250612-07-8P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

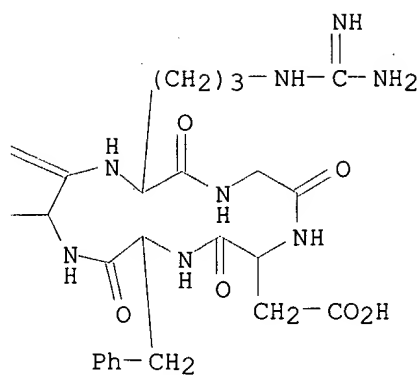
RN 250612-06-7 USPATFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 250612-07-8 USPATFULL

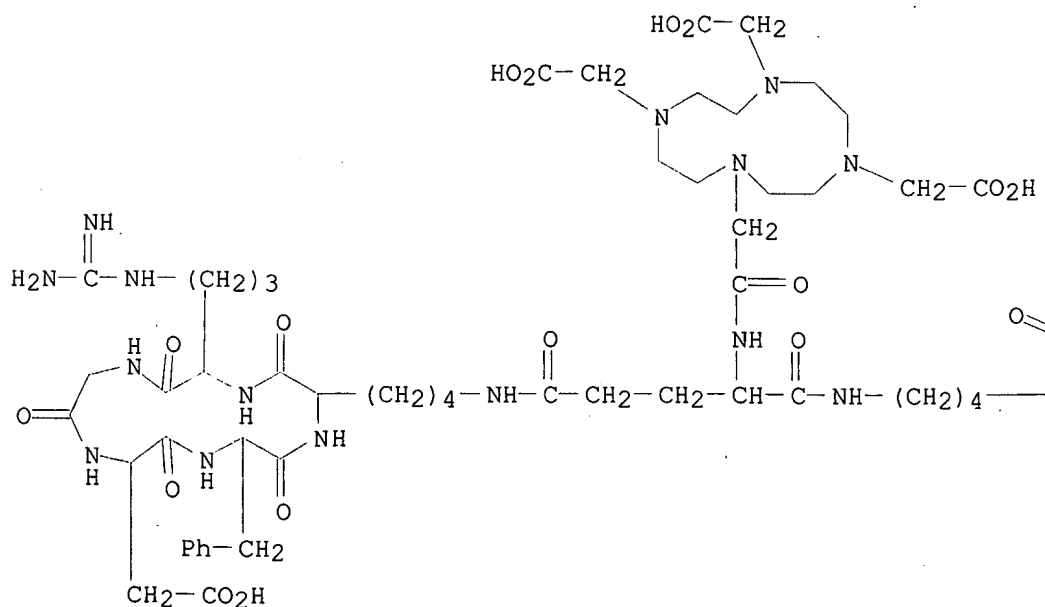
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CRN 250612-06-7

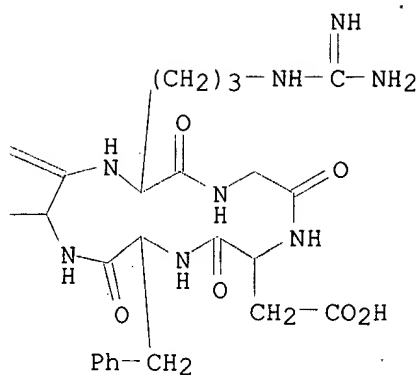
CMF C75 H113 N23 O23

PAGE 1-A





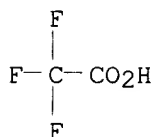
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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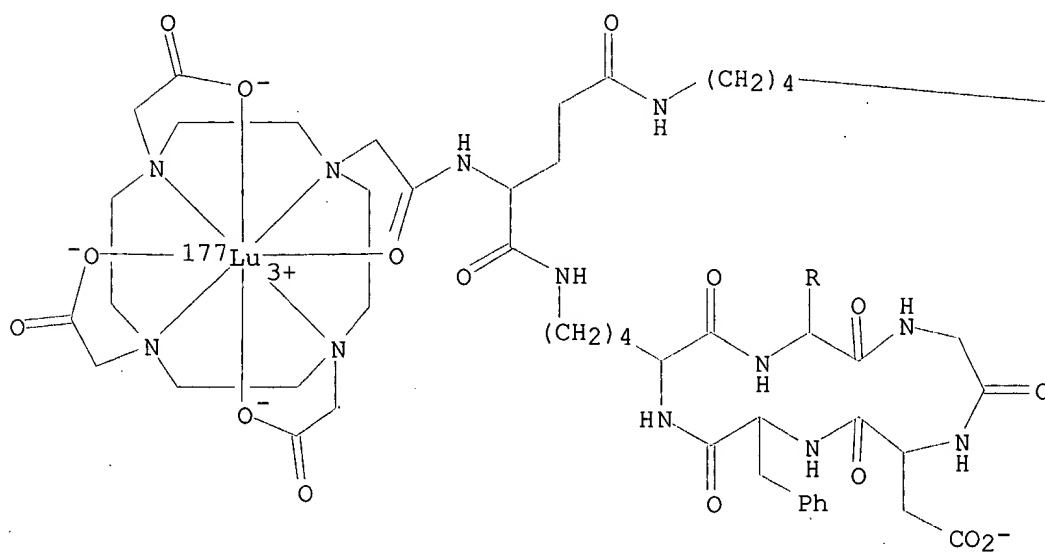
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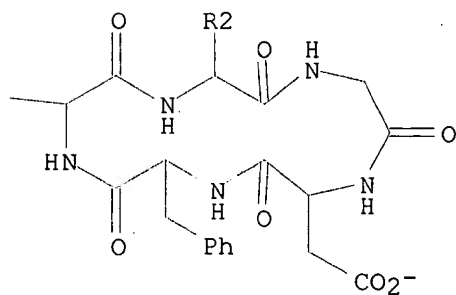
RN 250614-39-2 USPATFULL

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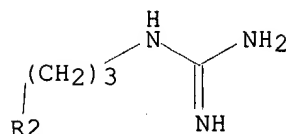
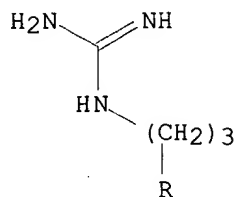
PAGE 1-A



PAGE 1-B

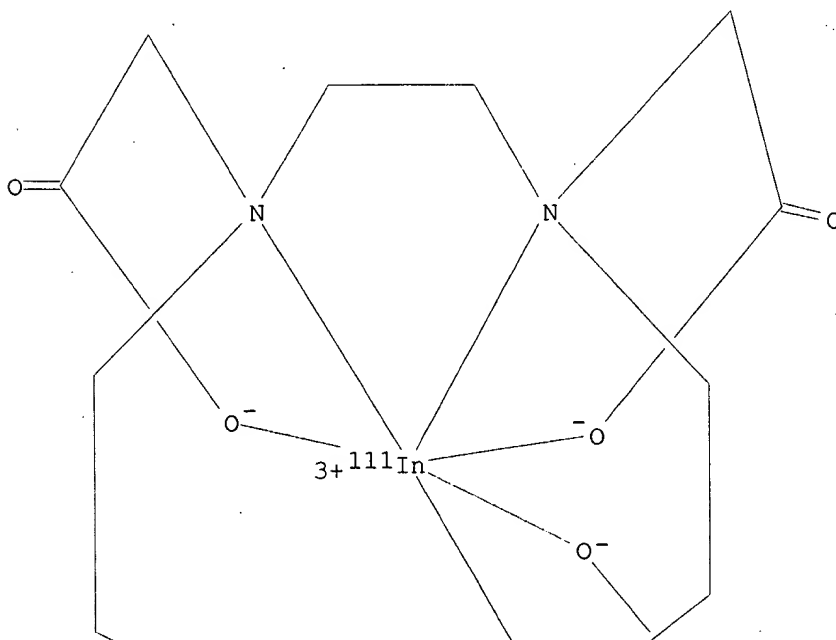


PAGE 2-A

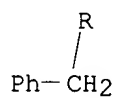
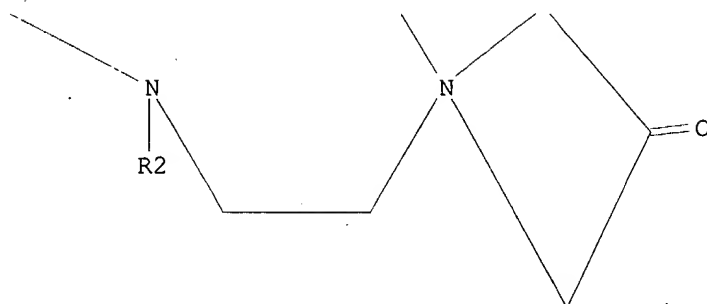
● 2 H<sup>+</sup>

RN 250614-40-5 USPATFULL  
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PAGE 1-A

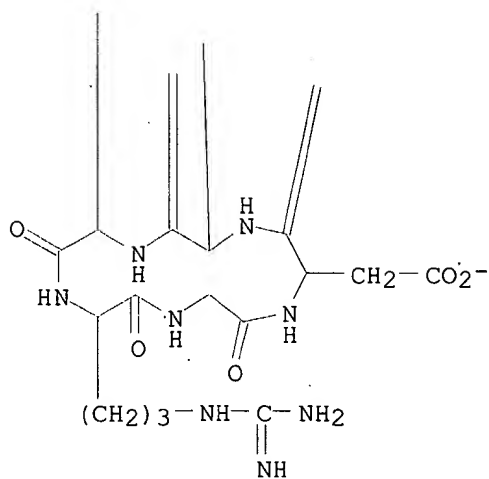


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A



2 H<sup>+</sup>

L52 ANSWER 3 OF 14 USPATFULL  
 AN 2003:81434 USPATFULL  
 TI Pharmaceuticals for the imaging of angiogenic disorders  
 IN Rajopadhye, Milind, Westford, MA, United States

Edwards, D. Scott, Burlington, MA, United States  
**Barrett, John A.**, Groton, MA, United States  
**Carpenter, Jr., Alan P.**, Carlisle, MA, United States

Harris, Thomas D., Samel, NH, United States  
Heminway, Stuart J., Lowell, MA, United States

**Liu, Shuang**, Chelmsford, MA, United States  
Singh, Prahlad R., Arlington, MA, United States

PA Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

PI US 6537520 B1 20030325

AI US 2000-599295 20000621 (9)

RLI Continuation-in-part of Ser. No. US 1999-281474, filed on 30 Mar 1999

PRAI US 1998-112715P 19981218 (60)

US 1998-80150P 19980331 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dameron L.

LREP Golian, Paul D.

CLMN Number of Claims: 37

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 6846

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

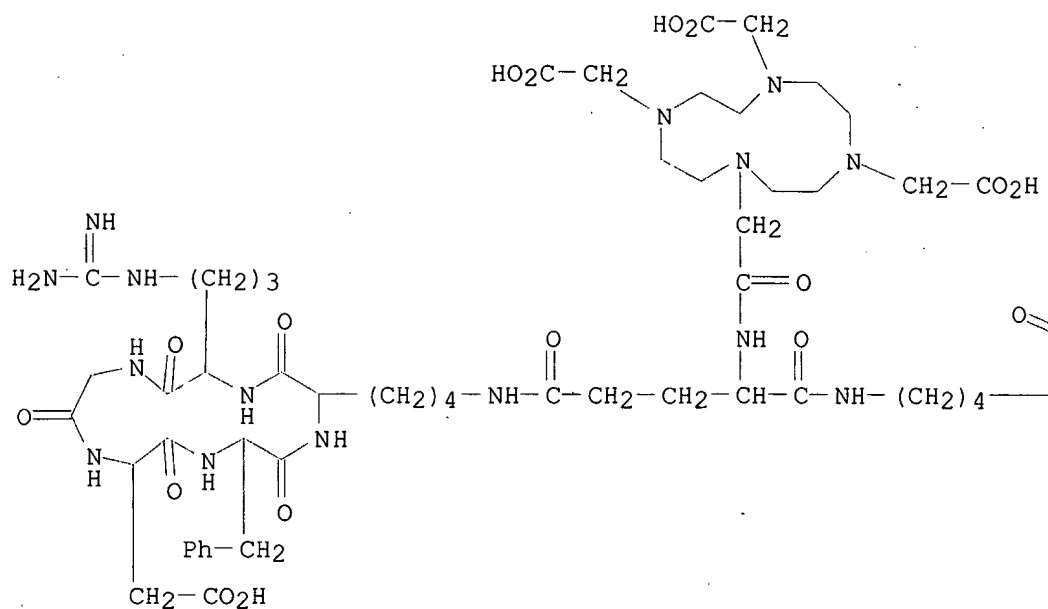
IT 250612-06-7P 250612-07-8P

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

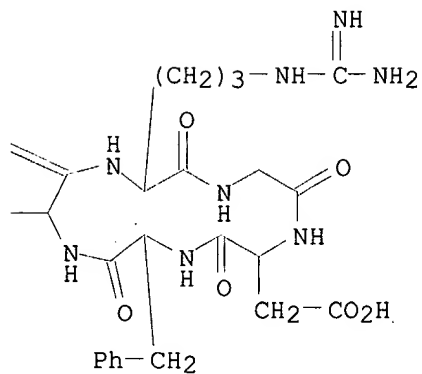
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PAGE 1-A



PAGE 1-B

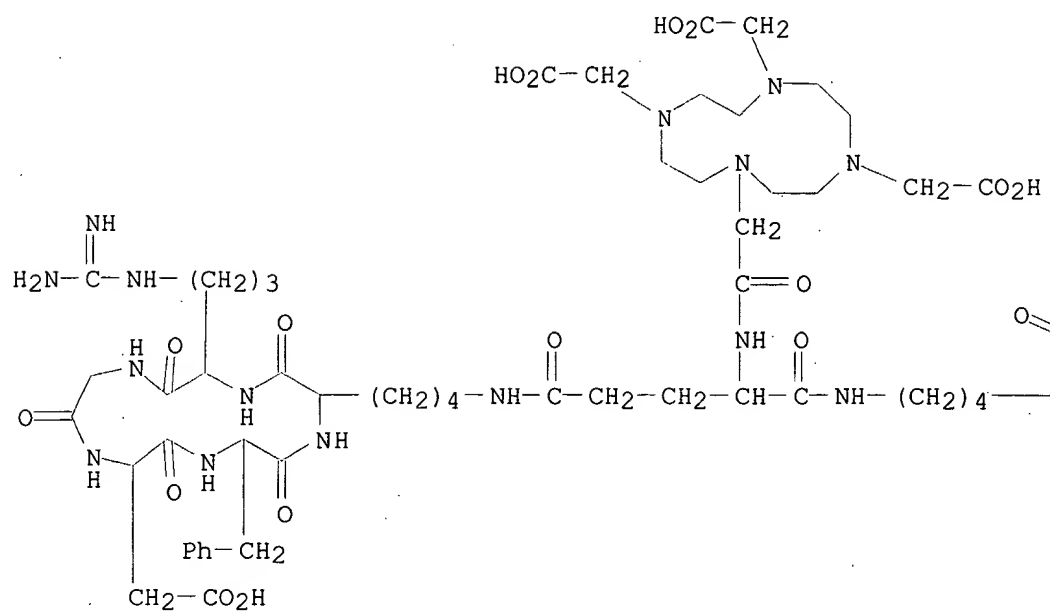


RN 250612-07-8 USPATFULL  
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 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

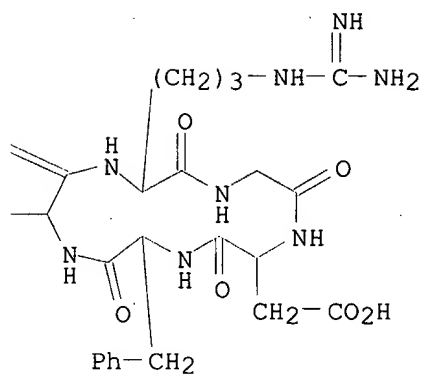
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CRN 250612-06-7  
 CMF C75 H113 N23 O23

PAGE 1-A



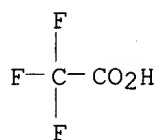
PAGE 1-B



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2





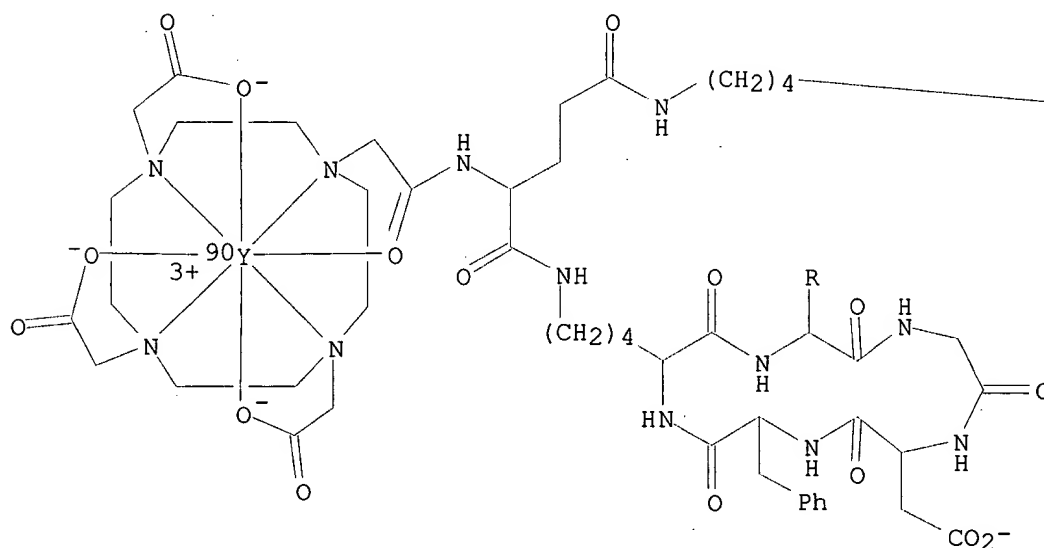
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

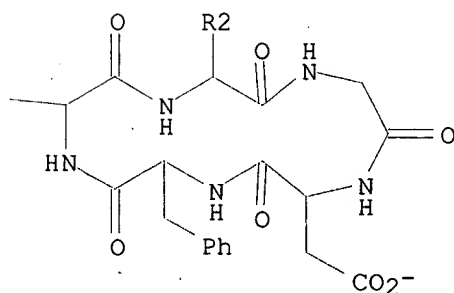
RN 250614-38-1 USPATFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

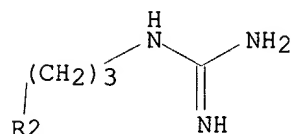
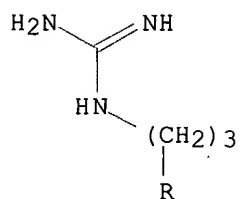
PAGE 1-A



PAGE 1-B



PAGE 2-A

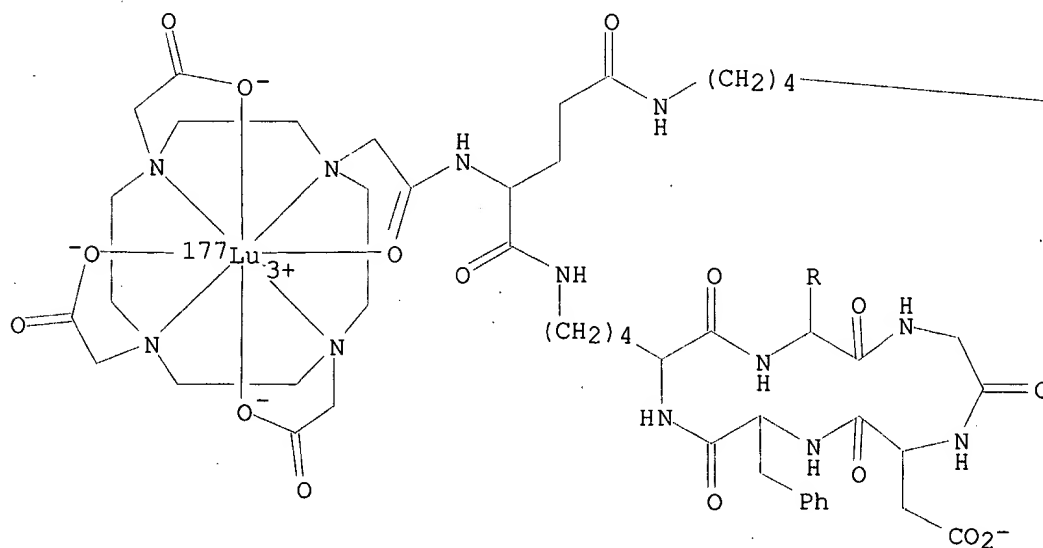


● 2 H<sup>+</sup>

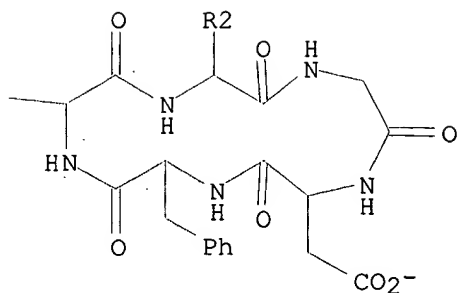
RN 250614-39-2 USPATFULL

CN Lutetate(2-)-177Lu, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

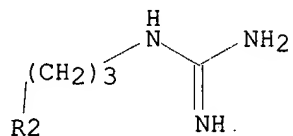
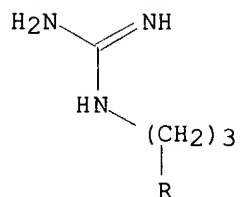
PAGE 1-A



PAGE 1-B

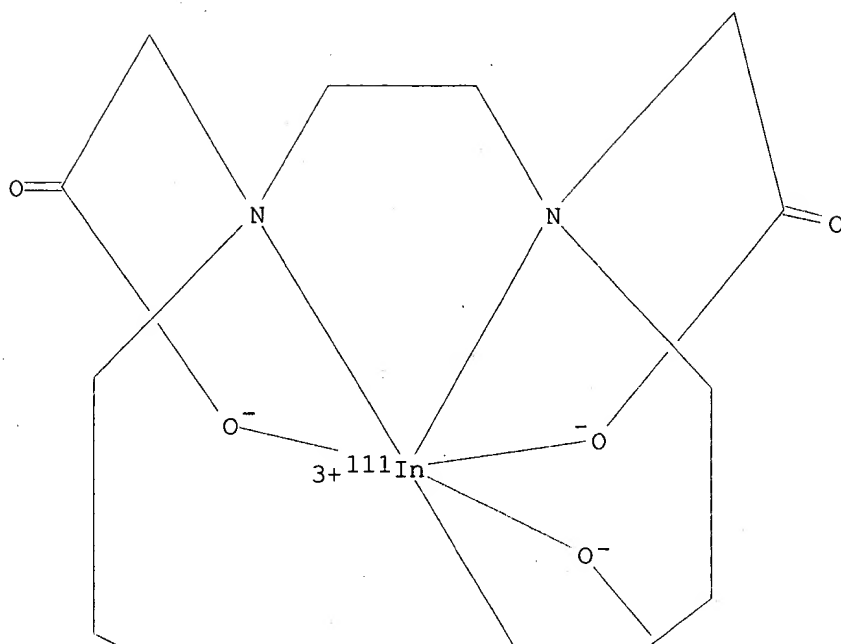


PAGE 2-A

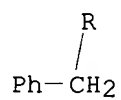
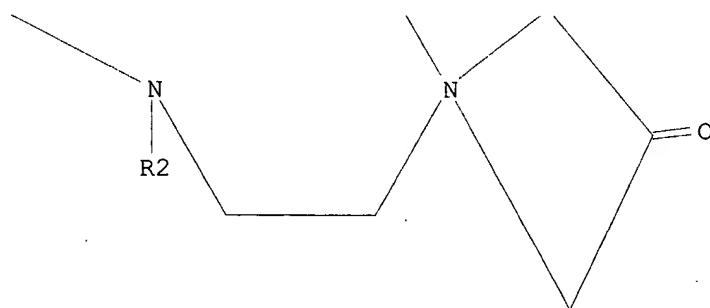
● 2 H<sup>+</sup>

RN 250614-40-5 USPATFULL  
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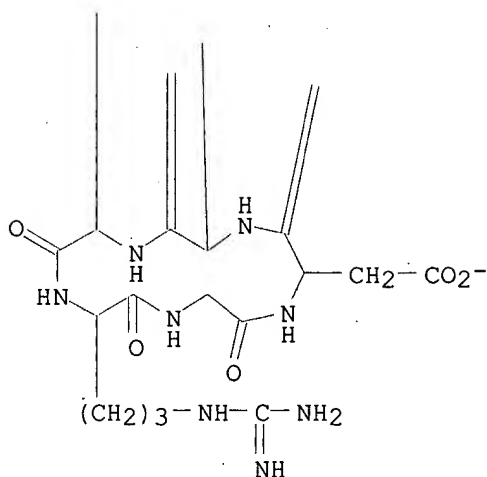
PAGE 1-A



PAGE 2-A



R2



PAGE 4-A

● 2 H<sup>+</sup>

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

RN 250612-82-9 USPATFULL

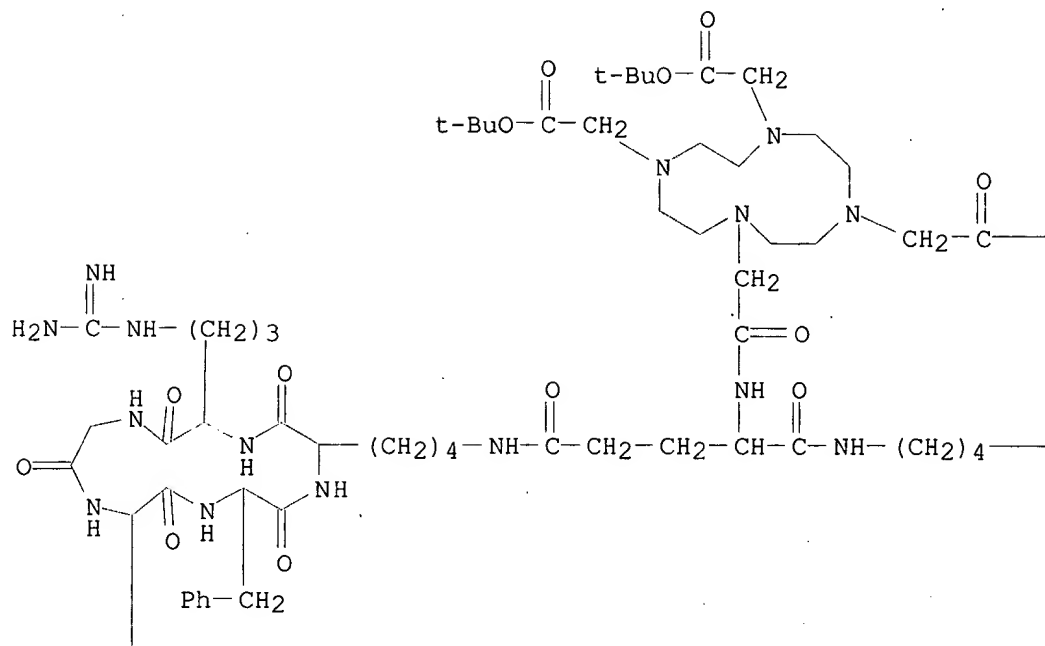
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

CM 1

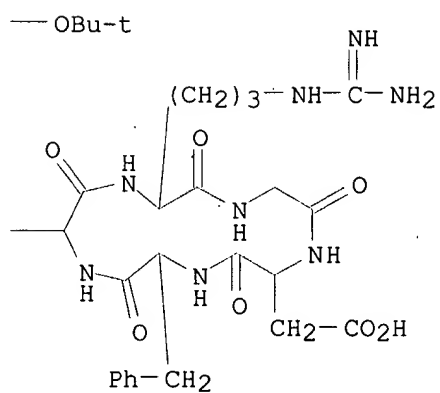
CRN 250612-81-8

CMF C87 H137 N23 O23

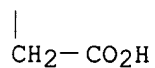
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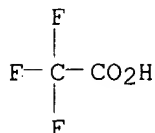
PAGE 1-B



PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

IT 10098-91-6, y90, biological studies  
(radioisotope for use with peptide derivs. for the treatment of cancer  
in combination therapy)  
RN 10098-91-6 USPATFULL  
CN Yttrium, isotope of mass 90 (8CI, 9CI) (CA INDEX NAME)

90Y

L52 ANSWER 4 OF 14 USPATFULL  
AN 2002:321986 USPATFULL  
TI VITRONECTIN RECEPTOR ANTAGONIST PHARMACEUTICALS  
IN HARRIS, THOMAS D., SALEM, NH, UNITED STATES  
RAJOPADHYE, MILIND, WESTFORD, MA, UNITED STATES  
PI US 2002182147 A1 20021205  
US 6511648 B2 20030128  
AI US 1999-465300 A1 19991217 (9)  
PRAI US 1998-112732P 19981218 (60)  
DT Utility  
FS APPLICATION  
LREP BRISTOL-MYERS SQUIBB PHARMA COMPANY, PATENT DEPARTMENT, P.O. BOX 4000,  
PRINCETON, NJ, 08543-4000  
CLMN Number of Claims: 57  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 7362  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The present invention further provides novel compounds useful for imaging atherosclerosis, restenosis, cardiac ischemia, and myocardial reperfusion injury. The present invention still further provides novel compounds useful for the treatment of rheumatoid arthritis. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

```

12 02 01
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

```

RN 250612-82-9 USPATFULL

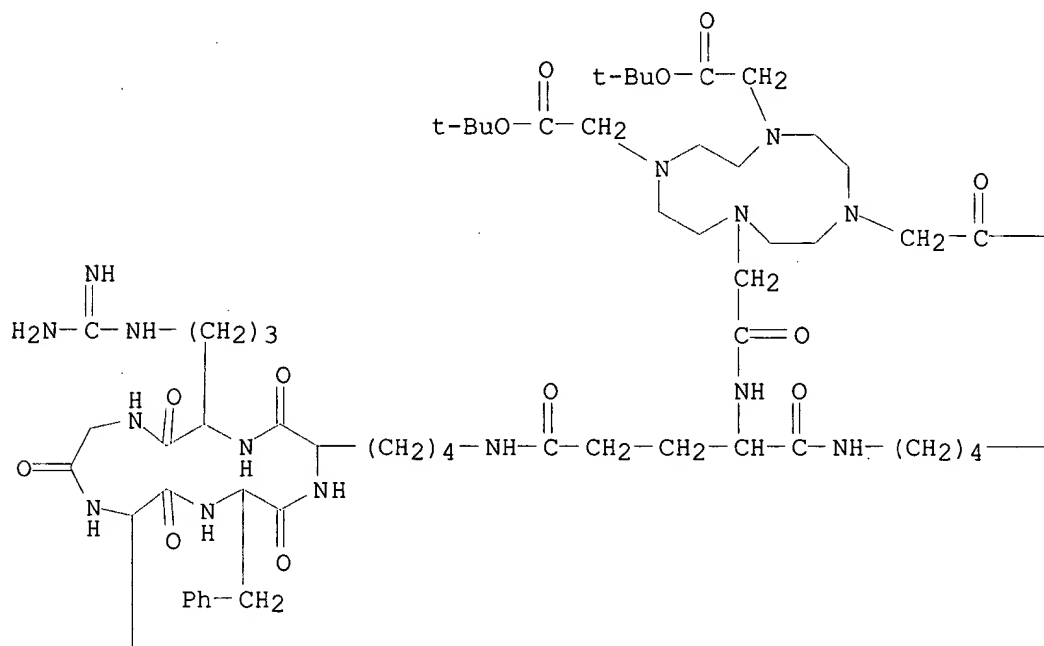
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5,5'-[N-[4,7,10-tris(2-(1,1-dimethylethoxy)-2-oxoethyl)-1,4,7,10-  
tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

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CRN 250612-81-8

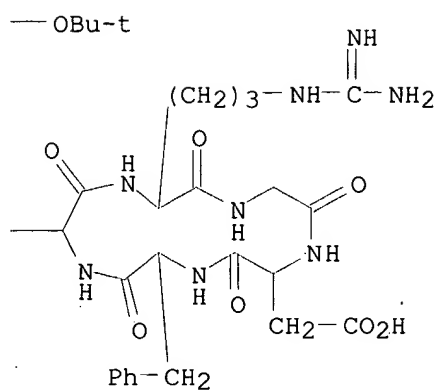
CMF .C87 H137 N23 023

PAGE 1-A

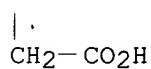




PAGE 1-B

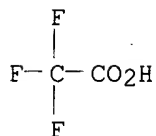


PAGE 2-A



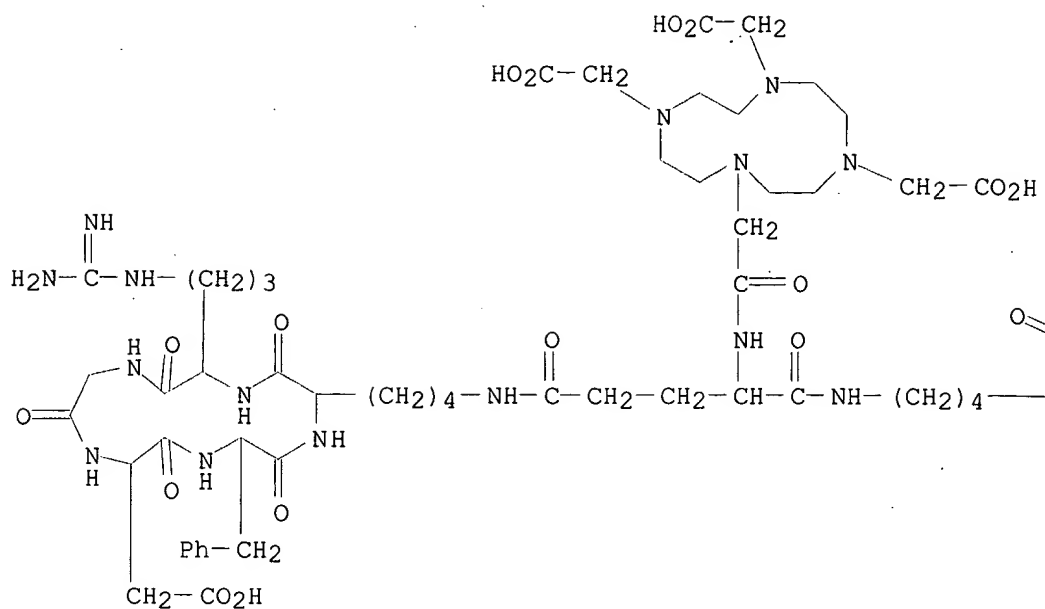
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

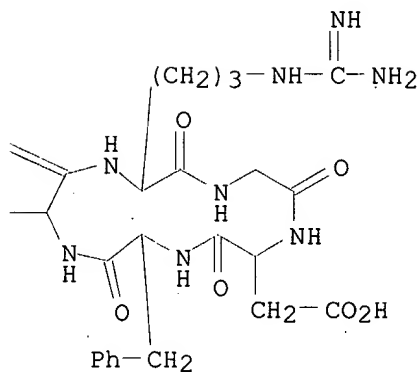


IT 250612-06-7P 250612-07-8P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)  
RN 250612-06-7 USPATFULL  
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5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-  
yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 250612-07-8 USPATFULL

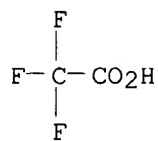
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CM 1

CRN 250612-06-7

CMF C75 H113 N23 O23





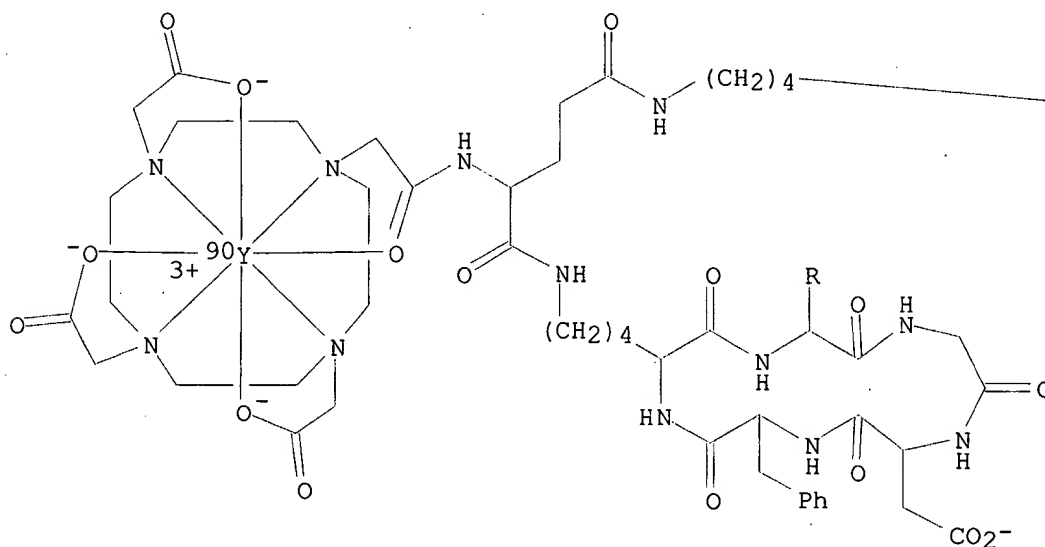
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

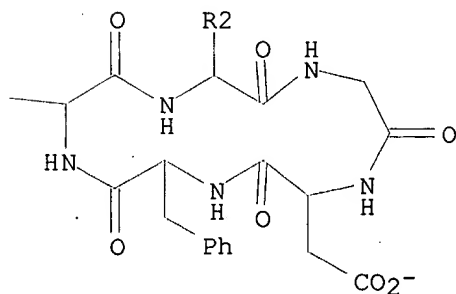
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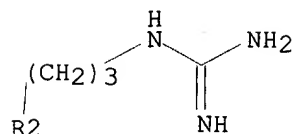
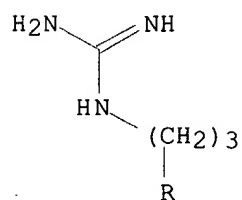
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PAGE 1-B



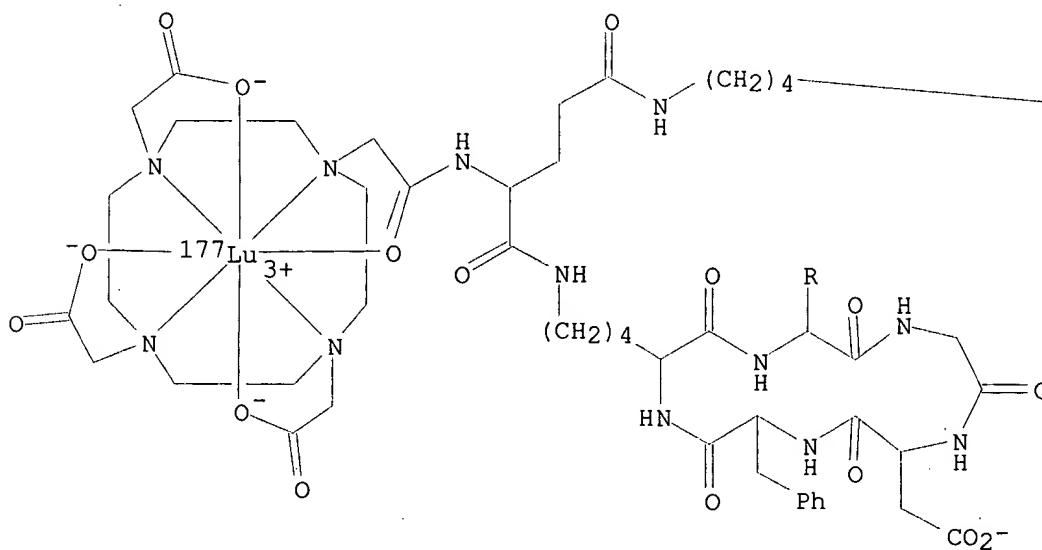
PAGE 2-A

● 2 H<sup>+</sup>

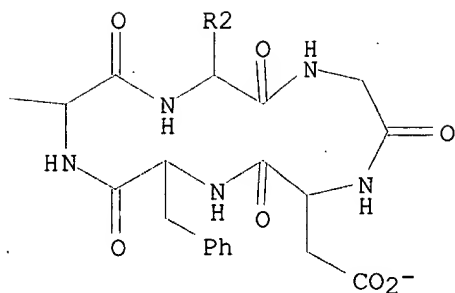
RN 250614-39-2 USPATFULL

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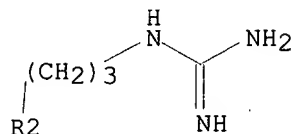
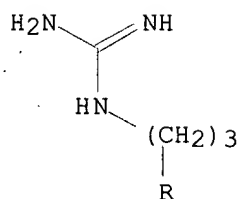
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PAGE 1-B



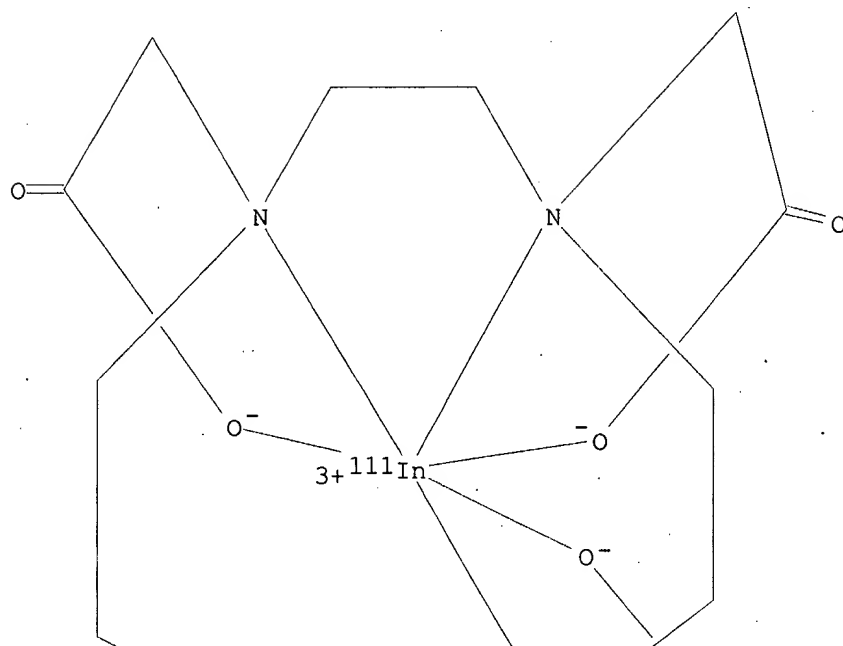
PAGE 2-A

● 2 H<sup>+</sup>

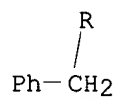
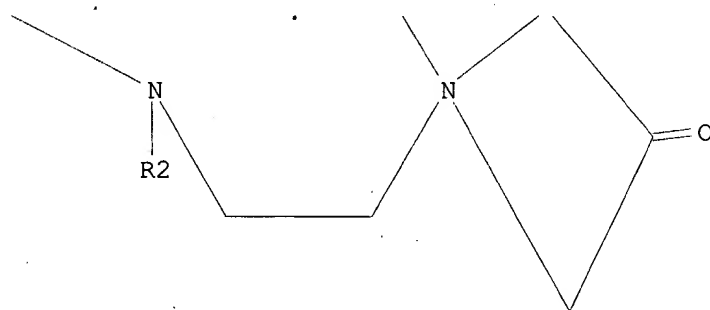
RN 250614-40-5 USPATFULL

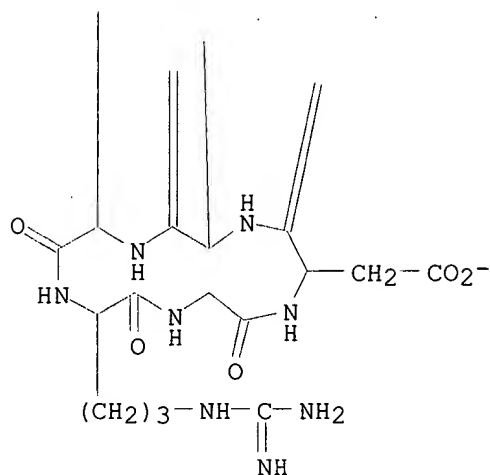
CN Indate(2-)-111In, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

L52 ANSWER 5 OF 14 USPATFULL  
 AN 2002:227617 USPATFULL  
 TI Stable radiopharmaceutical compositions and methods for preparation thereof  
 IN **Liu, Shuang**, Chelmsford, MA, UNITED STATES  
     **Barrett, John A.**, Groton, MA, UNITED STATES  
     **Carpenter, Alan P., JR.**, Carlisle, MA, UNITED STATES  
 PI US 2002122768 A1 20020905  
 AI US 2001-899629 A1 20010705 (9)  
 PRAI US 2000-216396P 20000706 (60)  
 DT Utility  
 FS APPLICATION  
 LREP BRISTOL-MYERS SQUIBB PHARMA COMPANY, PATENT DEPARTMENT, P.O. BOX 4000, PRINCETON, NJ, 08543-4000  
 CLMN Number of Claims: 92  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 4115  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB The present invention provides stable radiopharmaceutical compositions including a therapeutic radionuclide and an effective stabilizing amount of an aromatic stabilizer (e.g., a polyhydroxylated aromatic compound, an aromatic amine, or a hydroxylated aromatic amine), alone or in combination with other antioxidants or stabilizers, to inhibit radiolytic degradation of radiopharmaceuticals. The present invention also provides improved radiopharmaceutical formulations by the use of an aromatic stabilizing agent (e.g., a polyhydroxylated aromatic compound, an aromatic amines, or a hydroxylated aromatic amine), and/or low temperature storage. The present invention also provides processes for making stable radiopharmaceutical compositions. The present invention also provides the use of the pharmaceutical compositions in medical therapy and/or medical diagnosis.  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT **250612-82-9P**  
     (prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)



RN 250612-82-9 USPATFULL

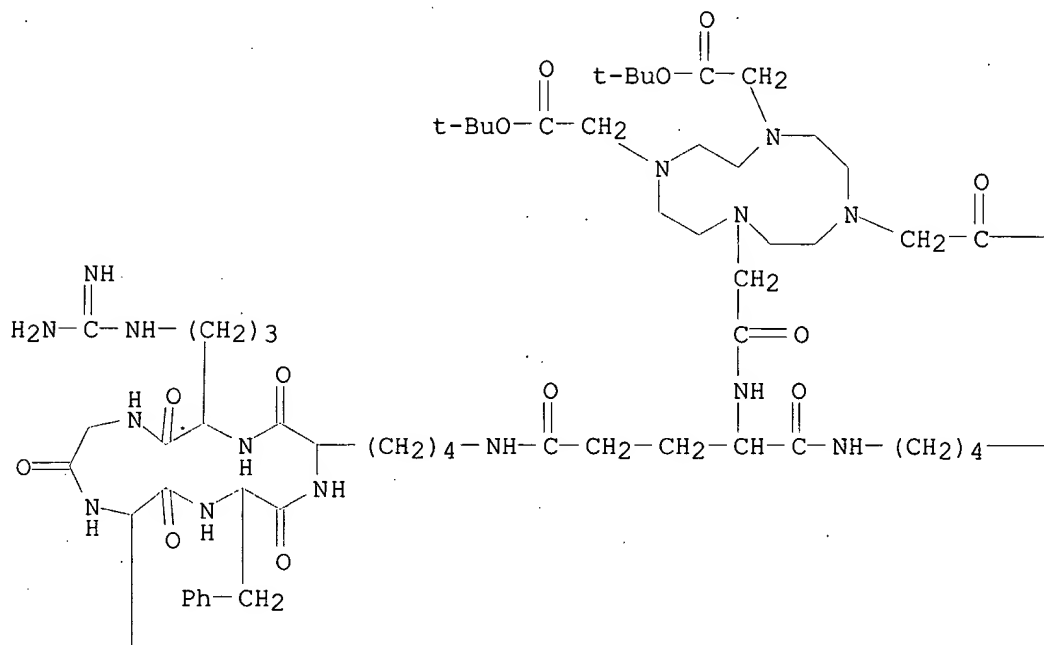
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
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 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA. INDEX NAME)

CM 1

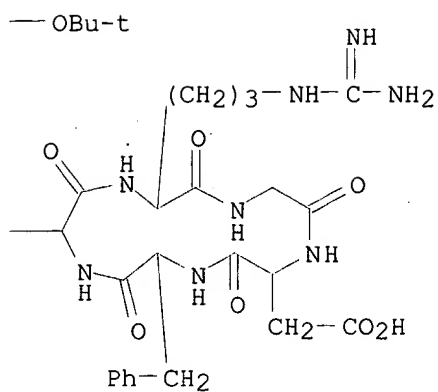
CRN 250612-81-8

CMF C87 H137 N23 O23

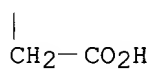
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PAGE 1-B



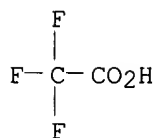
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 250612-07-8P

(prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

RN 250612-07-8 USPATFULL

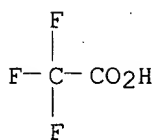
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250612-06-7

CMF C75 H113 N23 O23





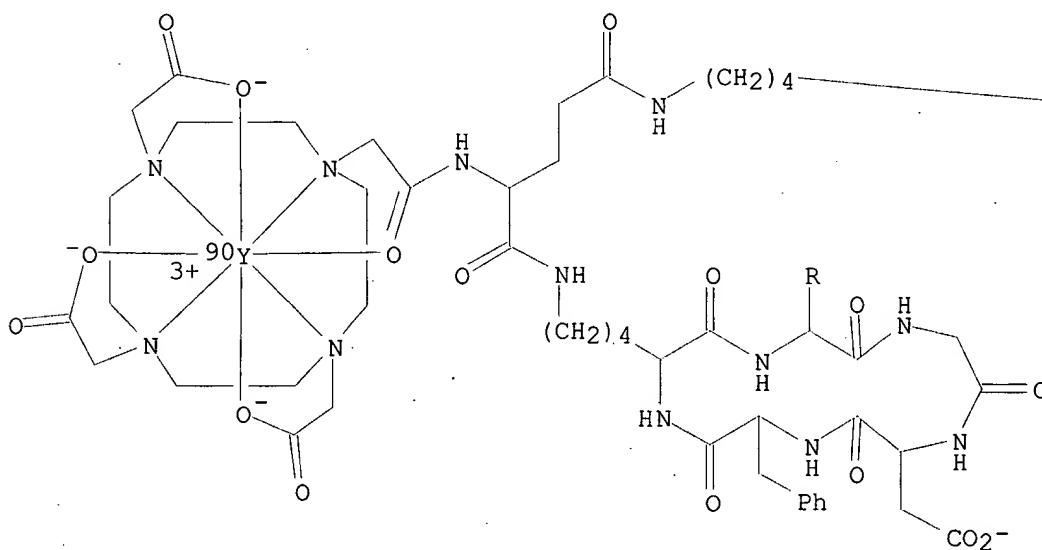
IT 250614-38-1P

(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

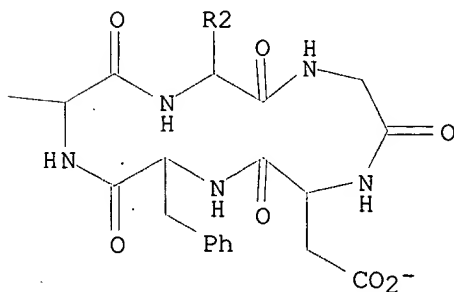
RN 250614-38-1 USPTFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

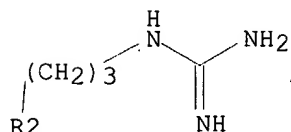
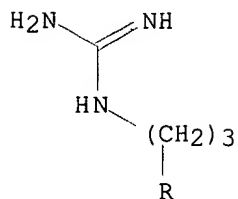
PAGE 1-A



PAGE 1-B



PAGE 2-A

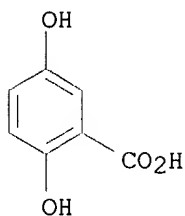


● 2 H<sup>+</sup>

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IT 10098-91-6D, 90Y, complexes, biological studies
      (prepn. of stable radiopharmaceutical compns. useful for tumor therapy)
RN 10098-91-6  USPATFULL
CN  Yttrium, isotope of mass 90 (8CI, 9CI)  (CA INDEX NAME)
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90Y

IT 490-79-9, Gentisic acid  
(stabilizing agent; prepn. of stable radiopharmaceutical compns. useful  
for tumor therapy)  
RN 490-79-9 USPATFULL  
CN Benzoic acid, 2,5-dihydroxy- (9CI) (CA INDEX NAME)



```
L52 ANSWER 6 OF 14 USPATFULL
AN 2002:198232 USPATFULL
TI Simultaneous imaging of cardiac perfusion and a vitronectin receptor
targeted imaging agent
IN Carpenter,, Alan P., JR., Carlisle, MA, UNITED STATES
PI US 2002106325 A1 20020808
AI US 2001-995388 A1 20011127 (9)
PRAI PH 2000-7201 20001127
DT Utility
FS APPLICATION
LREP BRISTOL-MYERS SQUIBB PHARMA COMPANY, PATENT DEPARTMENT, P.O. BOX 4000,
PRINCETON, NJ, 08543-4000
CLMN Number of Claims: 66
```

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6224

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes a method of concurrent imaging in a mammal comprising:

a) administering to said mammal a vitronectin receptor targeted imaging agent and a perfusion imaging agent; and

b) concurrently detecting the vitronectin target imaging agent bound at the vitronectin receptor and the perfusion imaging agent; and

c) forming an image from the detection of said vitronectin receptor targeted imaging agent and said perfusion imaging agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-07-8P

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 250612-07-8 USPTFULL

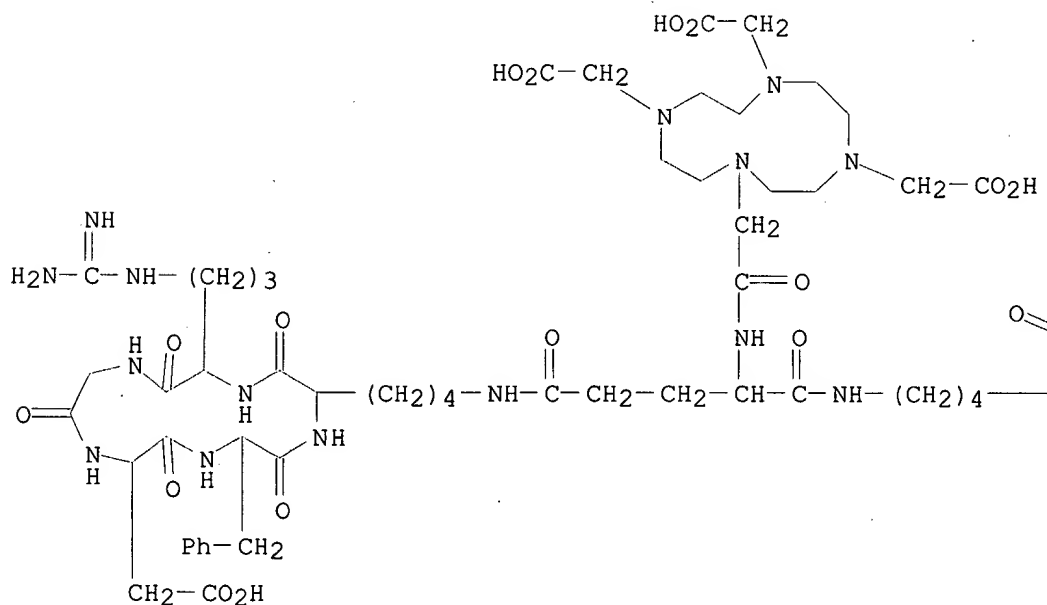
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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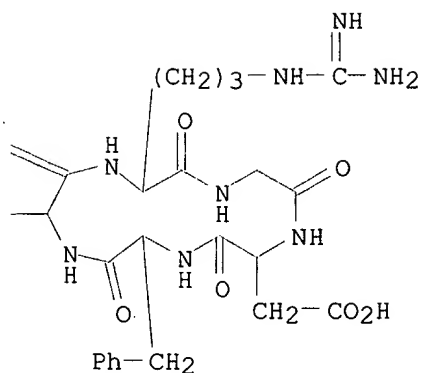
CRN 250612-06-7

CMF C75 H113 N23 O23

PAGE 1-A



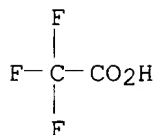
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



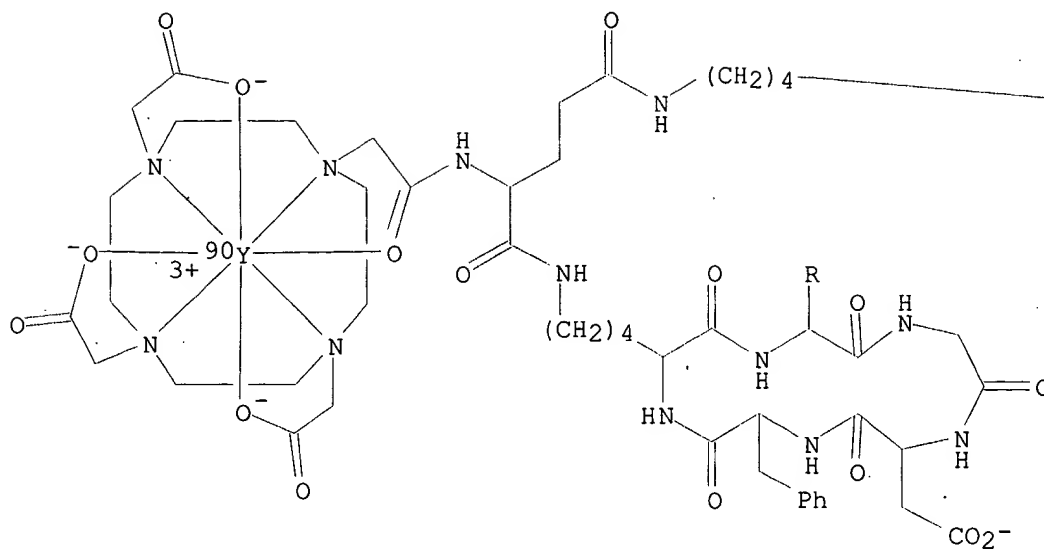
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

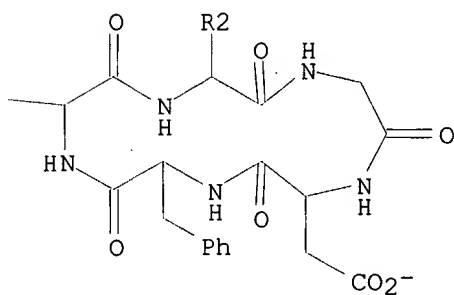
RN 250614-38-1 USPATEFULL

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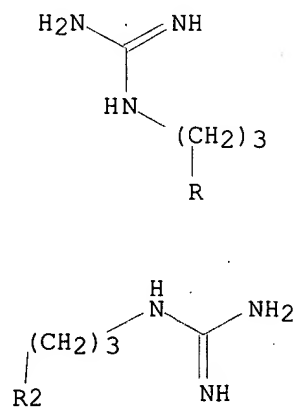
PAGE 1-A



PAGE 1-B



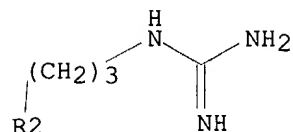
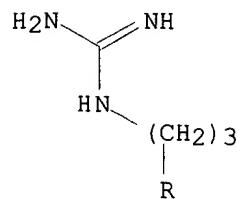
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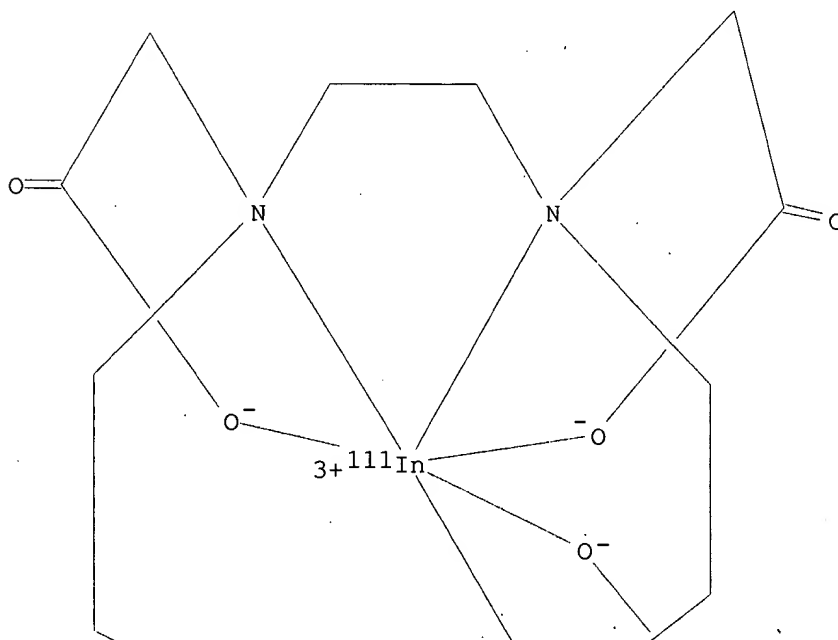


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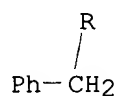
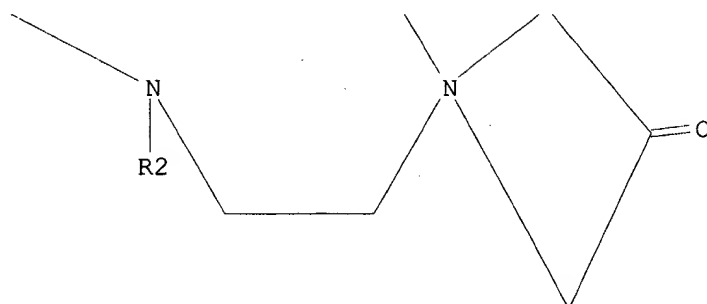
● 2 H<sup>+</sup>

RN 250614-40-5 USPATFULL  
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PAGE 1-A

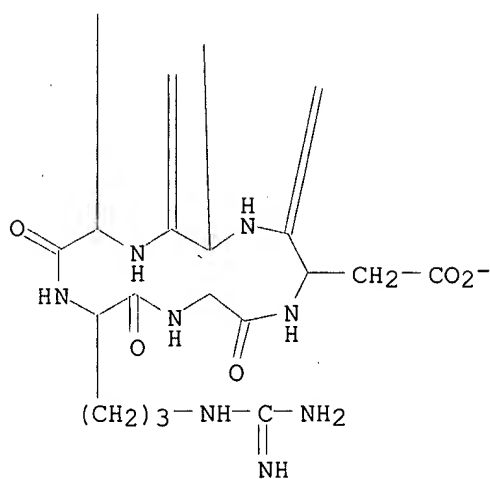


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A

2 H<sup>+</sup>

IT 250612-82-9P

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 250612-82-9 USPTFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),

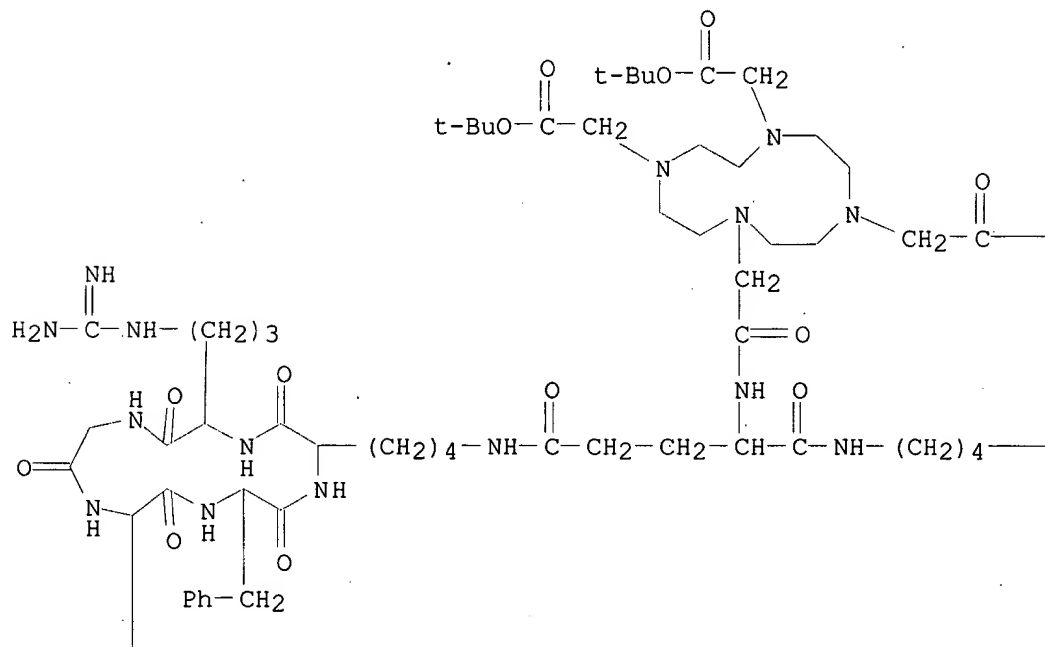
5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

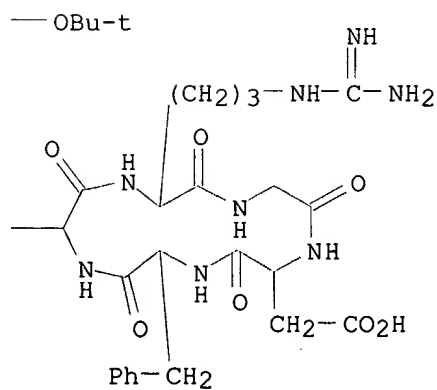
CRN 250612-81-8

CMF C87 H137 N23 O23

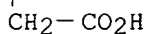
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PAGE 1-B

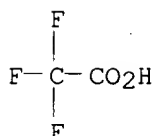


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

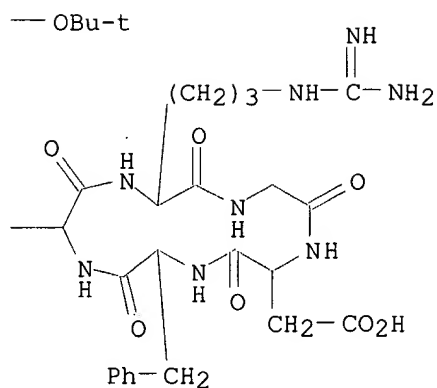


L52 ANSWER 7 OF 14 USPATFULL  
 AN 2002:135936 USPATFULL  
 TI Arrayable thermal assays  
 IN Ludington, David Norman, Newton, PA, United States  
 Fare, Thomas Louis, Redmond, WA, United States  
 Lo Iacono, Dominic Joseph, Yardville, NJ, United States  
 Davis, Timothy James, Columbus, NJ, United States  
 Semus, Helen Jiang, Bensalem, PA, United States  
 Stabile, Paul John, Langhorne, PA, United States  
 Guarnieri, Frank, Brooklyn, NY, United States  
 Granzow, Russell Todd, Titusville, NJ, United States  
 Zanzucchi, Peter J., Lawrenceville, NJ, United States  
 Chiang, William, Monmouth Jct., NJ, United States  
 PA Sarnoff Corporation, Princeton, NJ, United States (U.S. corporation)  
 PI US 6402369 B1 20020611  
 AI US 1999-432736 19991102 (9)  
 PRAI US 1998-112629P 19981216 (60)  
 US 1998-106811P 19981103 (60)  
 DT Utility  
 FS GRANTED  
 EXNAM Primary Examiner: Gutierrez, Diego; Assistant Examiner: Pruchnic, Jr., Stanley J.  
 LREP Burke, William J.  
 CLMN Number of Claims: 16  
 ECL Exemplary Claim: 1  
 DRWN 11 Drawing Figure(s); 4 Drawing Page(s)  
 LN.CNT 1360  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB Provided are, among other things, devices for and methods for performing thermal signature assays on a two or more samples in an array, using active/control base thermopiles, the method comprising: [a] performing a heat transfer to the two or more samples in each of a two or more containers, using at least one base thermopile in thermal communication with the two or more containers; and [b] determining a total heat transferred to the samples by the base thermopile in step [a]; and [c] sensing in real time a temperature difference between a first sample and a second sample of the two or more samples resulting from performing step [a].

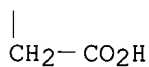
CAS INDEXING IS AVAILABLE FOR THIS PATENT.



PAGE 1-B



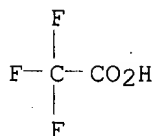
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



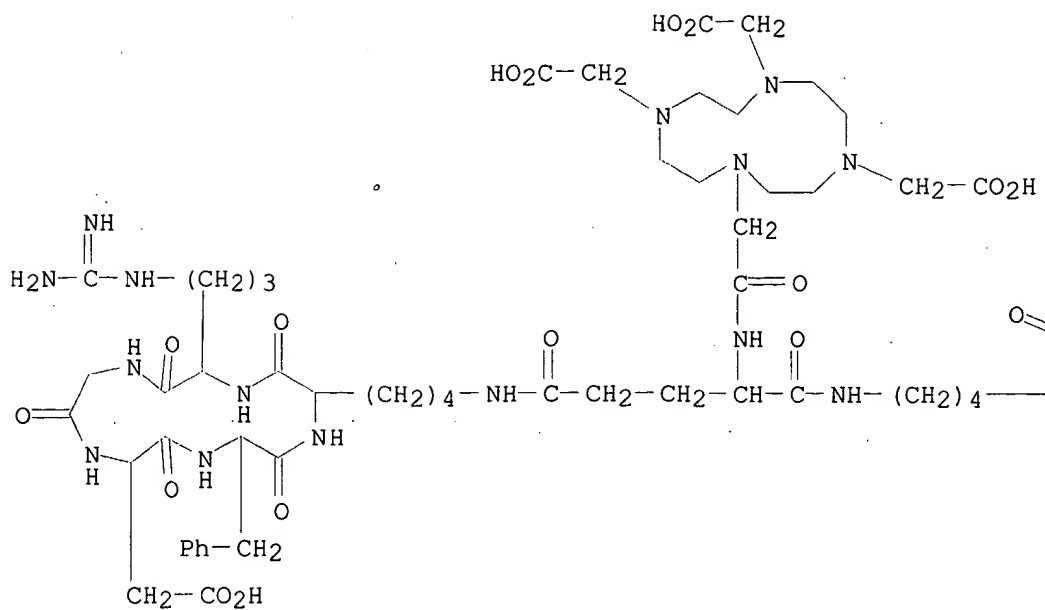
IT 250612-06-7P 250612-07-8P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

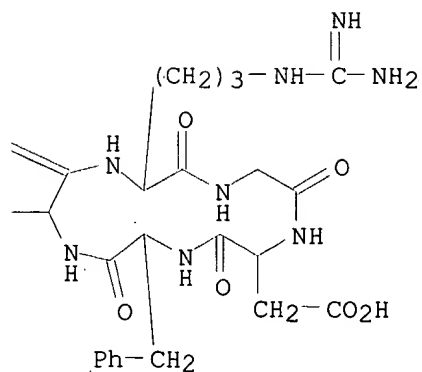
RN 250612-06-7 USPATFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



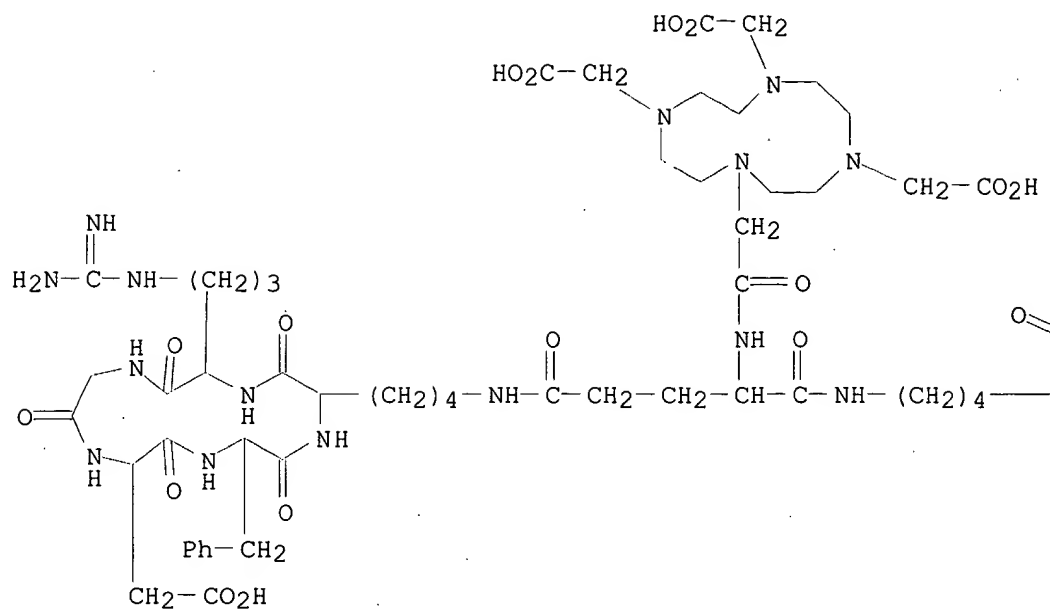
RN 250612-07-8 USPATFULL  
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CM 1

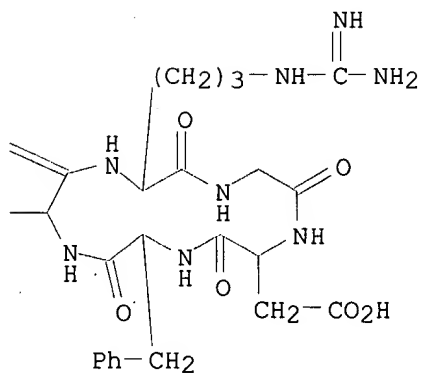
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 CMF C75 H113 N23 O23



PAGE 1-A

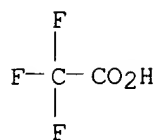


PAGE 1-B



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



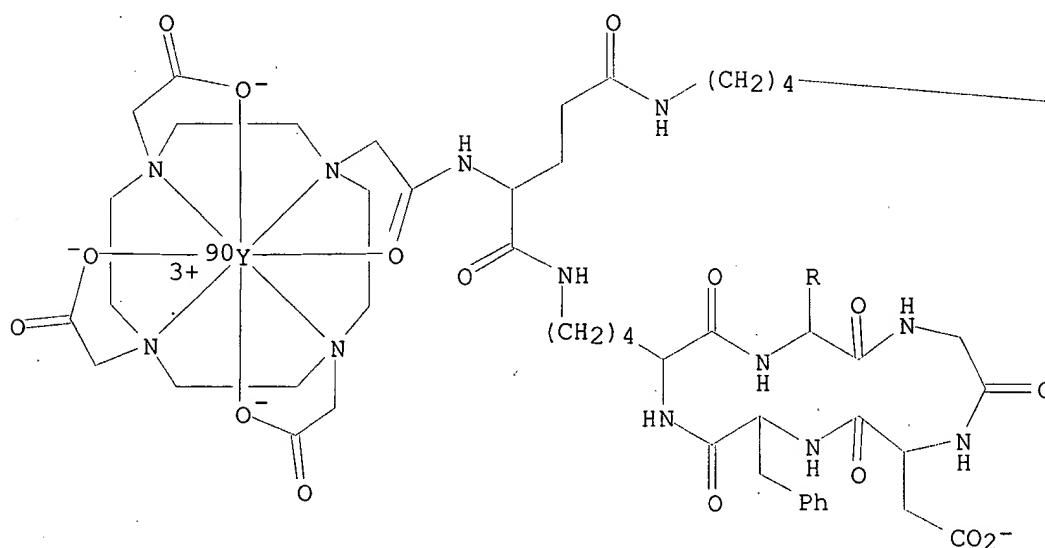
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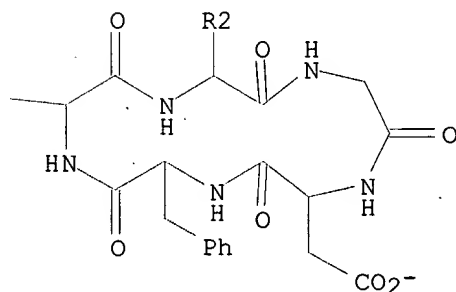
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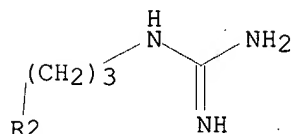
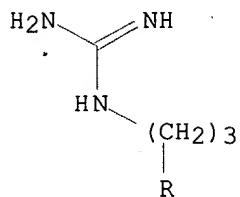
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PAGE 1-B



PAGE 2-A

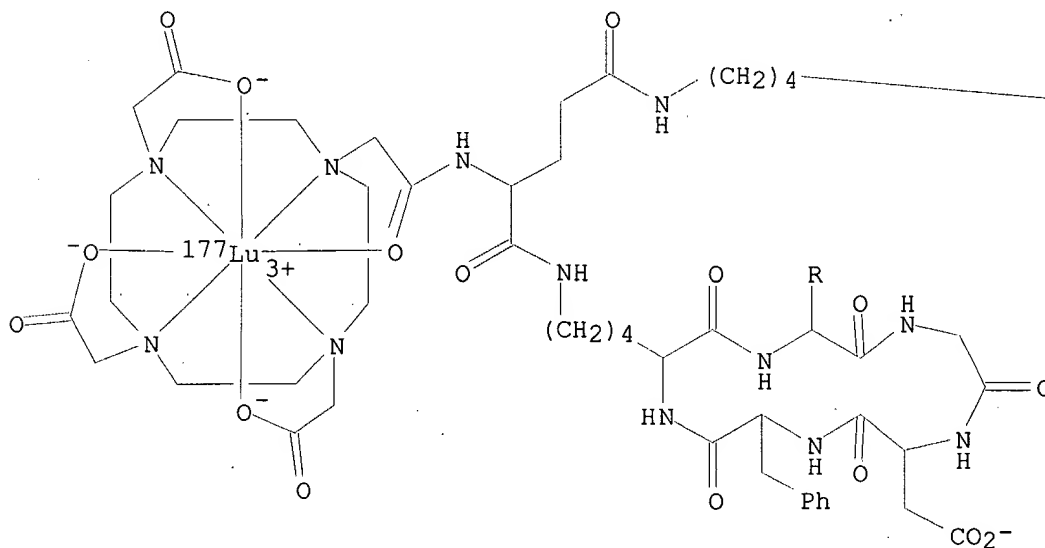


● 2 H<sup>+</sup>

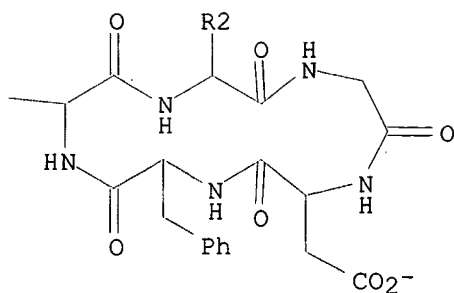
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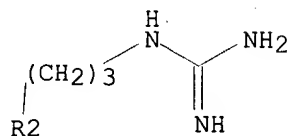
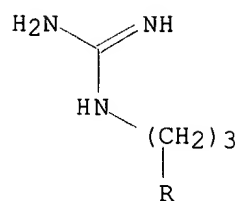
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PAGE 1-B



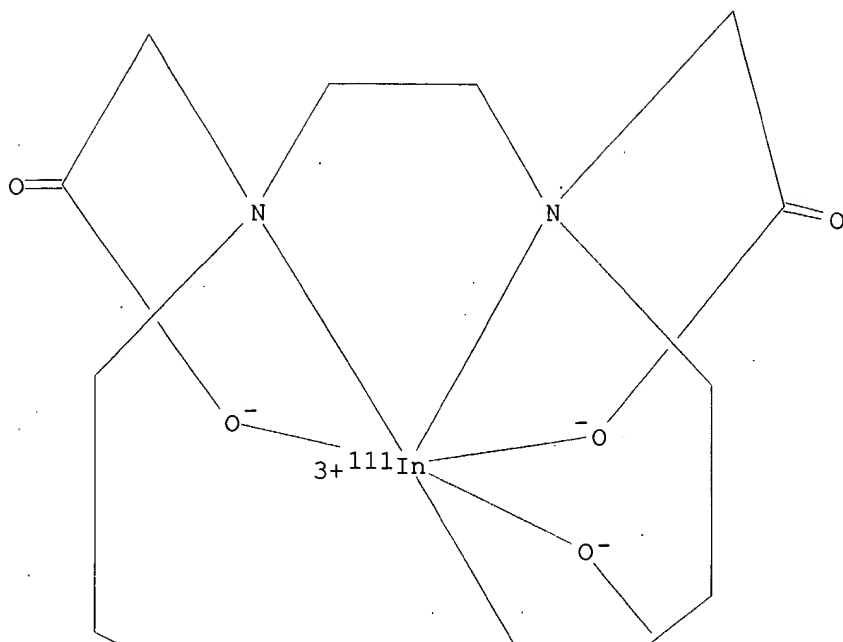
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● 2 H<sup>+</sup>

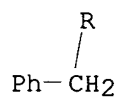
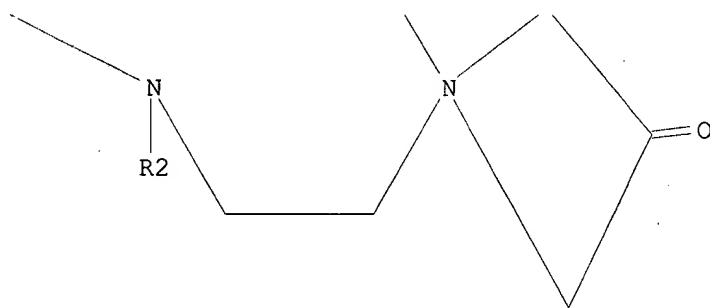
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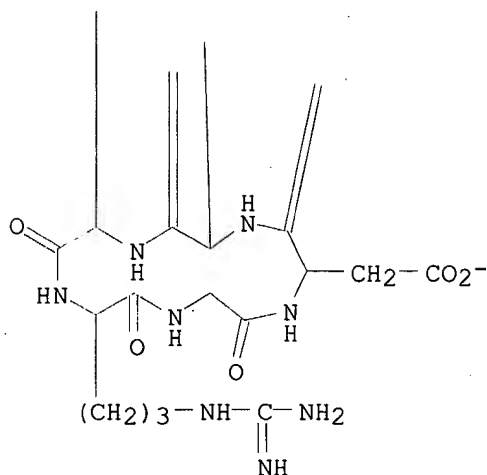
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PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

L52 ANSWER 8 OF 14 USPATFULL  
 AN 2002:119921 USPATFULL  
 TI Vitronectin receptor antagonist pharmaceuticals  
 IN Harris, Thomas D., Salem, NH, UNITED STATES  
 Rajopadhye, Milind, Westford, MA, UNITED STATES  
 PI US 2002061909 A1 20020523  
 AI US 2001-948390 A1 20010907 (9)  
 RLI Continuation of Ser. No. US 1999-465300, filed on 17 Dec 1999, PENDING  
 PRAI US 1998-112732P 19981218 (60)  
 DT Utility  
 FS APPLICATION  
 LREP DuPont Pharmaceuticals Company, c/o E. I. duPont de Nemours and Company,  
 Legal - Patents, 1007 Market Street, Wilmington, DE, 19898  
 CLMN Number of Claims: 57  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 7403  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The present invention further provides novel compounds useful for imaging atherosclerosis, restenosis, cardiac ischemia, and myocardial reperfusion injury. The present invention still further provides novel compounds useful for the treatment of rheumatoid arthritis. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

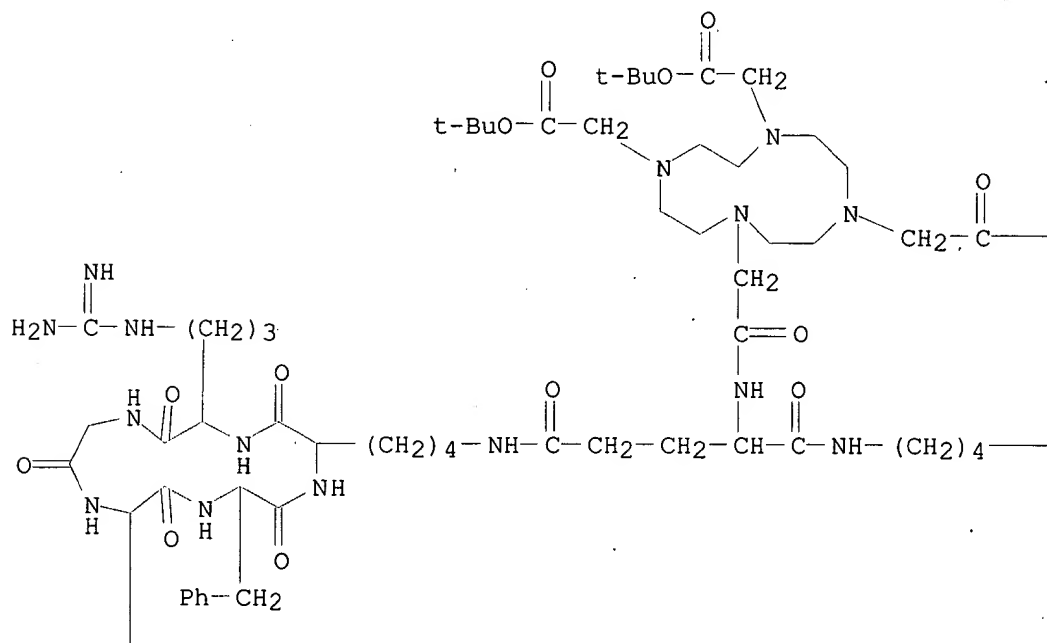
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
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 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

CM 1

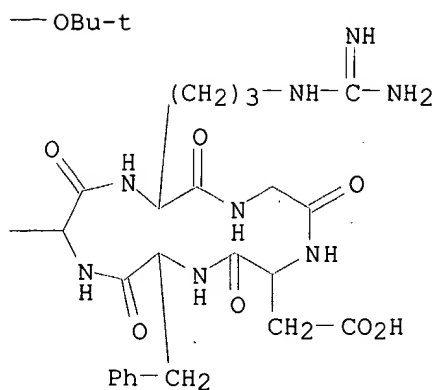
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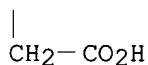
PAGE 1-A



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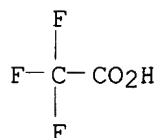


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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 250612-06-7P 250612-07-8P

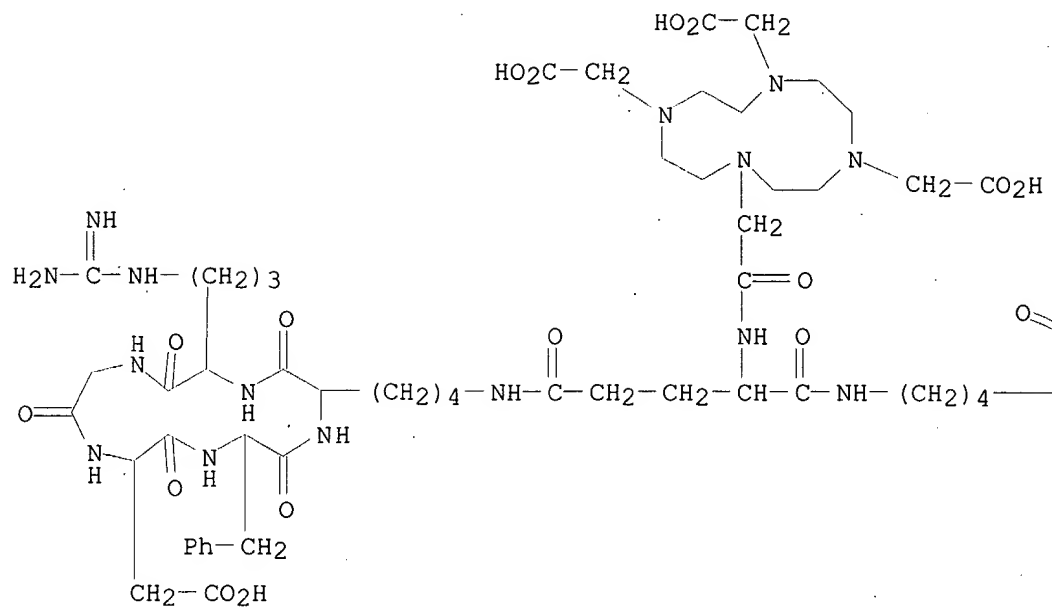
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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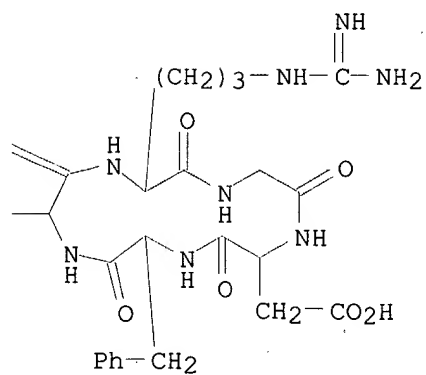
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)



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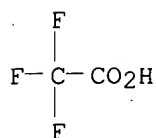


RN 250612-07-8 USPATFULL  
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CM 1

CRN 250612-06-7  
 CMF C75 H113 N23 O23





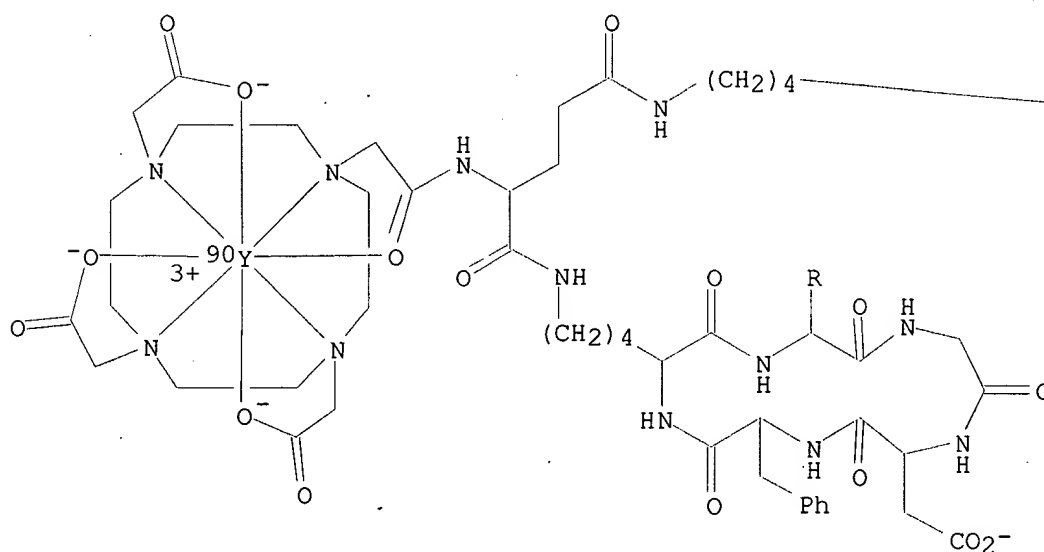
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(prepn. of peptide derivs. for the imaging of angiogenic disorders)

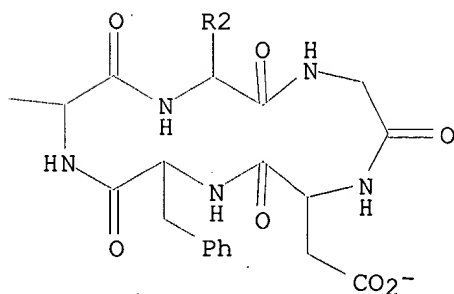
RN 250614-38-1 USPATFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

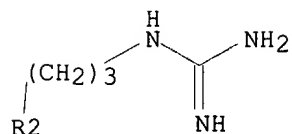
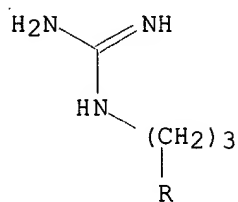
PAGE 1-A



PAGE 1-B



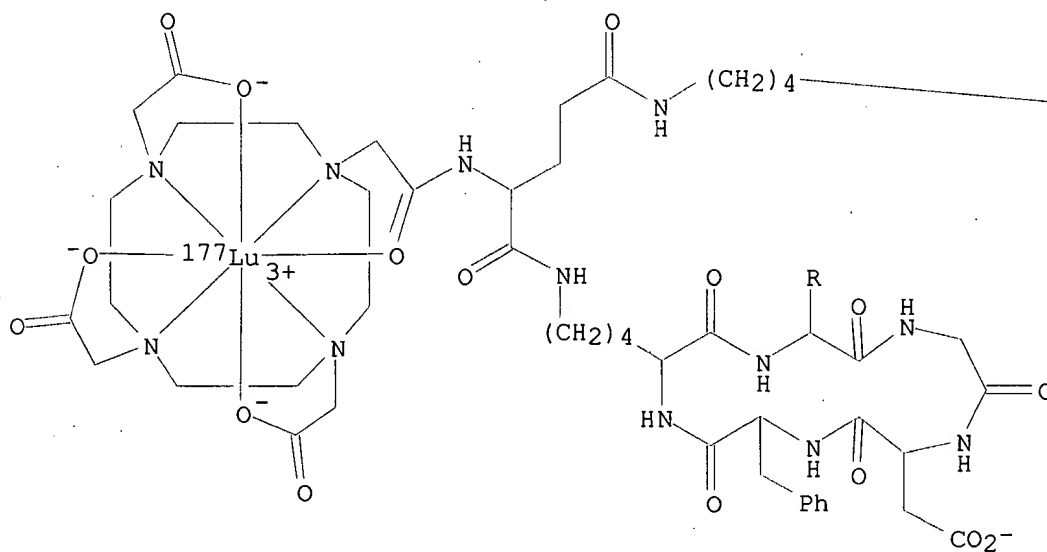
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● 2 H<sup>+</sup>

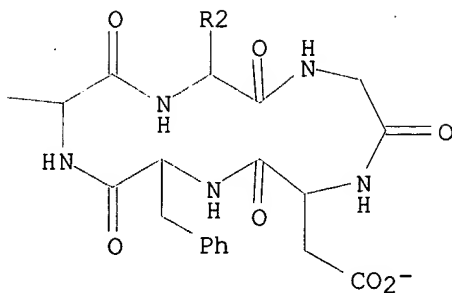
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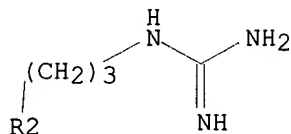
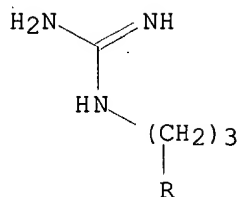
PAGE 1-A



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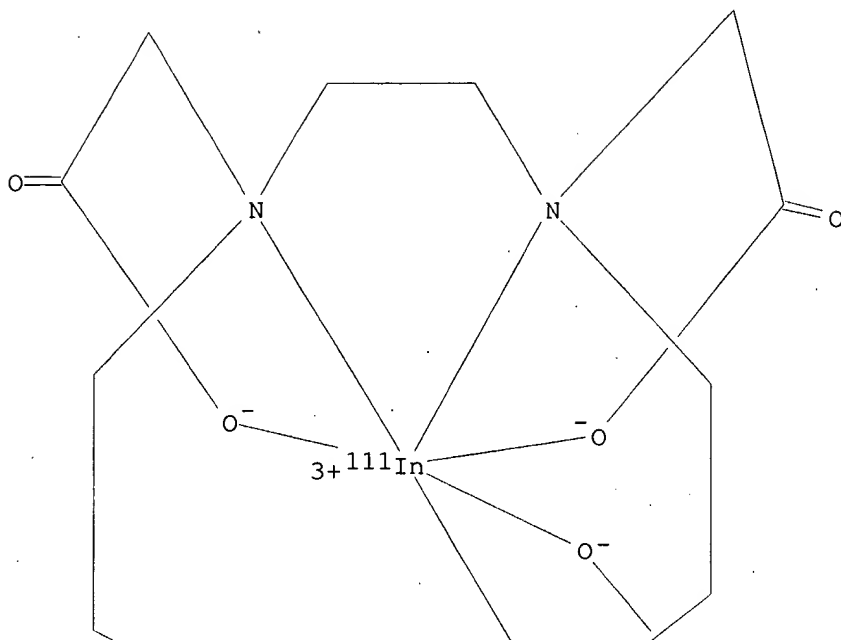
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● 2 H<sup>+</sup>

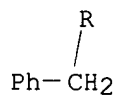
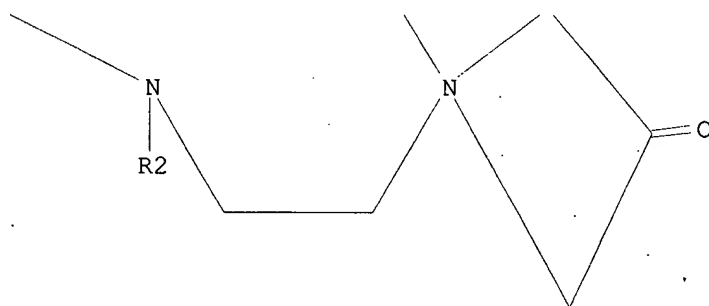
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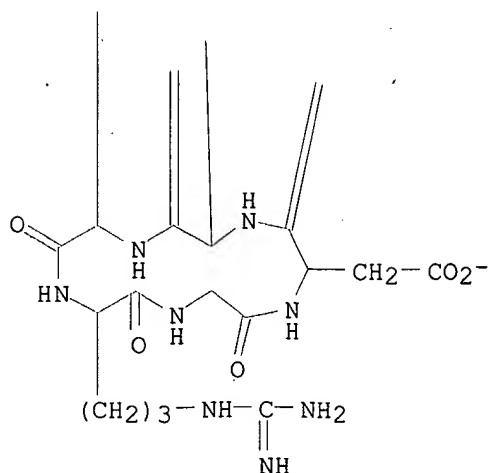
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PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

L52 ANSWER 9 OF 14 USPATFULL

AN 2002:26835 USPATFULL

TI QUINOLONE VITRONECTIN RECEPTOR ANTAGONIST PHARMACEUTICALS

IN HARRIS, THOMAS DAVID, SALEM, NH, UNITED STATES

PI US 2002015680 A1 20020207

US 6524553 B2 20030225

AI US 1999-281209 A1 19990330 (9)

PRAI US 1998-80150P 19980331 (60)

US 1998-112715P 19981218 (60)

US 1998-112829P 19981218 (60)

US 1998-112732P 19981218 (60)

US 1998-112831P 19981218 (60)

DT Utility

FS APPLICATION

LREP Dupont Pharmaceuticals Company, Legal Department - Patents, 1007 Market Street, Wilmington, DE, 19898

CLMN Number of Claims: 48

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6696

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

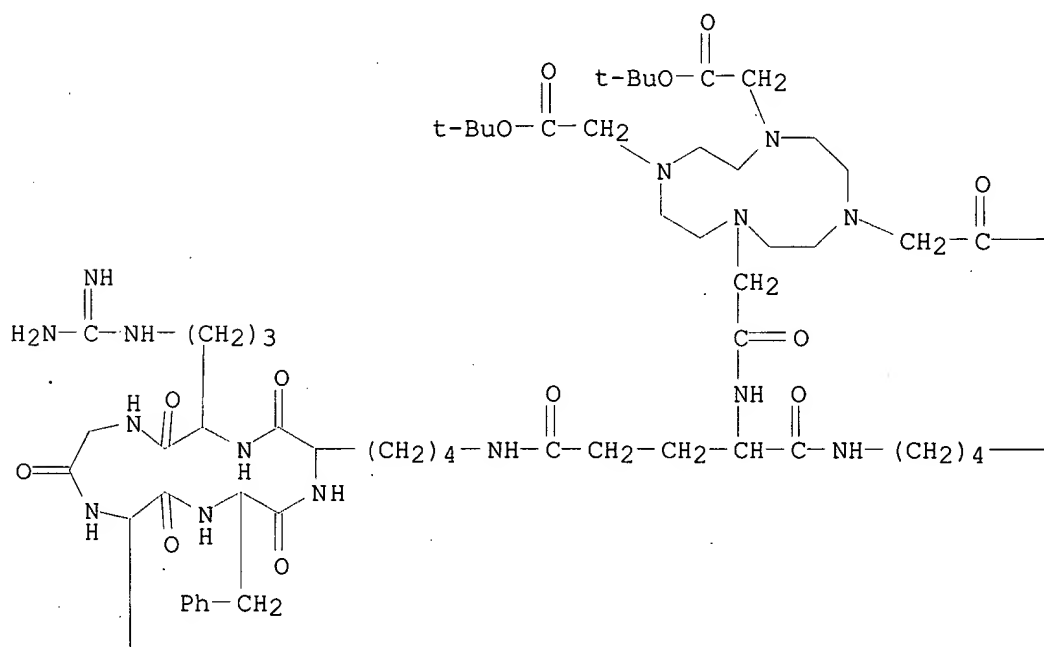
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
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 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

CM 1

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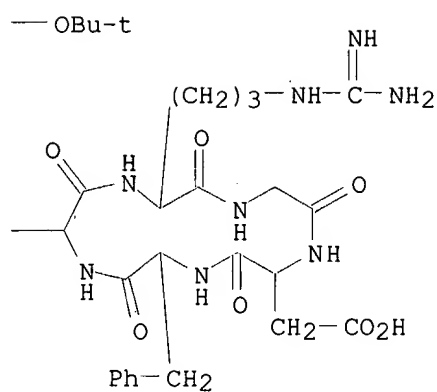
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PAGE 1-A

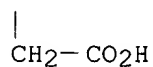




PAGE 1-B



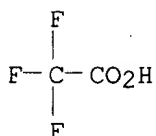
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



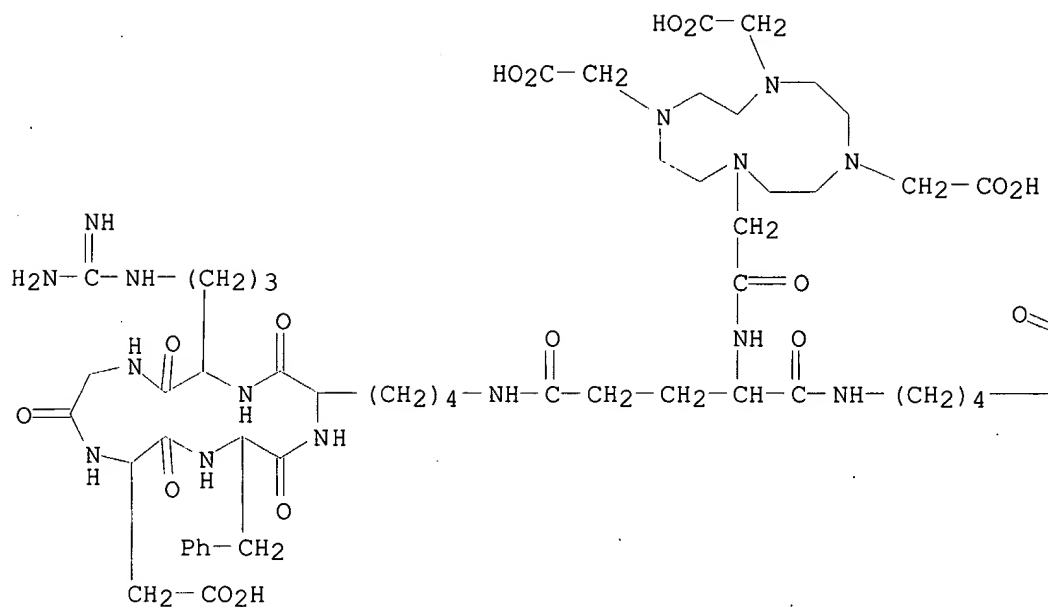
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(prepn. of peptide derivs. for the imaging of angiogenic disorders)

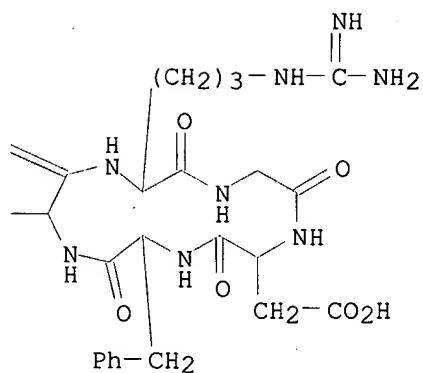
RN 250612-06-7 USPATFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



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RN 250612-07-8 USPATFULL

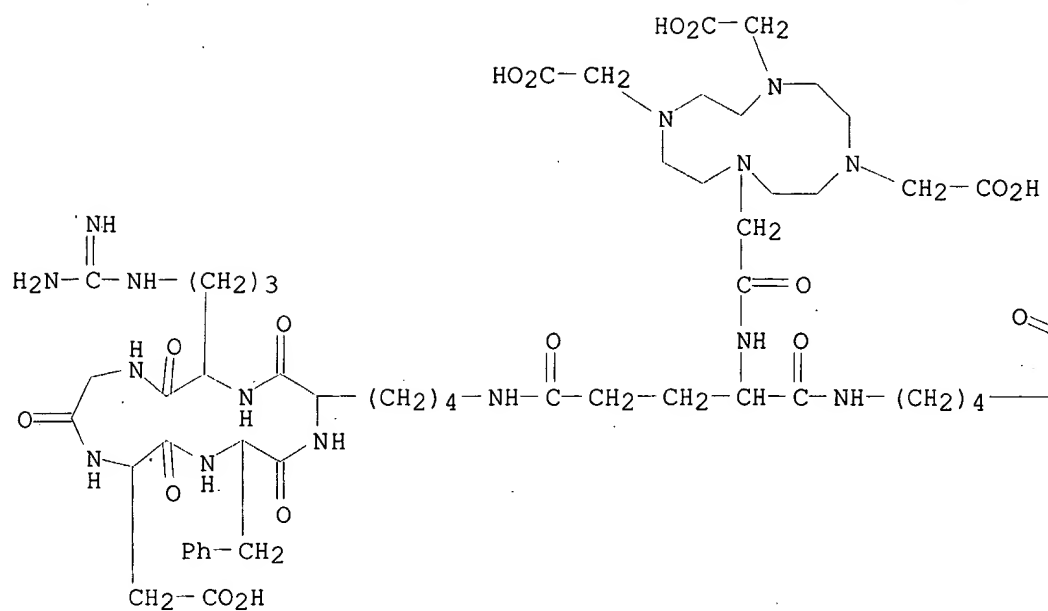
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

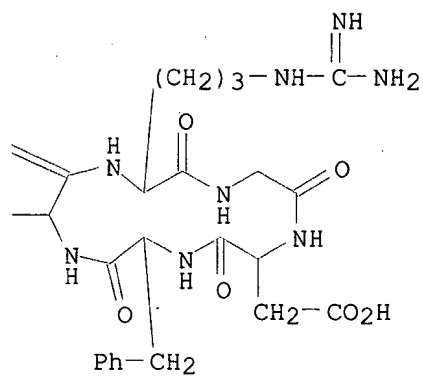
CRN 250612-06-7

CMF C75 H113 N23 O23

PAGE 1-A

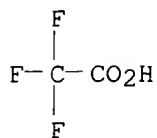


PAGE 1-B



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



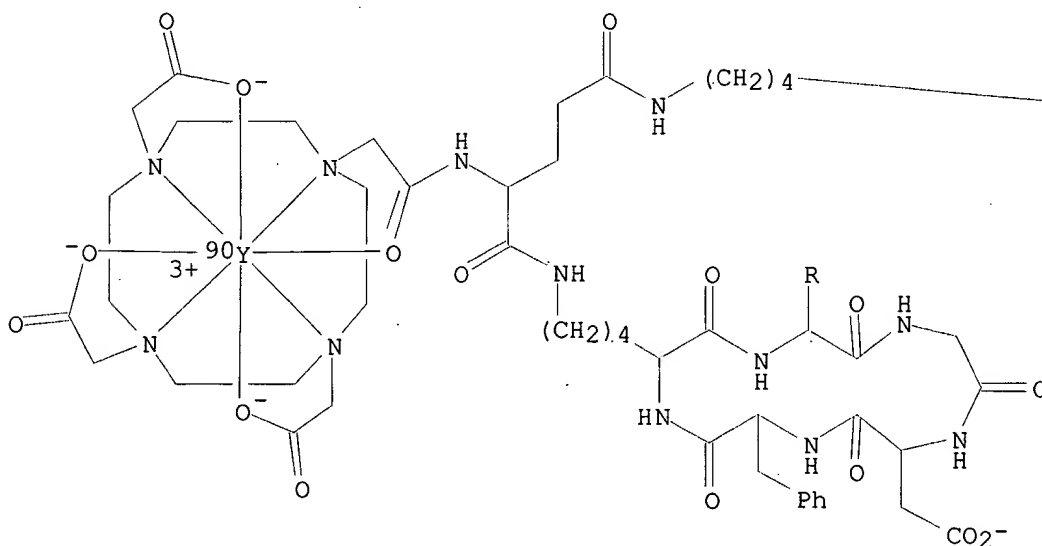
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(prepn. of peptide derivs. for the imaging of angiogenic disorders)

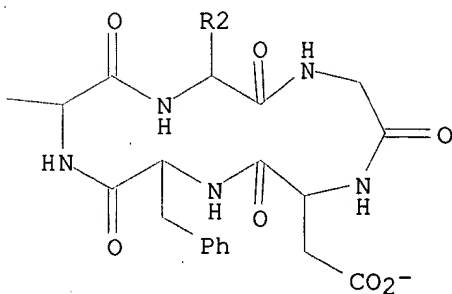
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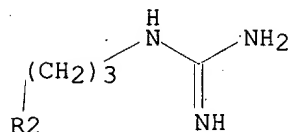
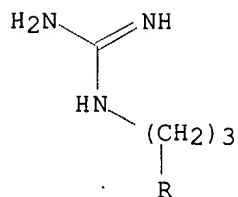
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PAGE 1-B



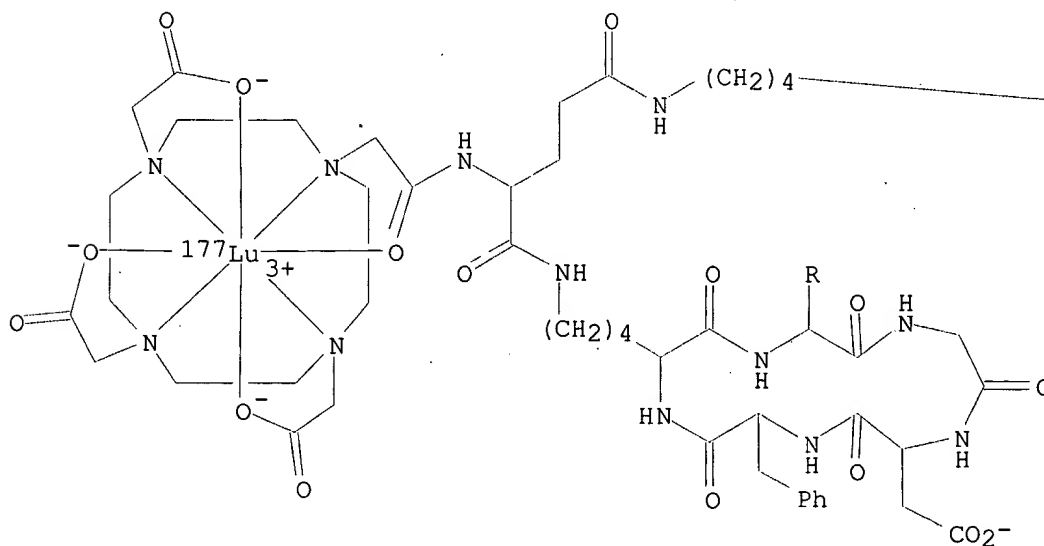
PAGE 2-A

● 2  $\text{H}^+$ 

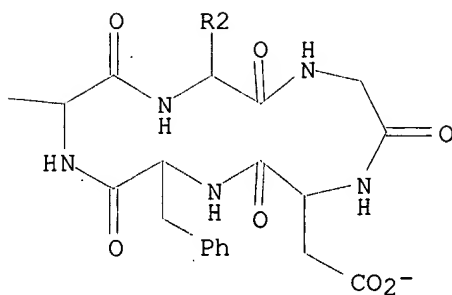
RN 250614-39-2 USPATFULL

CN Lutetate(2-)- $^{177}\text{Lu}$ , [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

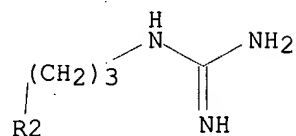
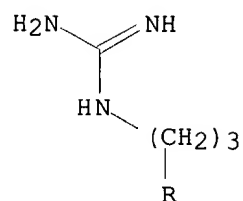
PAGE 1-A



PAGE 1-B



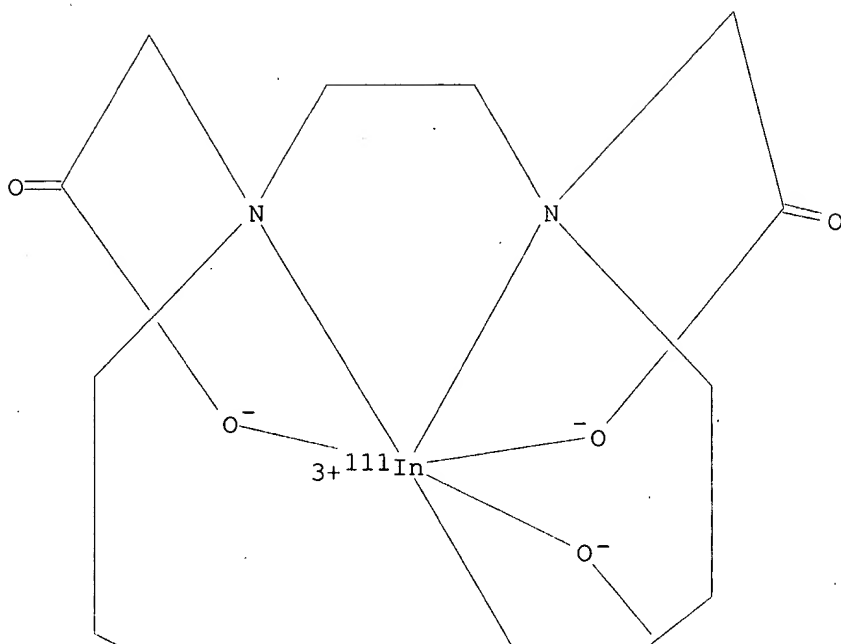
PAGE 2-A

● 2 H<sup>+</sup>

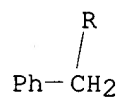
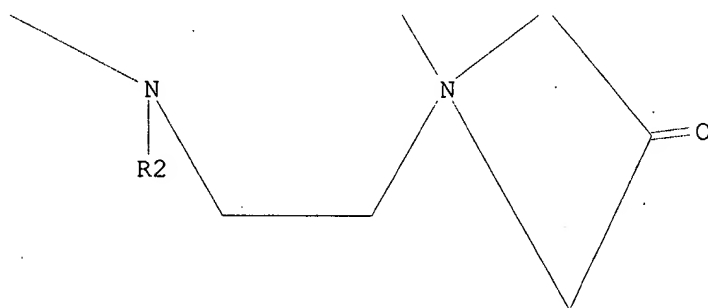
RN 250614-40-5 USPATFULL

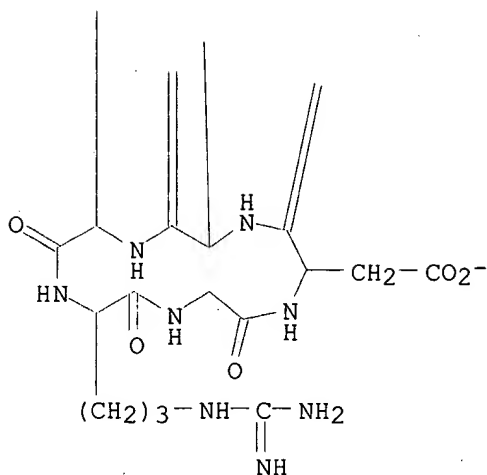
CN Indate(2-)-111In, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

L52 ANSWER 10 OF 14 USPTFULL  
 AN 2002:3593 USPTFULL  
 TI PHARMACEUTICALS FOR THE IMAGING OF ANGIOGENIC DISORDERS  
 IN RAJOPADHYE, MILIND, WESTFORD, MA, UNITED STATES  
 EDWARDS, D. SCOTT, BURLINGTON, MA, UNITED STATES  
 HARRIS, THOMAS D., SAMEL, NH, UNITED STATES  
 HAMINWAY, STUART J., LOWELL, MA, UNITED STATES  
 LIU, SHUANG, CHELMSFORD, MA, UNITED STATES  
 SINGH, PRAHLAD R., ARLINGTON, MA, UNITED STATES  
 PI US 2002001566 A1 20020103  
 AI US 1999-281474 A1 19990330 (9)  
 PRAI US 1998-80150P 19980331 (60)  
 US 1998-112715P 19981218 (60)  
 DT Utility  
 FS APPLICATION  
 LREP DAVID H. VANCE, DUPONT PHARMACEUTICALS COMPANY, C/O E. I. DU PONT DE  
 NEMOURS AND CO., LEGAL - PATENTS-1007 MARKET STREET, WILMINGTON, DE,  
 19898  
 CLMN Number of Claims: 51  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 5872  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging  
 tumors in a patient, and methods of treating cancer in a patient. The  
 present invention also provides novel compounds useful for monitoring  
 therapeutic angiogenesis treatment and destruction of new angiogenic  
 vasculature. The pharmaceuticals are comprised of a targeting moiety  
 that binds to a receptor that is upregulated during angiogenesis, an  
 optional linking group, and a therapeutically effective radioisotope or  
 diagnostically effective imageable moiety. The imageable moiety is a  
 gamma ray or positron emitting radioisotope, a magnetic resonance  
 imaging contrast agent, an X-ray contrast agent, or an ultrasound



contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

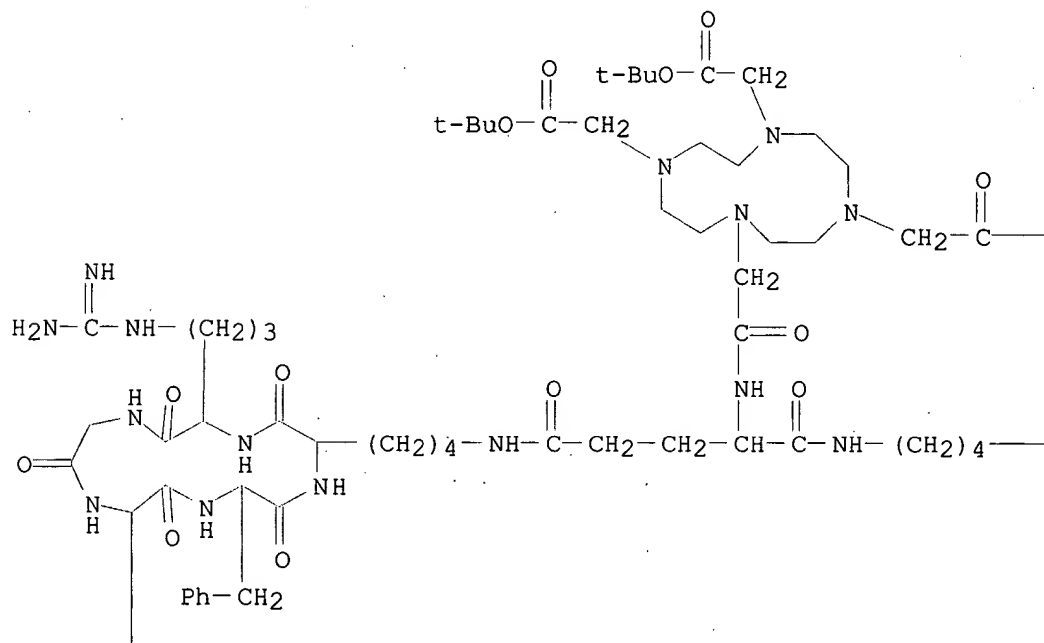
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

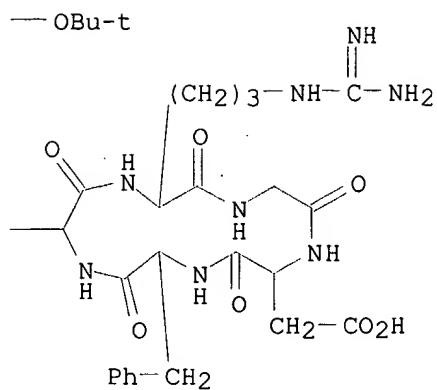
CRN 250612-81-8

CMF C87 H137 N23 O23

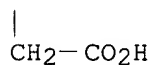
PAGE 1-A



PAGE 1-B

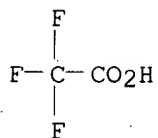


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



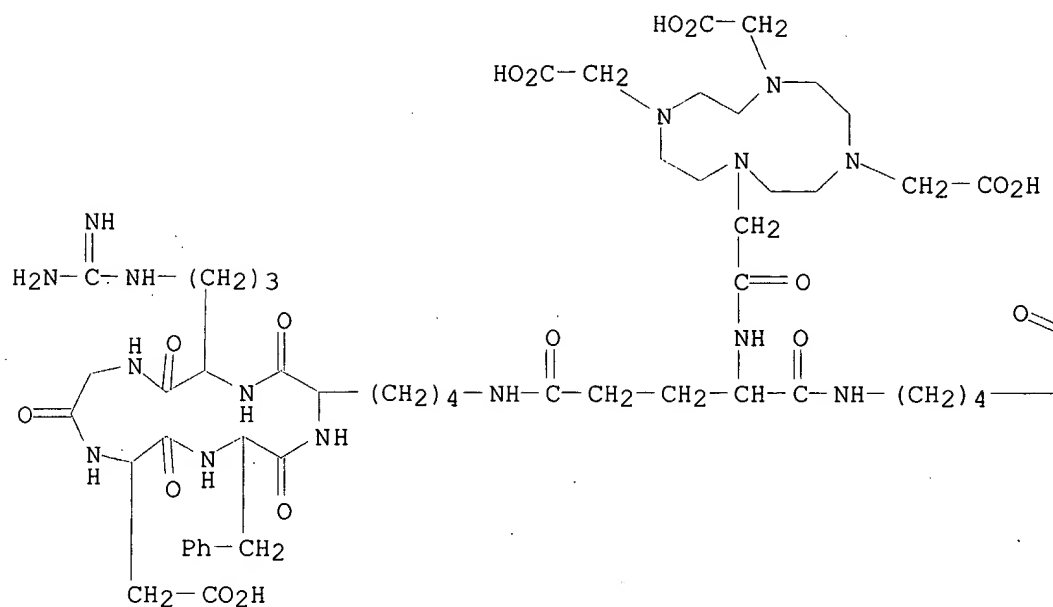
IT 250612-06-7P 250612-07-8P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

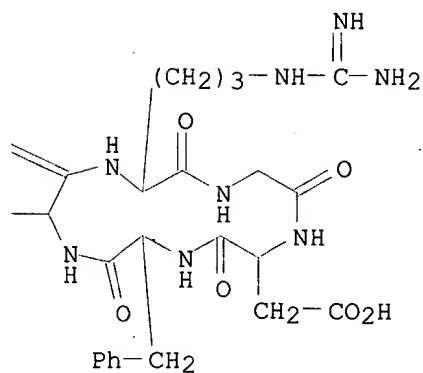
RN 250612-06-7 USPATFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

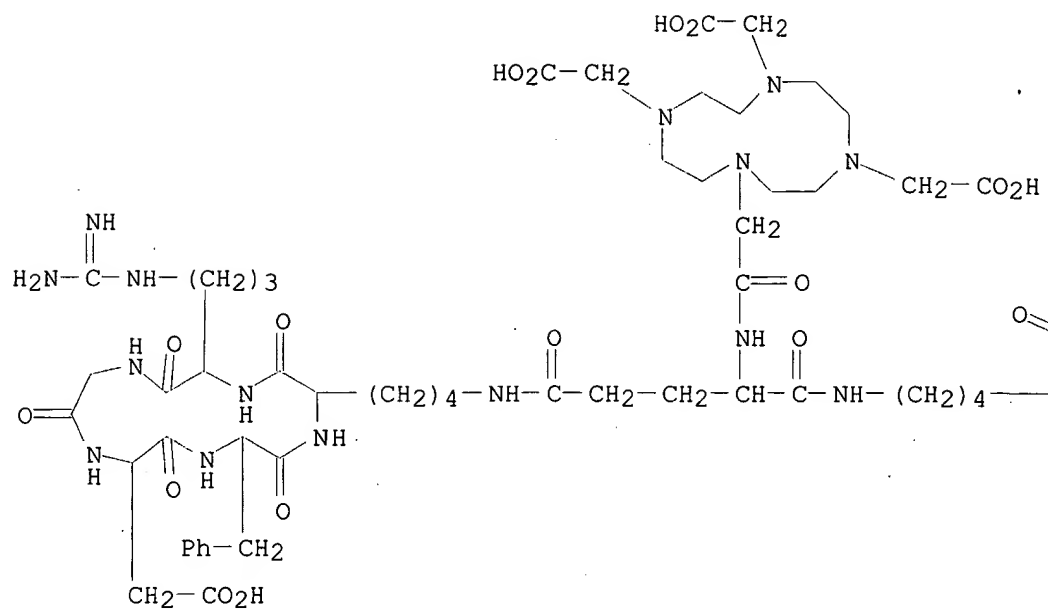


RN 250612-07-8 USPATFULL  
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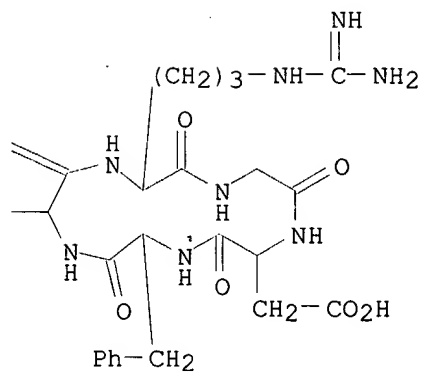
CM 1

CRN 250612-06-7  
 CMF C75 H113 N23 O23

PAGE 1-A

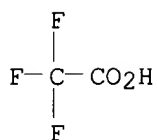


PAGE 1-B



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



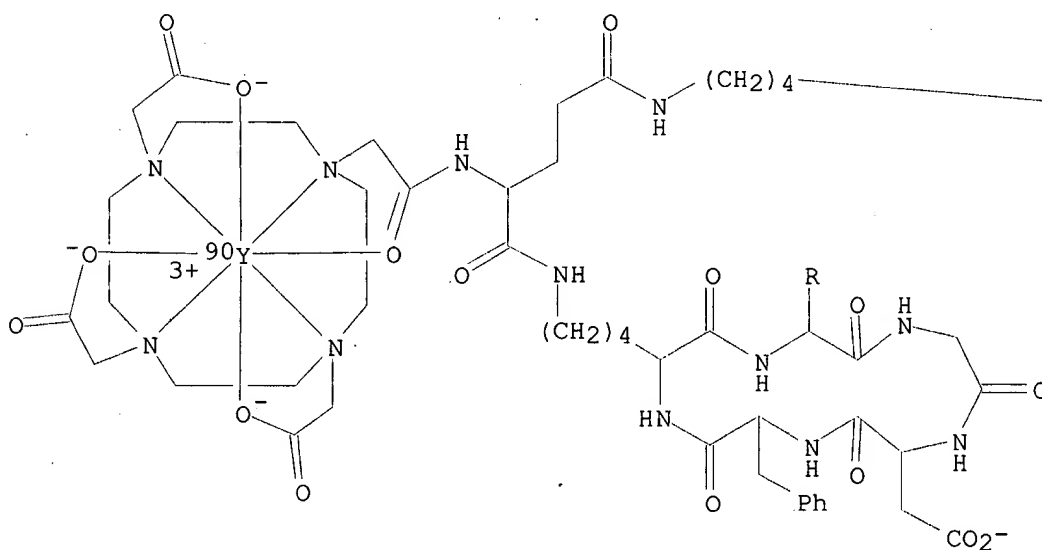
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

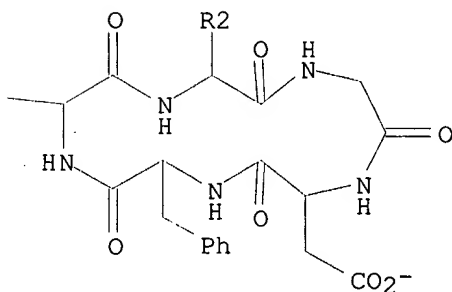
RN 250614-38-1 USPATFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

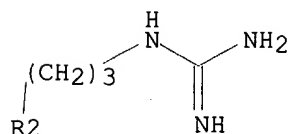
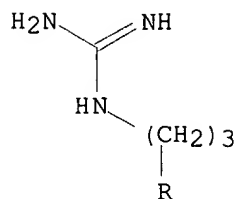
PAGE 1-A



PAGE 1-B



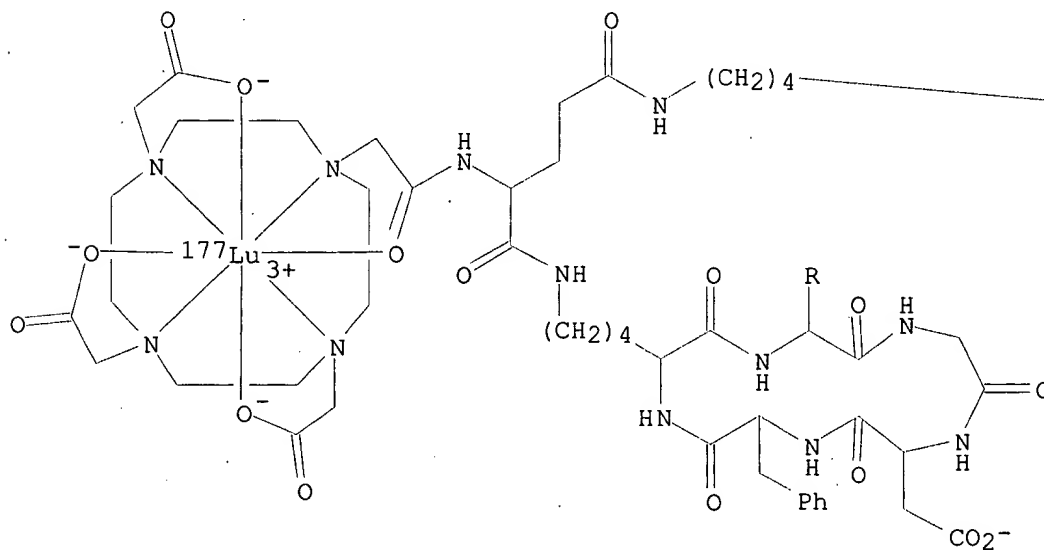
PAGE 2-A

● 2 H<sup>+</sup>

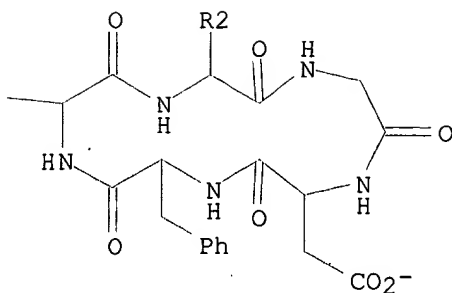
RN 250614-39-2 USPATFULL

CN Lutetate(2-)-177Lu, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

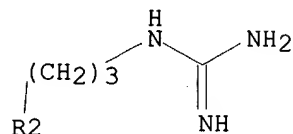
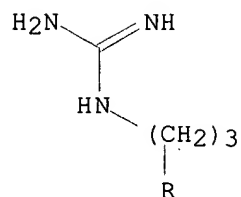
PAGE 1-A



PAGE 1-B



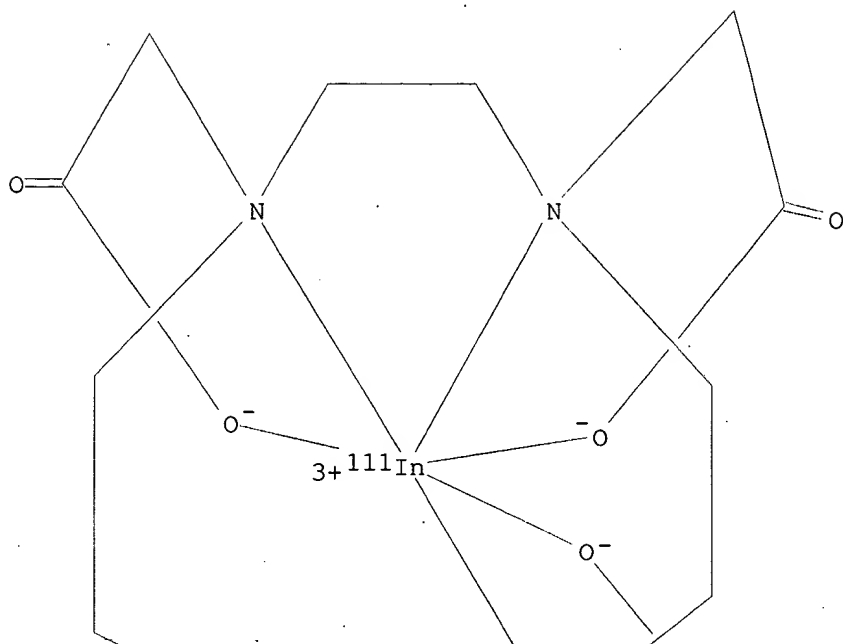
PAGE 2-A

● 2 H<sup>+</sup>

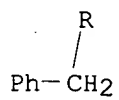
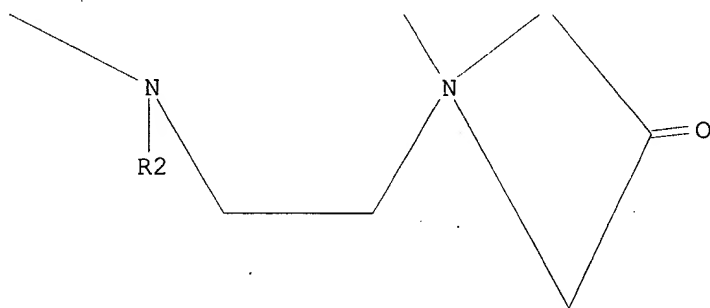
RN 250614-40-5 USPATFULL

CN Indate(2-)-111In, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

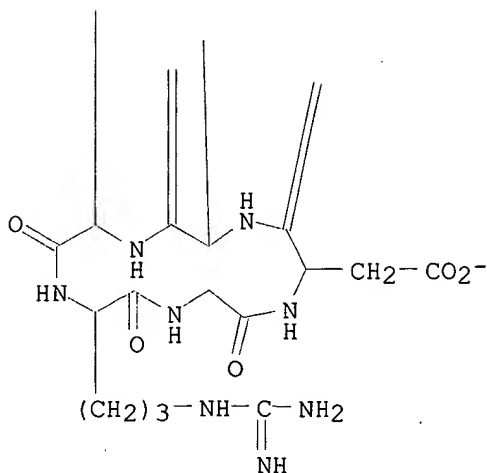
PAGE 1-A



PAGE 2-A







PAGE 4-A

● 2  $\text{H}^+$ 

L52 ANSWER 11 OF 14 USPATFULL  
 AN 2001:214639 USPATFULL  
 TI Indazole vitronectin receptor antagonist pharmaceuticals  
 IN Rajopadhye, Milind, Westford, MA, United States  
 Harris, Thomas David, Salem, NH, United States  
 PA DuPont Pharmaceuticals Company, Wilmington, DE, United States (U.S. corporation)  
 PI US 6322770 B1 20011127  
 AI US 1999-281207 19990330 (9)  
 DT Utility  
 FS GRANTED  
 EXNAM Primary Examiner: Jones, Dameron L.  
 LREP Dolan, Peter L.  
 CLMN Number of Claims: 70  
 ECL Exemplary Claim: 1  
 DRWN No Drawings  
 LN.CNT 6228

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention d ribs novel compounds of the formula:

(Q).sub.d --L.sub.n --C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

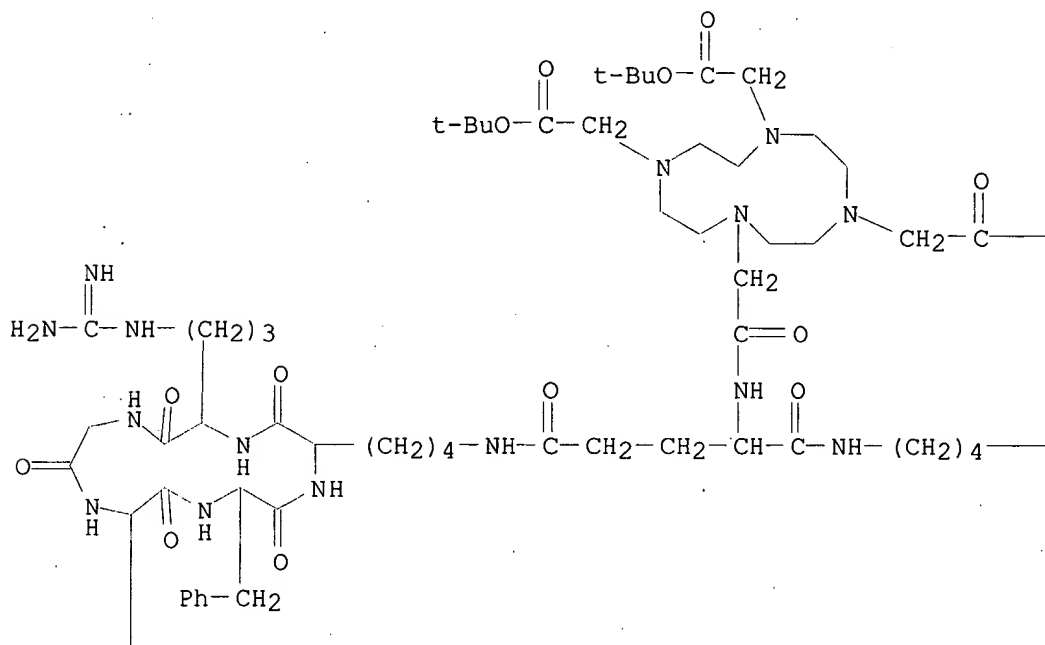
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-trisyl[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

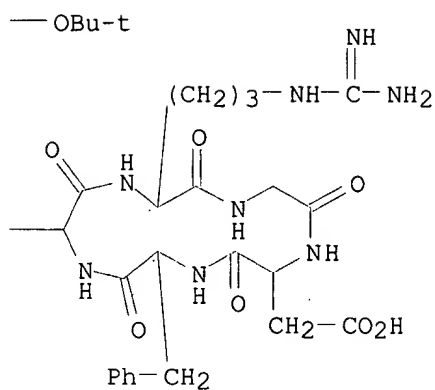
CRN 250612-81-8

CMF C87 H137 N23 O23

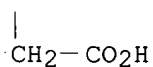
PAGE 1-A



PAGE 1-B

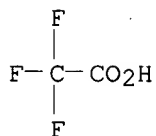


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 250612-06-7P 250612-07-8P

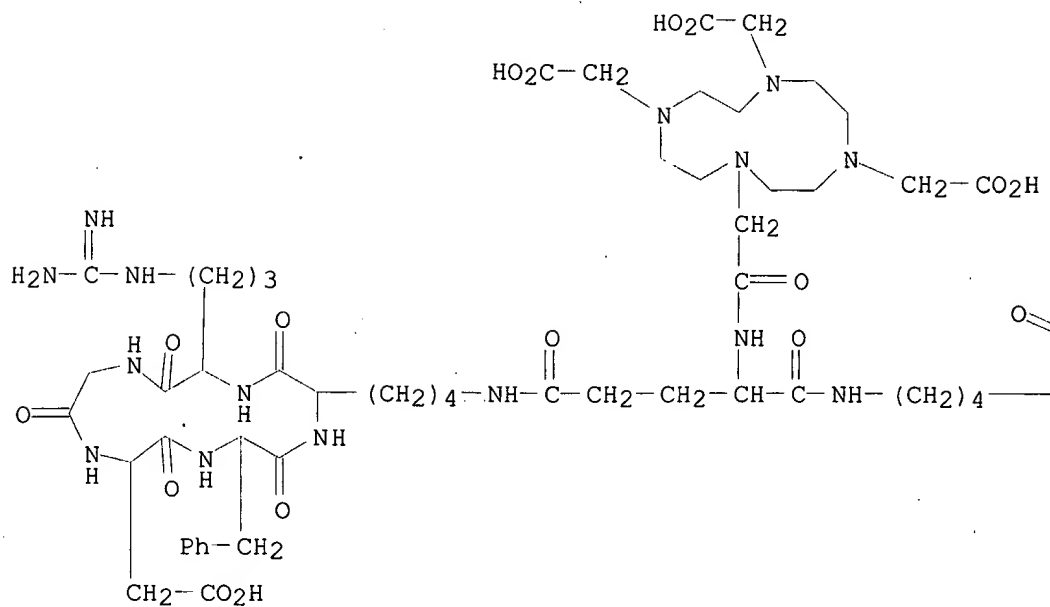
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-06-7 USPATFULL

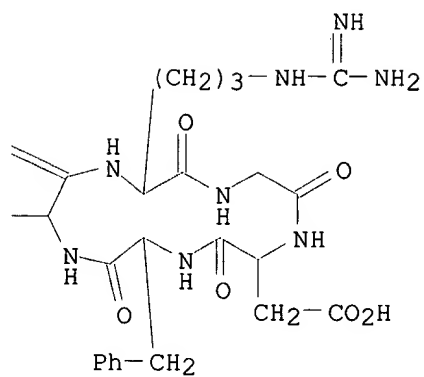
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)



PAGE 1-A

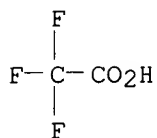


PAGE 1-B



CM 2

CRN 76-05-1  
 CME C2 H F3 O2



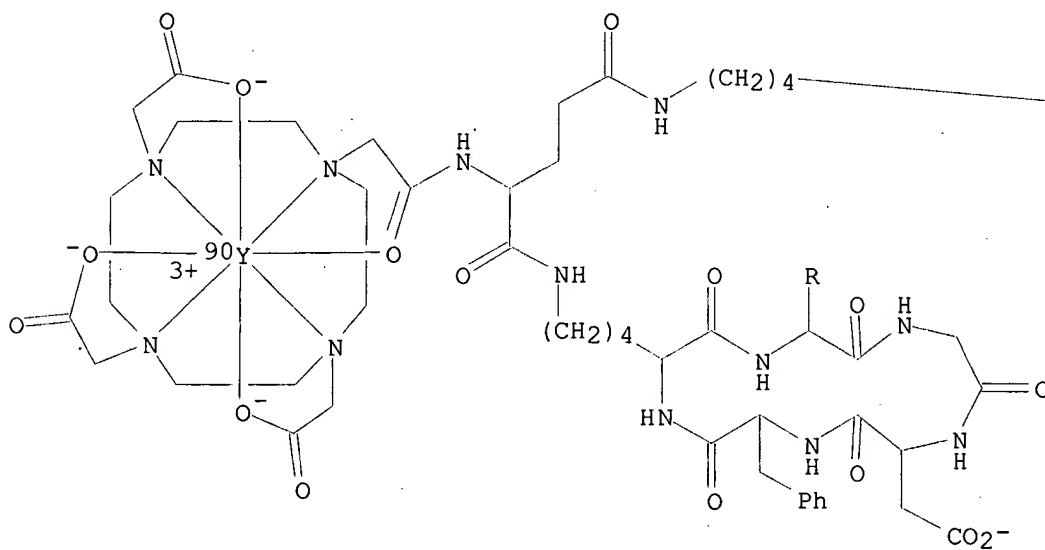
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

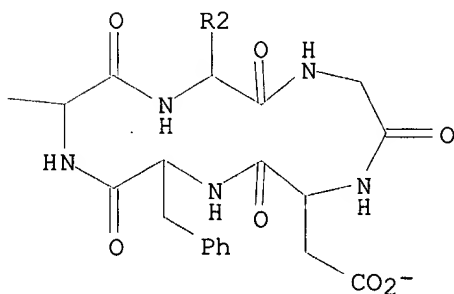
RN 250614-38-1 USPATFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

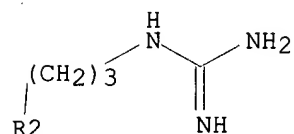
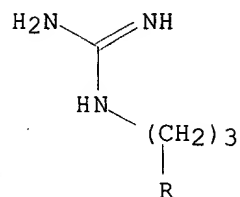
PAGE 1-A



PAGE 1-B



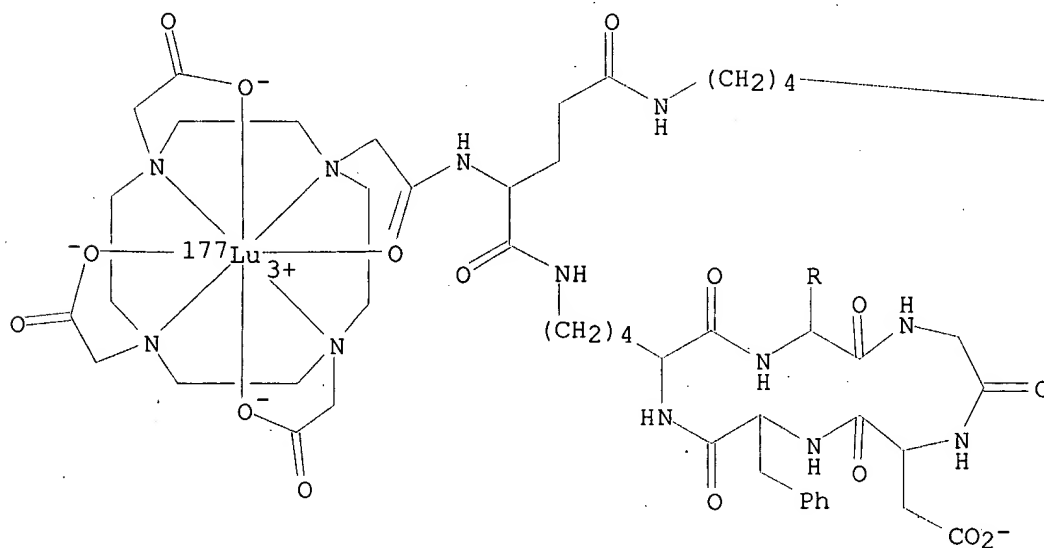
PAGE 2-A


● 2 H<sup>+</sup>

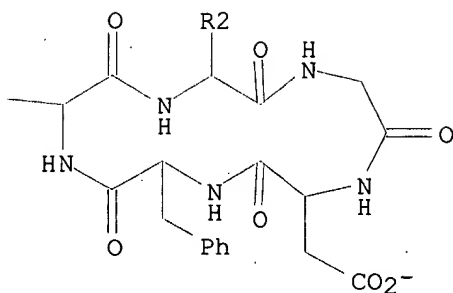
RN 250614-39-2 USPATFULL

CN Lutetate(2-)-177Lu, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

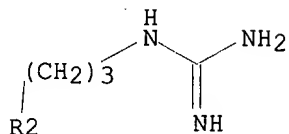
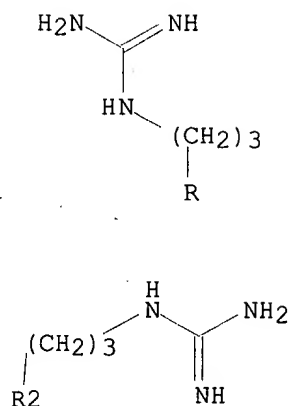
PAGE 1-A



PAGE 1-B



PAGE 2-A

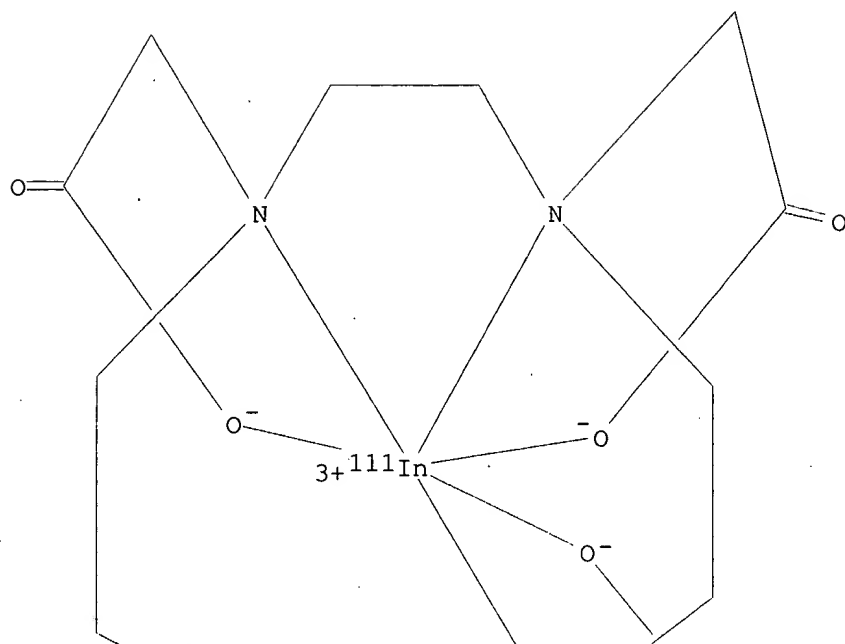
● 2 H<sup>+</sup>

RN 250614-40-5 USPATFULL

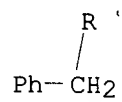
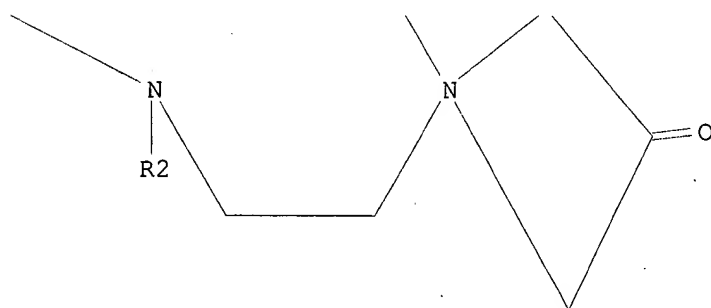
CN Indate(2-)-111In, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

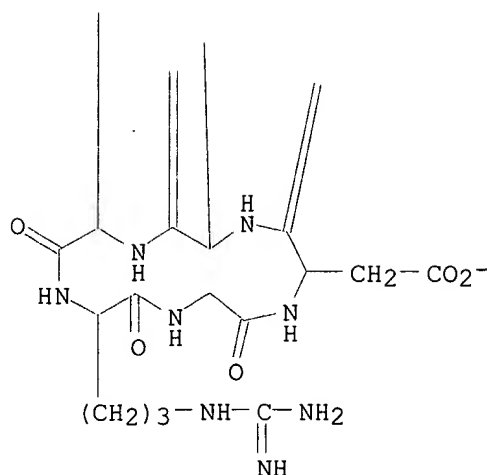


PAGE 1-A



PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

L52 ANSWER 12 OF 14 USPATFULL  
 AN 2001:133415 USPATFULL  
 TI DRIVING VOLTAGE GENERATOR OF LIQUID CRYSTAL DISPLAY UNIT  
 IN KAKUTA, RYOHEI, FUKUSHIMA-KEN, Japan  
 NAGAKUBO, HIDEAKI, FUKUSHIMA-KEN, Japan  
 TOKITA, SEIJI, FUKUSHIMA-KEN, Japan  
 YAMAZAKI, MITSUAKI, FUKUSHIMA-KEN, Japan  
 PI US 2001013864 A1 20010816  
 AI US 1998-112715 A1 19980709 (9)  
 PRAI JP 1997-184190 19970709  
 DT Utility  
 FS APPLICATION  
 LREP BRINKS HOFER GILSON & LIONE, P.O. BOX 10395, CHICAGO, IL, 60610  
 CLMN Number of Claims: 10  
 ECL Exemplary Claim: 1  
 DRWN 4 Drawing Page(s)  
 LN.CNT 338

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided a small size and low price driving voltage generator (of a liquid crystal display unit) which can easily adjust output voltages. In this driving voltage generator, a DC-DC converter raises an input voltage (5 [V]) and produces voltages V<sub>H</sub> and V<sub>L</sub>. Resistors divide a voltage difference between the voltages V<sub>H</sub> and V<sub>L</sub> with resistors. Operational amplifiers output through current amplification each voltage divided by resistance. In the present invention, the external size can be reduced because only two output terminals are required for the DC-DC converter. In addition, manufacturing cost can be lowered because only two output terminals are required for the DC-DC converter. Moreover, since the resistors R<sub>1</sub> to R<sub>6</sub> are provided in the outside of the DC-DC converter (hybrid IC), these resistors can be exchanged easily. Therefore, the voltages V<sub>HCOM</sub>, V<sub>HSEG</sub>, V<sub>M</sub>, V<sub>LSEG</sub>, V<sub>LCOM</sub> can be adjusted easily.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 USPATFULL

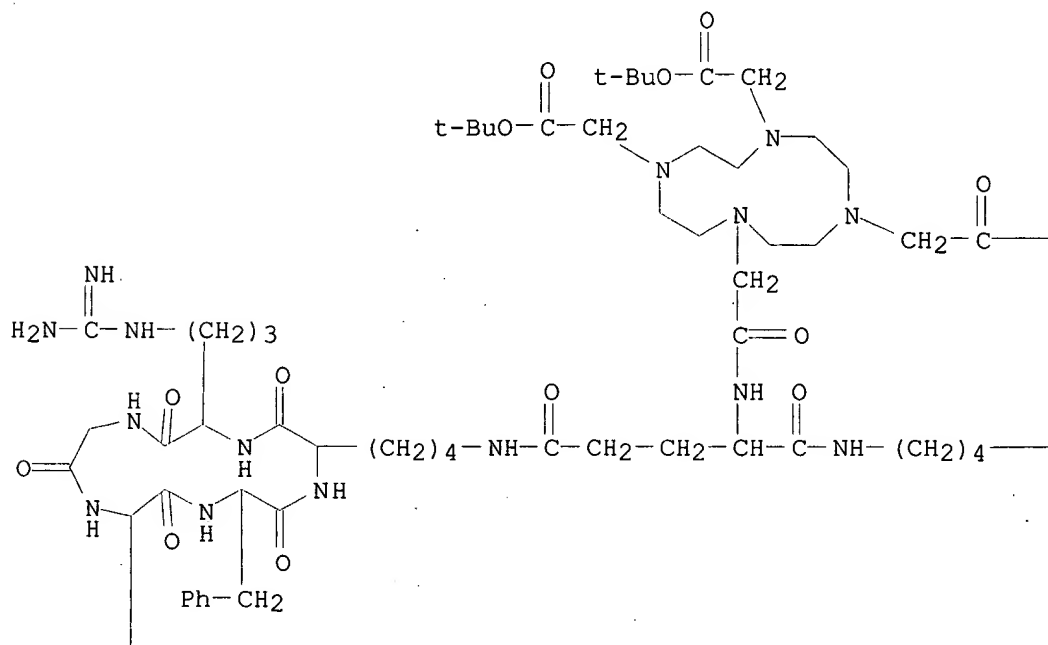
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

CM 1

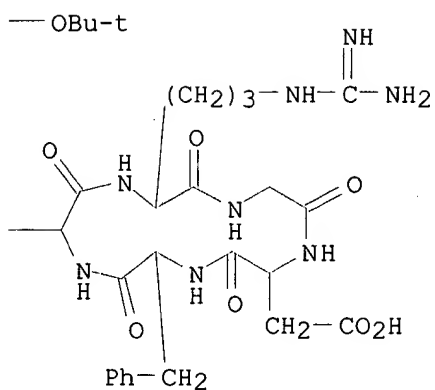
CRN 250612-81-8

CMF C87 H137 N23 O23

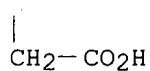
PAGE 1-A



PAGE 1-B



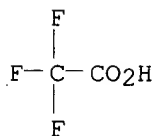
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



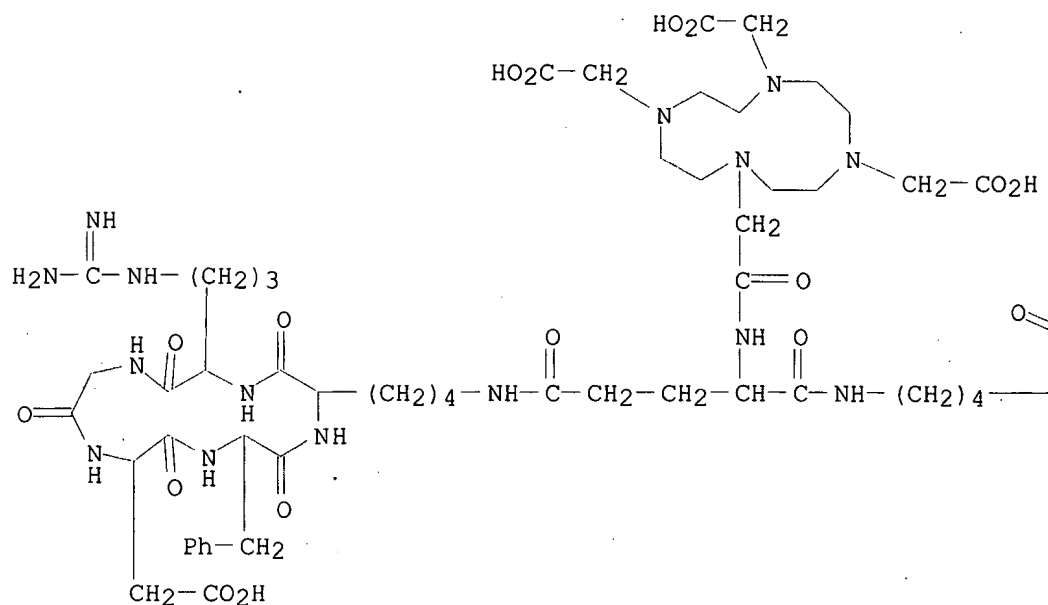
IT 250612-06-7P 250612-07-8P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

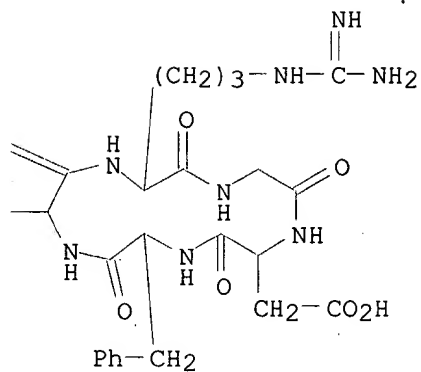
RN 250612-06-7 USPATFULL

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

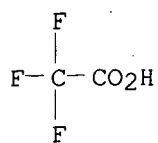


RN 250612-07-8 USPATFULL  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM. 1

CRN 250612-06-7  
 CMF C75 H113 N23 O23





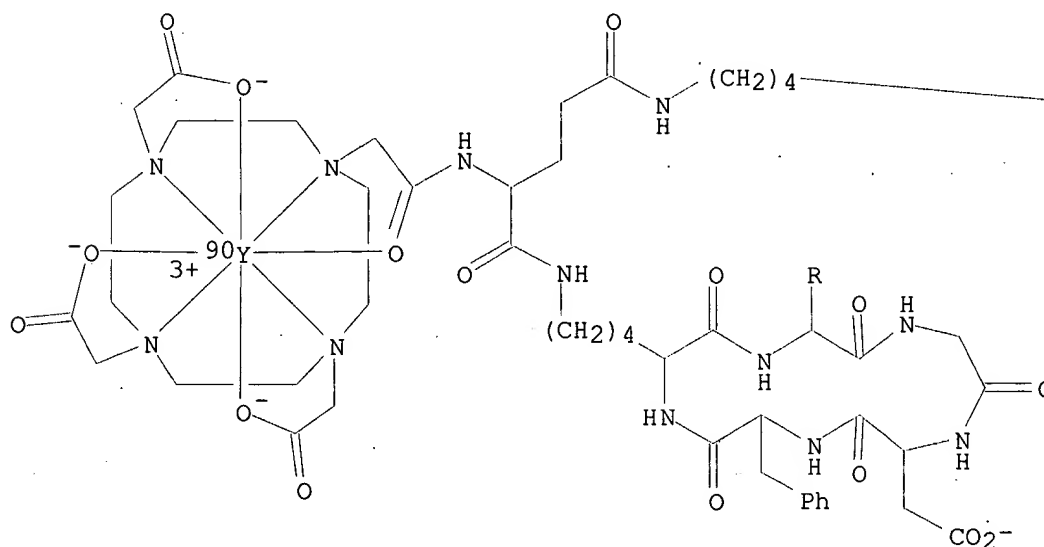
IT 250614-38-1P 250614-39-2P 250614-40-5P

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

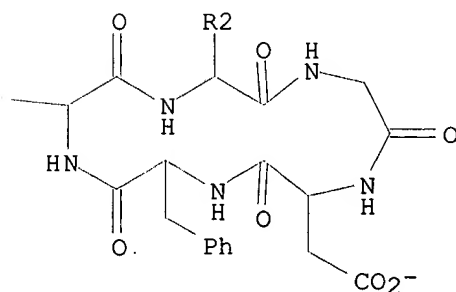
RN 250614-38-1 USPATFULL

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

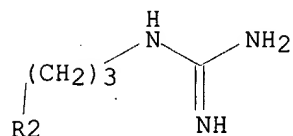
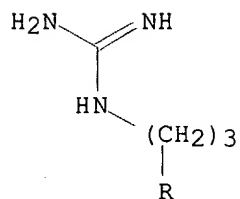
PAGE 1-A



PAGE 1-B



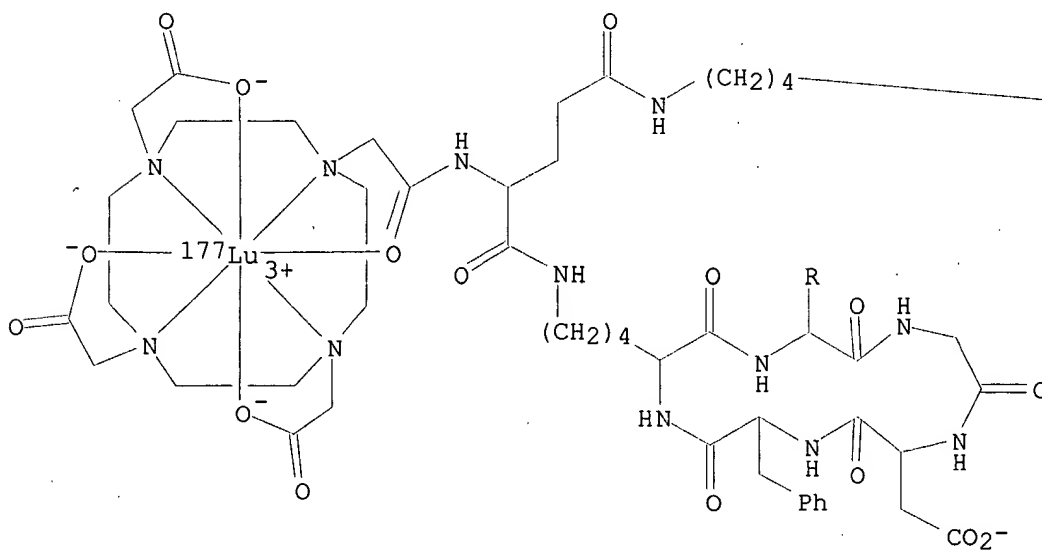
PAGE 2-A

● 2 H<sup>+</sup>

RN 250614-39-2 USPATFULL

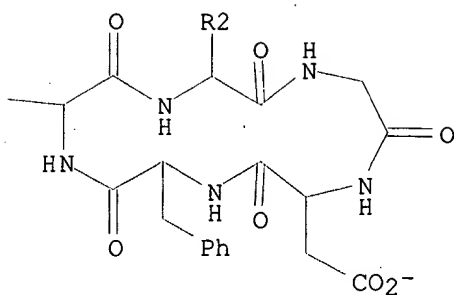
CN Lutetate(2-)-177Lu, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A

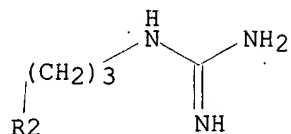
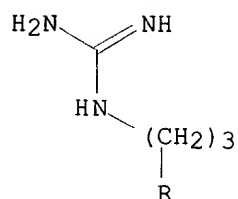




PAGE 1-B



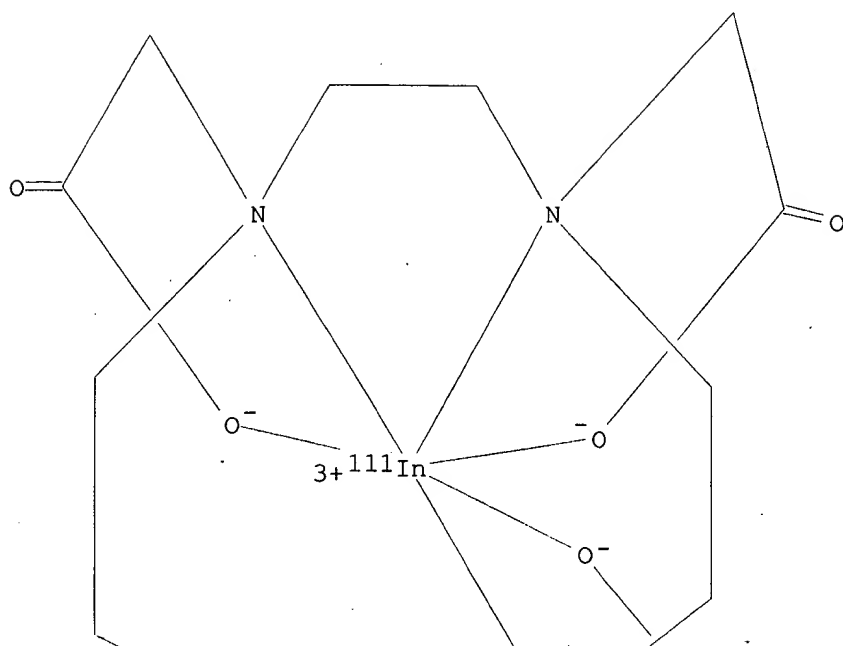
PAGE 2-A

● 2 H<sup>+</sup>

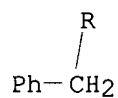
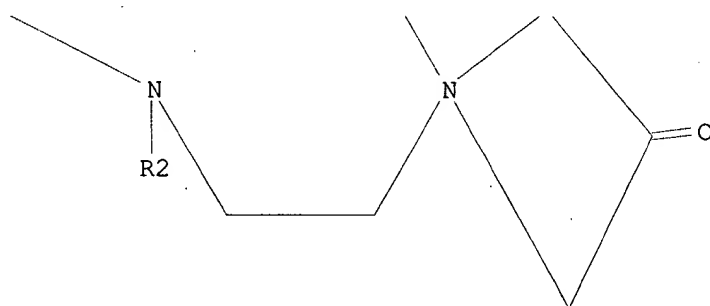
RN 250614-40-5 USPATFULL

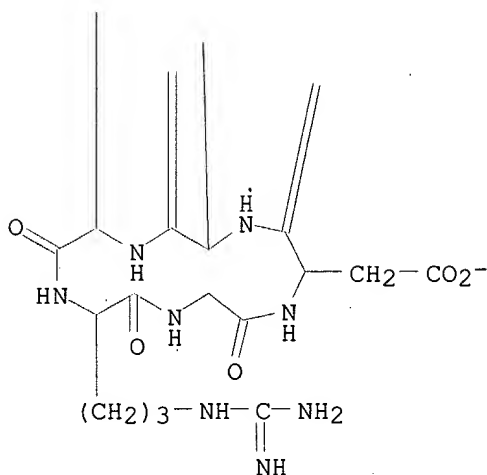
CN Indate(2-)-111In, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

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PAGE 2-A





PAGE 4-A

● 2 H<sup>+</sup>

=&gt; d 152 bib abs hitrn 13 14

L52 ANSWER 13 OF 14 USPAT2

AN 2002:321986 USPAT2

TI Vitronectin receptor antagonist pharmaceuticals

IN Harris, Thomas D., Salem, NH, United States

IN Rajopadhye, Milind, Westford, MA, United States

PA Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

PI US 6511648 B2 20030128

AI US 1999-465300 19991217 (9)

PRAI US 1998-112732P 19981218 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dameron L.

LREP O'Brien, Maureen P., Dolan, Peter L., Golian, Paul D.

CLMN Number of Claims: 125

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 8733

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The present invention further provides novel compounds useful for imaging atherosclerosis, restenosis, cardiac ischemia, and myocardial reperfusion injury. The present invention still further provides novel compounds useful for the treatment of rheumatoid arthritis. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or

diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)  
IT 250612-06-7P 250612-07-8P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)  
IT 250614-38-1P 250614-39-2P 250614-40-5P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

L52 ANSWER 14 OF 14 USPAT2

AN 2002:26835 USPAT2

TI Quinolone vitronectin receptor antagonist pharmaceuticals

IN Harris, Thomas David, Salem, NH, United States

PA Bristol-Myers Squibb Pharma Company, Princeton, NJ, United States (U.S. corporation)

PI US 6524553 B2 20030225

AI US 1999-281209 19990330 (9)

PRAI US 1998-80150P 19980331 (60)

US 1998-112715P 19981218 (60)

US 1998-112829P 19981218 (60)

US 1998-112732P 19981218 (60)

US 1998-112831P 19981218 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dameron L.

LREP O'Brien, Maureen P., Dolan, Peter L., Golian, Paul D.

CLMN Number of Claims: 18

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 5742

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes novel compounds of the formula:

(Q).sub.d--L.sub.n--C.sub.h,

useful for the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compounds useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. The imageable moiety is a gamma ray or positron emitting radioisotope, a magnetic resonance imaging contrast agent, an X-ray contrast agent, or an ultrasound contrast agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 250612-82-9P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)  
IT 250612-06-7P 250612-07-8P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)  
IT 250614-38-1P 250614-39-2P 250614-40-5P  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

=> fil hcplus

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FILE COVERS 1907 - 4 Jun 2003 VOL 138 ISS 23  
 FILE LAST UPDATED: 3 Jun 2003 (20030603/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr tot 162

L62 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2003:235416 HCAPLUS  
 DN 138:255514  
 TI Pharmaceuticals for the imaging of angiogenic disorders for use in combination therapy  
 IN Rajopadhye, Milind; Edwards, D. Scott; **Barrett, John A.**; **Carpenter, Alan P., Jr.**; Harris, Thomas D.; Heminway, Stuart J.; Liu, Shuang; Singh, Prahlad R.  
 PA **Bristol-Myers Squibb Pharma Company, USA**  
 SO U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 281,474.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K051-00  
 ICS A61M036-14  
 NCL 424001690; 424001110; 424001650; 424009100; 534014000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 63, 78  
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6537520	B1	20030325	US 2000-599295	20000621
	US 6322770	B1	20011127	US 1999-281207	19990330
	US 2002001566	A1	20020103	US 1999-281474	19990330
	US 2002015680	A1	20020207	US 1999-281209	19990330
	US 6524553	B2	20030225		
	US 6548663	B1	20030415	US 1999-281050	19990330
PRAI	US 1998-80150P	P	19980331		
	US 1998-112715P	P	19981218		
	US 1999-281474	A2	19990330		
	US 1998-112732P	P	19981218		
	US 1998-112829P	P	19981218		
	US 1998-112831P	P	19981218		
OS	MARPAT 138:255514				

AB Compds. (Q)d-(Ln)m-Ch (Q is a peptide, d = 1-10, Ln is a linking group, m = 0-1, Ch is a metal-bonding unit) were prepd. for use in the diagnosis and treatment of cancer in combination therapy in a patient. The present invention also provides novel compds. useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. The pharmaceuticals are comprised of a targeting moiety that binds to a

receptor that is upregulated during angiogenesis, an optional linking group, and a therapeutically effective radioisotope or diagnostically effective imageable moiety. Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-Tyr(3-aminopropyl)-Val} with 2-[[[5-[[2,5-dioxo-1-pyrrolidinyl]oxy]carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into radiopharmaceutical <sup>99m</sup>Tc(VnA) (tricine) (phosphine), where VnA represents the vitronectin receptor antagonist.

- ST cyclic peptide radiolabeled prepn imaging angiogenic disorder;  
radiopharmaceutical cyclic peptide prepn vitronectin receptor antagonist anticancer agent
- IT Imaging agents  
(NMR contrast; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT Interferons  
Interleukin 2  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(anticancer agents as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)
- IT Peptides, preparation  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(cyclic; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT Angiogenesis  
Antitumor agents  
Human  
Radiopharmaceuticals  
(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT Vitronectin receptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT Radiosensitizers, biological  
(radiosensitizers as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)
- IT Neoplasm  
Rheumatoid arthritis  
(treatment of; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT Integrins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.v.beta.3, disease assocd. with; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)
- IT 50-07-7, Mitomycin 57-22-7, Vincristine 57-83-0, Progesterone, biological studies 59-05-2, Methotrexate 125-84-8, Aminogluthethimide 147-94-4, Cytarabine 302-79-4, Tretinoin 434-07-1, Oxymetholone 488-41-5, Mitobronitol 566-48-3, Formestane 2363-58-8, Epitiostanol 3094-09-5, Doxifluridine 3778-73-2, Ifosfamide 4291-63-8, Cladribine 4533-39-5, Nitracrine 4759-48-2, Isotretinoin 6620-60-6, Proglumide 9014-02-2, Zinostatin 9034-40-6, Lhrf 9050-67-3, Sizofilan 10318-26-0, Mitolactol 10540-29-1, Tamoxifen 13311-84-7, Flutamide 13425-98-4, Improsulfan 14769-73-4, Levamisole 17902-23-7, Tegafur 18016-80-3, Lisuride 18883-66-4, Streptozocin 20830-81-3, Daunorubicin 21362-69-6, Mepitiostane 21416-67-1, Razoxane 22181-94-8, Butocin 23214-92-8, Doxorubicin 24279-91-2, Carboquone 29069-24-7, Prednimustine 29767-20-2, Teniposide 33419-42-0, Etoposide 39325-01-4, Picibanil 41575-94-4, Carboplatin 42471-28-3, Nimustine

51264-14-3, Amsacrine 53643-48-4, Vindesine 53910-25-1, Pentostatin  
 54350-48-0, Etrexinate 55726-47-1, Enocitabine 58337-35-2, Elliptinium  
 acetate 61422-45-5, Carmofur 62304-98-7, Thymalfasin 71486-22-1,  
 Vinorelbine 74050-98-9, Ketanserin 81627-83-0, Colony stimulating  
 factor-1 81840-15-5, Vesnarinone 83869-56-1, Colony stimulating  
 factor-2 90357-06-5, Bicalutamide 92118-27-9, Fotemustine  
 95058-81-4, Gemcitabine 95734-82-0, Nedaplatin 98631-95-9, Sobuzoxane  
 102676-47-1, Fadrozole 104958-90-9 108001-60-1 112809-51-5,  
 Letrozole 112887-68-0, Raltitrexed 120287-85-6, Cetorelix  
 173146-27-5, Denileukin diftitox

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticancer agents as adjuvants in the treatment of cancer with peptide  
 derivs. and their radioactive metal complexes)

IT 202930-91-4P 250611-72-4P 250611-73-5P 250611-74-6P 250611-75-7P  
 250611-76-8P 250611-77-9P 250611-78-0P 250611-79-1P 250611-80-4P  
 250611-81-5P 250611-82-6P 250611-83-7P 250611-84-8P 250611-85-9P  
 250611-86-0P 250611-87-1P 250611-88-2P 250611-89-3P 250611-90-6P  
 250611-91-7P 250611-92-8P 250611-93-9P 250611-94-0P 250611-95-1P  
 250611-96-2P 250611-97-3P 250611-98-4P 250611-99-5P 250612-00-1P  
 250612-01-2P 250612-02-3P 250612-03-4P 250612-04-5P 250612-05-6P  
**250612-06-7P 250612-07-8P** 250612-08-9P 250612-09-0P  
 250612-10-3P 250612-11-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 250611-72-4DP, technetium-99m tricine triazole complex 250612-12-5P  
 250612-13-6P 250612-14-7P 250612-15-8P 250612-16-9P 250612-17-0P  
 250612-18-1P 250612-19-2P 250612-20-5P 250612-21-6P 250612-22-7P  
 250612-24-9P 250612-25-0P 250612-26-1P 250614-19-8P 250614-20-1P  
 250614-21-2P 250614-22-3P 250614-23-4P 250614-24-5P 250614-25-6P  
 250614-26-7P 250614-27-8P 250614-28-9P 250614-29-0P 250614-30-3P  
 250614-31-4P 250614-32-5P 250614-33-6P 250614-34-7P 250614-35-8P  
 250614-36-9P 250614-37-0P **250614-38-1P 250614-39-2P**  
**250614-40-5P** 250614-41-6P 250614-42-7P 250614-43-8P  
 250614-44-9P 250614-45-0P 250614-46-1P 250614-47-2P 250614-48-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 108-30-5, reactions 288-88-0, 1H-1,2,4-Triazole 5437-45-6, Benzyl  
 bromoacetate 5704-04-1, Tricine 23911-26-4,  
 Diethylenetriaminepentaacetic dianhydride 63995-70-0, Tppts  
 63995-75-5, TPPMS 64018-22-0, TPPDS 122555-91-3 161552-03-0  
 180468-25-1 186305-11-3 194920-62-2 250612-83-0D, resin-bound  
 250612-84-1D, resin-bound 250612-85-2D, resin-bound 250612-86-3  
 250612-87-4 250612-88-5D, resin-bound 250612-89-6D, resin-bound  
 250612-90-9D, resin-bound 250612-92-1D, resin-bound 250612-93-2D,  
 resin-bound 250612-94-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 137076-54-1P 192635-89-5P 246234-73-1P 250612-28-3P 250612-30-7P  
 250612-31-8P 250612-32-9P 250612-34-1P 250612-36-3P 250612-38-5P  
 250612-40-9P 250612-41-0P 250612-42-1P 250612-43-2P 250612-44-3P  
 250612-46-5P 250612-48-7P 250612-50-1P 250612-51-2P 250612-52-3P  
 250612-54-5P 250612-56-7P 250612-57-8P 250612-59-0P 250612-61-4P  
 250612-62-5P 250612-64-7P 250612-65-8P 250612-67-0P 250612-69-2P  
 250612-71-6P 250612-72-7P 250612-74-9P 250612-75-0P 250612-77-2P  
 250612-78-3P 250612-80-7P **250612-82-9P** 250636-75-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT 22541-90-8, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT 250614-59-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT 63-89-8 7091-44-3 250612-27-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT 10098-91-6, y90, biological studies 13967-64-1, Dyl65, biological studies 13967-65-2, Hol66, biological studies 13981-28-7, Lal40, biological studies 14041-42-0, Gd159, biological studies 14041-44-2, Yb175, biological studies 14158-31-7, il25, biological studies 14269-78-4, Yb169, biological studies 14378-26-8, Re188, biological studies 14391-11-8, Au199, biological studies 14694-69-0, Ir192, biological studies 14913-49-6, Bi212, biological studies 14913-89-4, Rh105, biological studies 14914-12-6, Lu 174, biological studies 14967-68-1, Pd103, biological studies 14981-64-7, Pd109, biological studies 14998-63-1, Re186, biological studies 15749-66-3, p33, biological studies 15756-45-3, Au192, biological studies 15757-86-5, Cu67, biological studies 15760-04-0, Ag111, biological studies 15765-31-8, Pm149, biological studies 15766-00-4, Sm153, biological studies 15840-01-4, Dyl66, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(radioisotope for use with peptide derivs. for the treatment of cancer in combination therapy)

IT 22668-01-5 27314-97-2, 3-Amino-1,2,4-benzotriazine-1,4-dioxide 70132-50-2 88876-88-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(radiosensitizers as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)

RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Albert; US 5650134 A 1997 HCAPLUS
- (2) Allen; US 6056973 A 2000 HCAPLUS
- (3) Anon; EP 0107734 1987 HCAPLUS
- (4) Anon; CA 2113245 1988 HCAPLUS
- (5) Anon; EP 0359347 1990 HCAPLUS
- (6) Anon; EP 0436005 1991 HCAPLUS
- (7) Anon; CA 2039259 1991 HCAPLUS
- (8) Anon; EP 0606683 1994 HCAPLUS
- (9) Anon; CA 2156620 1994 HCAPLUS
- (10) Anon; DE 4311023 1994 HCAPLUS
- (11) Anon; AU 5314694 1994
- (12) Anon; EP 0727225 1996 HCAPLUS
- (13) Anon; DE 19536781 1997 HCAPLUS
- (14) Anon; DE 19536785 1997 HCAPLUS
- (15) Anon; CA 2232315 1997 HCAPLUS
- (16) Anon; DE 19725368 1998 HCAPLUS
- (17) Anon; Drugs of the Future 2000, V7(25), P674
- (18) Anon; Nucl Med, Proceeding of the 42nd Annual Meeting 1995, V36(5, 287), P71P
- (19) Ashton; J Org Chem 1996, V61, P903 HCAPLUS
- (20) Bakker; Life Sci 1991, V49, P1583 HCAPLUS
- (21) Batt; J Med Chem 2000, V43, P41 HCAPLUS
- (22) Bergstein; US 4988827 A 1991 HCAPLUS



- (23) Bevilacqua; US 5403713 A 1995 HCAPLUS
- (24) Bevilacqua; Proc Natl Acad Sci 1987, V84, P9238 HCAPLUS
- (25) Bousquet; Radiology 1988, V166, P693 HCAPLUS
- (26) Brechbiel, M; Bioconjugate Chem 1991, V2, P187 HCAPLUS
- (27) Brechbiel, M; J Chem Soc Perkin Trans 1992, V1, P1175
- (28) Bridger; US 5350837 A 1994 HCAPLUS
- (29) Brooks; US 5766591 A 1998 HCAPLUS
- (30) Burke, P; Cancer 2002, Vsuppl 4(94), P1320
- (31) Burris; Clin Can Res 1995, V1, P1623
- (32) Burrows; Cancer Research 1992, V52, P5954 HCAPLUS
- (33) Burrows; Journal of Controlled Release 1994, V28(1), P195
- (34) Burrows; Proc Natl Acad Sci, USA 1993, V90, P8996 HCAPLUS
- (35) Cacheris; US 5087440 A 1992 HCAPLUS
- (36) Clauss; Journal of Biological Chemistry 1990, V265(12), P7078 HCAPLUS
- (37) Degrado; J Org Chem 1980, V45, P1295 HCAPLUS
- (38) Denardo; Cancer Biotherapy & Radiopharm 2000, V15(1), P71 HCAPLUS
- (39) Denekamp; Br J Cancer 1982, V46, P711 MEDLINE
- (40) Denekamp, J; Acta Radiologica Oncology 1984, P217 MEDLINE
- (41) Denekamp, J; Br J Cancer 1982, V45, P136 MEDLINE
- (42) Deshpande, S; J Nucl Med 1990, V31, P473 HCAPLUS
- (43) Dizio; Bioconjugate Chem 1991, V2, P353 HCAPLUS
- (44) Duggan; US 6040311 A 2000 HCAPLUS
- (45) Dvorak; Cancer Cells 1991, V3, P77 MEDLINE
- (46) Flanagan; US 5556939 A 1996 HCAPLUS
- (47) Folkman, J; Nature Medicine 1995, V1, P27 HCAPLUS
- (48) Friedlander; Science 1995, V270, P1500 HCAPLUS
- (49) Gansow; US 4472509 A 1984 HCAPLUS
- (50) Garin-Chesa; US 5342757 A 1994 HCAPLUS
- (51) Ghose; Meth Enzymology 1983, V93, P280 HCAPLUS
- (52) Gries; US 5021236 A 1991 HCAPLUS
- (53) Hans-Hermann, H; Int J Cancer 1986, V38, P481
- (54) Haubner; V313 Nuclear-Medizin 1997
- (55) Henry, T; J Amer College Cardiology 1998, V810-1(31), P65A
- (56) Hollister; US 5801228 A 1998 HCAPLUS
- (57) Horton; Int J Biochem Cell Biol 1997, V29(5), P721 HCAPLUS
- (58) Hu; Oncology Research 1994, V6(7), P321 HCAPLUS
- (59) Hubbrich; Liebigs Ann Chem 1979, P776
- (60) Jadhav; US 5760028 A 1998 HCAPLUS
- (61) Juliana, D; Cancer Meta Rev 1990, V9, P267
- (62) Keana; US 5412148 A 1995 HCAPLUS
- (63) Keana; US 5567411 A 1996 HCAPLUS
- (64) Kennel; Nuclear Medicine & Biology 1998, V25, P241 HCAPLUS
- (65) Kerning; Euro J Uncle Med 1993, V20, P716
- (66) Kerr; Anticancer Research 1999, V19(2A), P958
- (67) Klaveness; US 6051207 A 2000 HCAPLUS
- (68) Knowles; Analytical Biochemistry 1987, V160, P440 HCAPLUS
- (69) Krenning; Digestion 1996, V57, P57 HCAPLUS
- (70) Kruper; US 5064956 A 1991 HCAPLUS
- (71) Kuntz; US 4248802 A 1981 HCAPLUS
- (72) Liu; Inorg Chem 1999, V38(6), P1326 HCAPLUS
- (73) Liu, S; Bioconjugate Chemistry 2001, V4(12), P559
- (74) Long; US 5804161 A 1998 HCAPLUS
- (75) Love; US 5281704 A 1994 HCAPLUS
- (76) Love; US 5679810 A 1997 HCAPLUS
- (77) Lyle; US 5382654 A 1995 HCAPLUS
- (78) Manning; Tet Lett 1997, V53, P11937 HCAPLUS
- (79) Margerstadt; Magn Reason Med 1986, V3, P808
- (80) Molema; Biochemical Pharmacology 1998, V55, P1939 HCAPLUS
- (81) Morgan; US 5376356 A 1994 HCAPLUS
- (82) Mueller; Proc Natl Acad Sci USA 1992, V89, P11832 HCAPLUS
- (83) Nosco; US 5520904 A 1996 HCAPLUS
- (84) Olson; Int J Cancer 1997, V73, P865 HCAPLUS
- (85) Orlando; J of Biological Chemistry 1991, V266(29), P19543 HCAPLUS

- (86) O'Reilly; Cell 1994, V79, P315 HCAPLUS  
 (87) O'Reilly; Cell 1997, V88, P277 HCAPLUS  
 (88) Pollak; US 5659041 A 1997 HCAPLUS  
 (89) Ranney; US 5155215 A 1992 HCAPLUS  
 (90) Runge; Radiology 1988, V166, P835 HCAPLUS  
 (91) Sakamoto; US 4536387 A 1985 HCAPLUS  
 (92) Sellke; Drugs 1999, V58(3), P391 HCAPLUS  
 (93) Senger; US 5659013 A 1997 HCAPLUS  
 (94) Senger; Proc Natl Acad, Sci USA 1997, V94, P13612 HCAPLUS  
 (95) Sipkins; Nature Medicine 1998, V4(5), P623 HCAPLUS  
 (96) Snow; US 5760191 A 1998 HCAPLUS  
 (97) Srivatsa; Cardiovascular Res 1997, V36, P408 MEDLINE  
 (98) Stuttle; US 5395609 A 1995 HCAPLUS  
 (99) Takashima, S; J Cline Invest 1994, V93, P662  
 (100) Thorpe; US 5660827 A 1997 HCAPLUS  
 (101) Thorpe; US 5776427 A 1998 HCAPLUS  
 (102) Thorpe; US 5855866 A 1999 HCAPLUS  
 (103) Thorpe; US 5863538 A 1999 HCAPLUS  
 (104) Thorpe; US 6051230 A 2000 HCAPLUS  
 (105) Thorpe; Breast Cancer Research & Treatment 1995, V36(2), P237 MEDLINE  
 (106) Toner; US 4859777 A 1989 HCAPLUS  
 (107) Tweedle; US 6143274 A 2000  
 (108) van Waes; International Journal of Oncology 2000, V16, P1189 HCAPLUS  
 (109) Wallace; US 5417959 A 1995 HCAPLUS  
 (110) Wellicome; J Immunol 1990, V144(7), P2558 HCAPLUS

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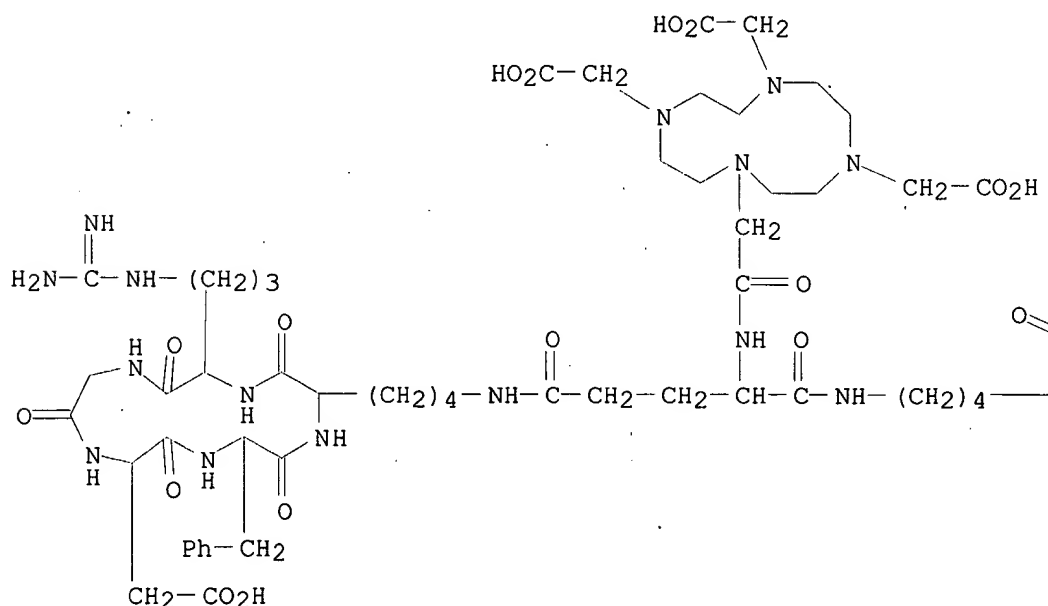
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

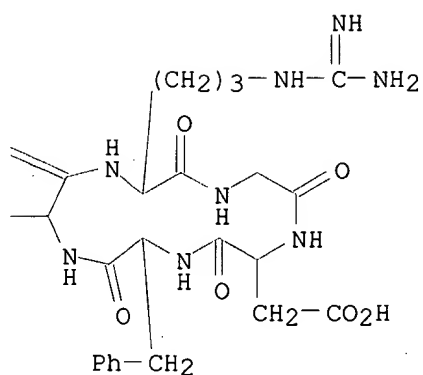
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PAGE 1-A



PAGE 1-B



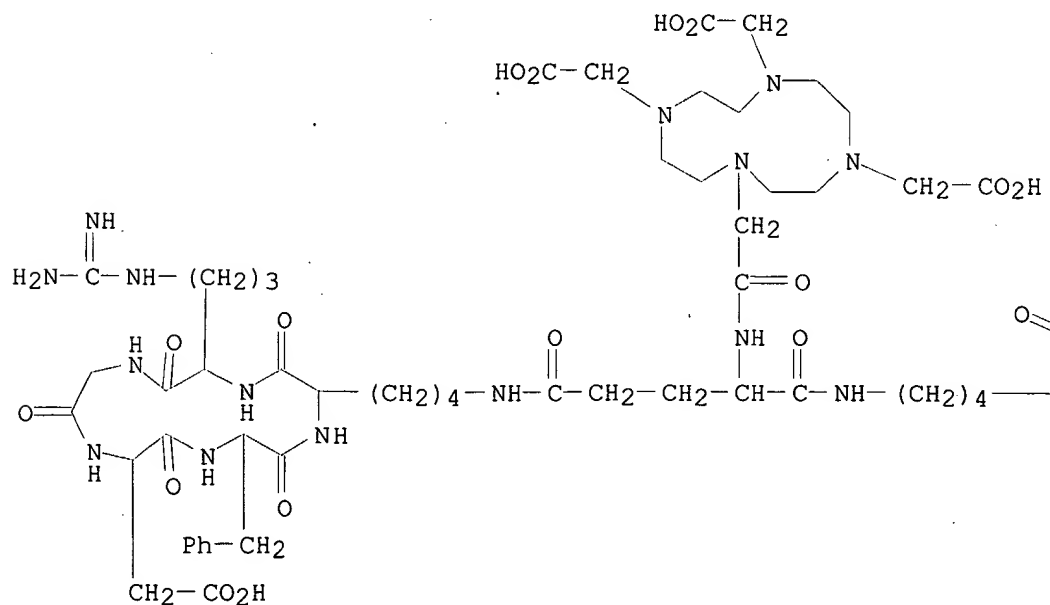
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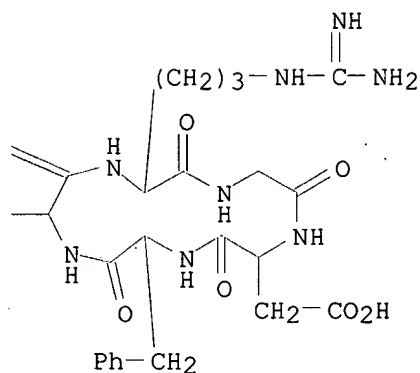
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CMF C75 H113 N23 O23

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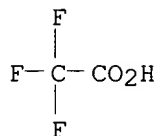
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 250614-38-1P 250614-39-2P 250614-40-5P

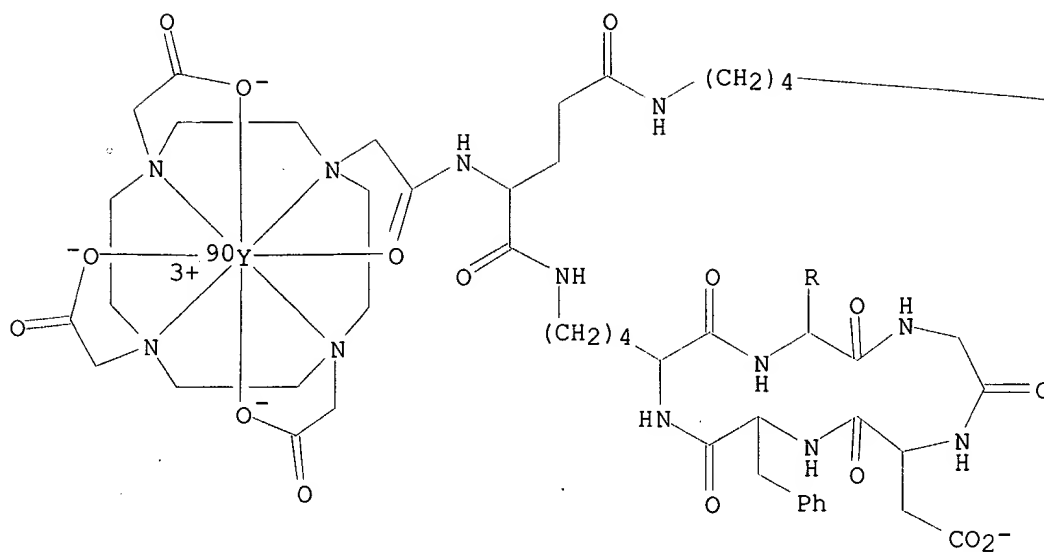
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

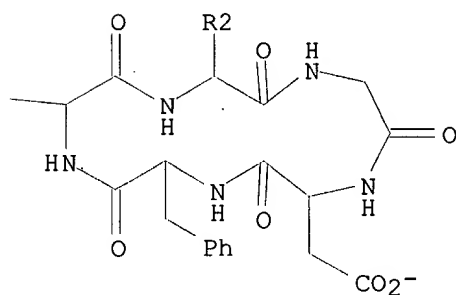
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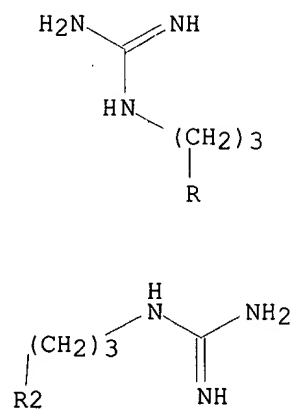
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PAGE 1-B



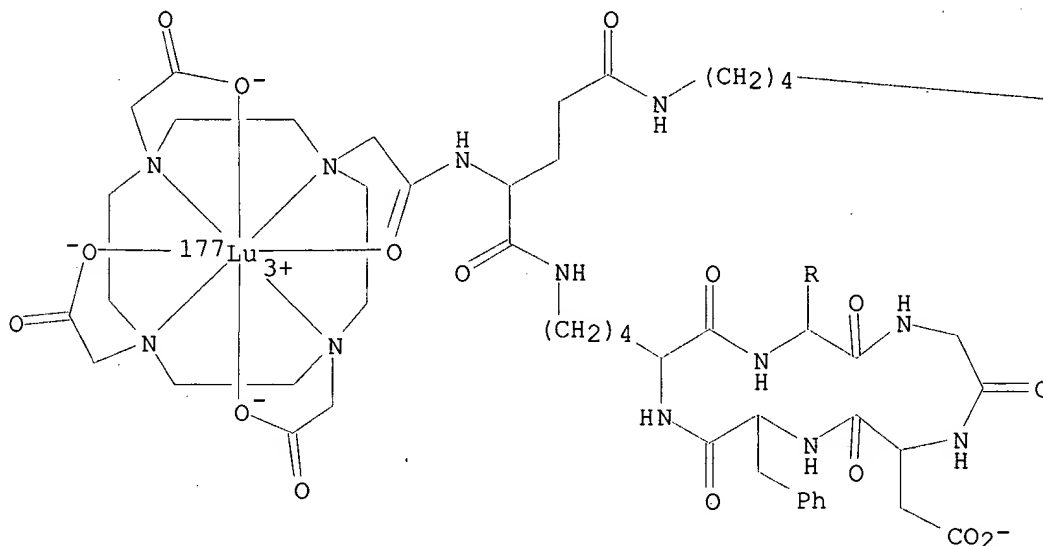
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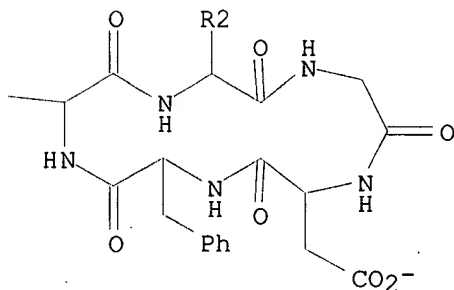
RN 250614-39-2 HCAPLUS

CN Lutetate(2-)-<sup>177</sup>Lu, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

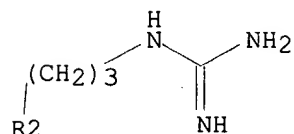
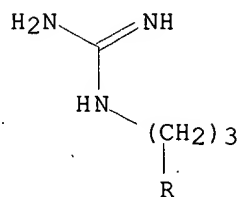
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PAGE 1-B

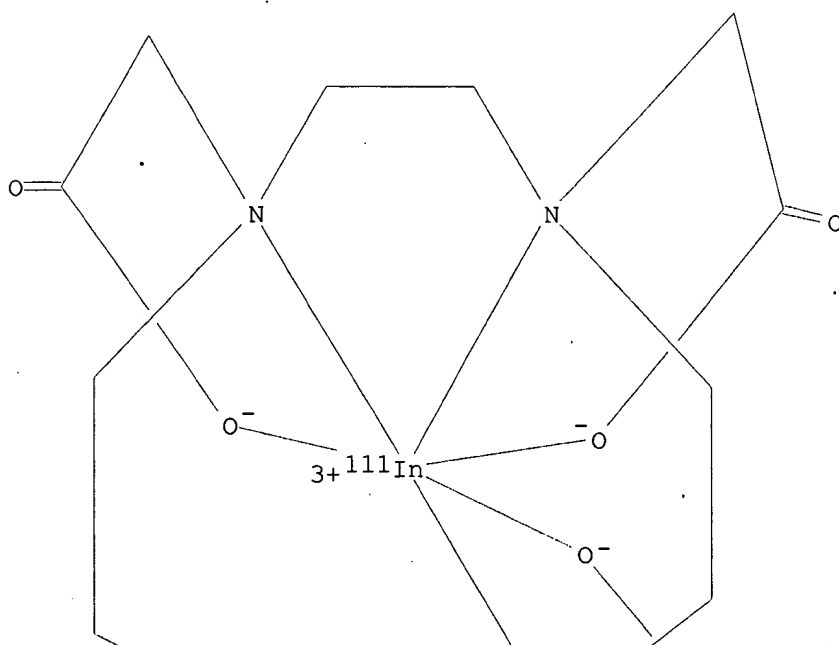


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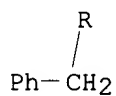
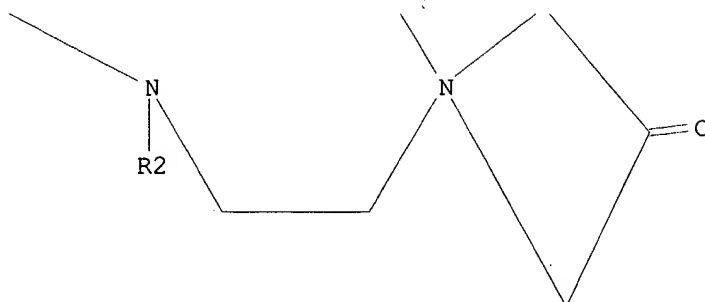
● 2 H<sup>+</sup>

RN 250614-40-5 HCAPLUS  
 CN Indate(2-)-<sup>111</sup>In, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

PAGE 1-A

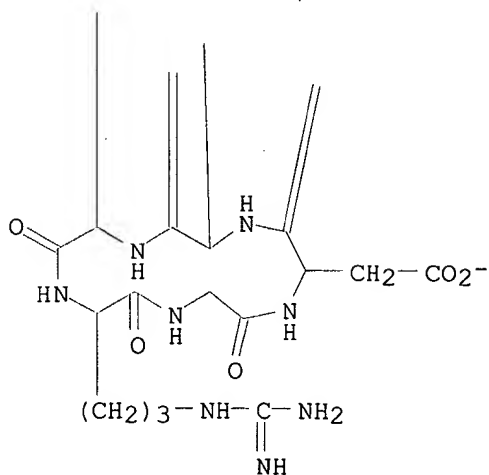


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A



2 H<sup>+</sup>

IT 250612-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

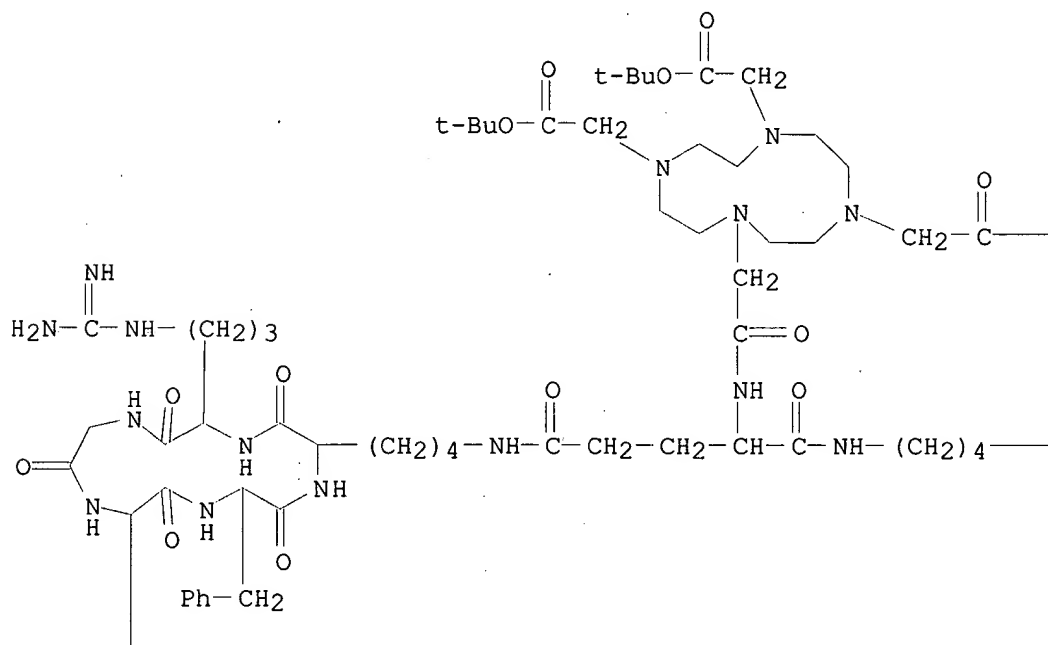


RN 250612-82-9 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

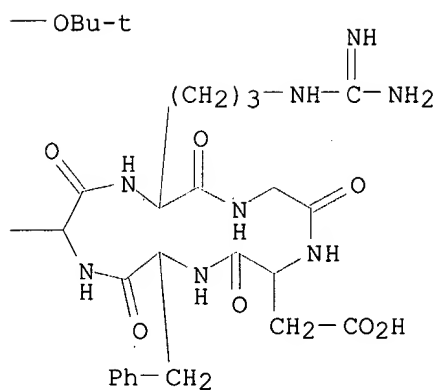
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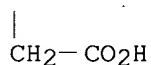
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PAGE 1-B

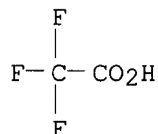


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 10098-91-6, y90, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (radioisotope for use with peptide derivs. for the treatment of cancer  
 in combination therapy)  
 RN 10098-91-6 HCAPLUS  
 CN Yttrium, isotope of mass 90 (8CI, 9CI) (CA INDEX NAME)

90y

L62 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2002:595337 HCAPLUS  
 DN 137:140780  
 TI Simultaneous imaging of cardiac perfusion and a vitronectin receptor

targeted imaging agent

IN **Carpenter, Alan P.**  
 PA USA  
 SO U.S. Pat. Appl. Publ., 86 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC A61M036-14; A61K051-00  
 NCL 424001690  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 63, 78  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002106325	A1	20020808	US 2001-995388	20011127
PRAI	PH 2000-7201	A	20001127		
OS	MARPAT 137:140780				

AB The invention describes a method of concurrent imaging in a mammal comprising: (a) administering a vitronectin receptor targeted imaging agent and a perfusion imaging agent, (b) concurrently detecting the vitronectin target imaging agent bound at the vitronectin receptor and the perfusion imaging agent, and (c) forming an image from the detection of the vitronectin receptor targeted imaging agent and the perfusion imaging agent. Compsd. claimed include those of formula (Q)d-Ln-Ch, where Q is a peptide, d is 1-10, Ln is a linking group, and Ch is a metal bonding unit. Thus, cyclo[Arg-Gly-Asp-D-Tyr[N-[2-[[5-(carbonyl)-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl]-Val] was prepd. and applied to the synthesis of complex 99mTc(VnA) (tricine) (TPPTS), where VnA represents the vitronectin receptor antagonist and TPPTS is P(m-C6H4SO3Na)3.

ST peptide radiopharmaceutical prepn cardiac perfusion vitronectin receptor imaging agent

IT Perfusion  
 (heart; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT Heart  
 (perfusion; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT Angiogenesis  
 Imaging agents  
 Radiopharmaceuticals  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT Vitronectin receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT Peptides, preparation  
 RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT Imaging  
 (tumor; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT 288-88-0DP, 1H-1,2,4-Triazole, technetium-99m cyclopeptide tricine complexes 5704-04-1DP, Tricine, technetium-99m cyclopeptide triazole complexes 14133-76-7DP, cyclopeptide tricine triazole complexes, preparation  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders)

IT 250611-73-5P 250611-75-7P 250611-77-9P 250611-79-1P 250611-81-5P  
 250611-83-7P 250611-85-9P 250611-87-1P 250611-89-3P 250611-91-7P  
 250611-93-9P 250611-95-1P 250611-97-3P 250611-99-5P 250612-01-2P  
 250612-03-4P 250612-05-6P **250612-07-8P** 250612-08-9P  
 250612-09-0P 250612-11-4P  
 RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT 63-89-8P 7091-44-3P 250611-72-4DP, technetium-99m tricine triazole complex 250612-23-8DP, technetium-99m tricine triazole complex  
 250612-24-9P 250612-25-0P 250612-26-1P 250612-27-2P 250614-19-8P  
 250614-20-1P 250614-21-2P 250614-22-3P 250614-23-4P 250614-24-5P  
 250614-25-6P 250614-26-7P 250614-27-8P 250614-28-9P 250614-29-0P  
 250614-30-3P 250614-31-4P 250614-32-5P 250614-33-6P 250614-34-7P  
 250614-35-8P 250614-36-9P 250614-37-0P **250614-38-1P**  
**250614-39-2P 250614-40-5P** 250614-41-6P 250614-42-7P  
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 250614-58-5P 250614-59-6P 443125-64-2P 443125-65-3P 443125-66-4P  
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 RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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 127455-27-0, Technetium-99 tetrofosmin 131410-48-5, Gadodiamide  
 131608-78-1, 99MTcN-NOET 142481-95-6, Technetium Tc 99m furifosmin  
 193901-90-5, Gadofosveset trisodium  
 RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT 67-43-6, Diethylenetriaminepentaacetic acid 108-30-5, Succinic anhydride, reactions 288-88-0, 1H-1,2,4-Triazole 3674-06-4, Boc pheosu 5437-45-6, Benzyl bromoacetate 5704-04-1, Tricine 63995-70-0, Tppms 63995-75-5, Tppms 64018-22-0, Tppds 122555-91-3 186305-11-3  
 194920-62-2 250612-83-0D, resin-bound 250612-84-1D, resin-bound  
 250612-85-2 250612-87-4 250612-88-5D, resin-bound 250612-89-6D, resin-bound 250612-90-9D, resin-bound 250612-92-1D, resin-bound  
 250612-93-2D, resin-bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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 250612-40-9P 250612-41-0P 250612-42-1P 250612-43-2P 250612-44-3P  
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 250612-94-3P 250636-75-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT **250612-07-8P**  
 RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

RN 250612-07-8 HCAPLUS

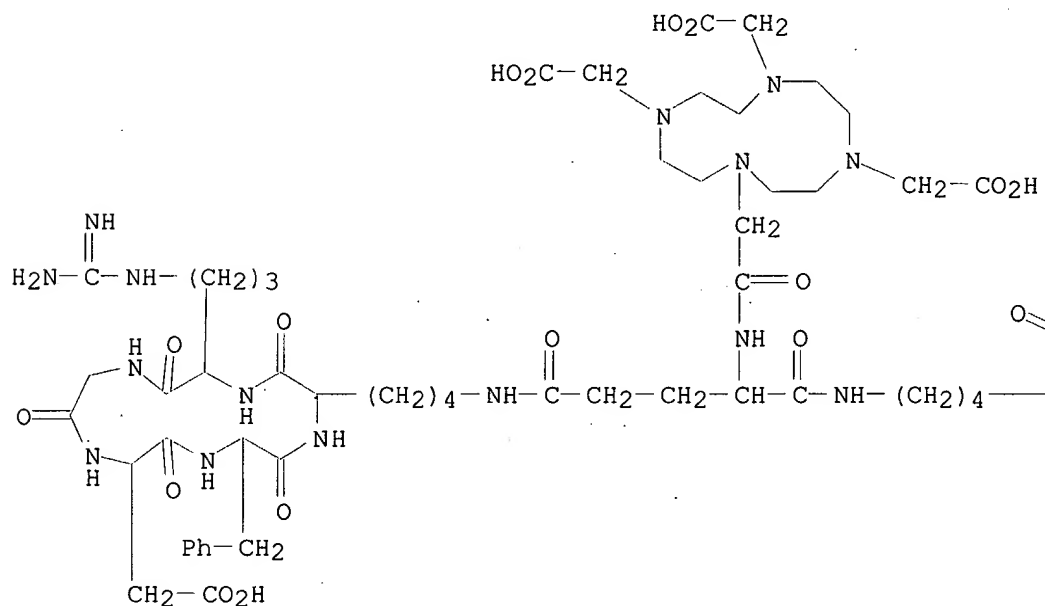
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CM 1

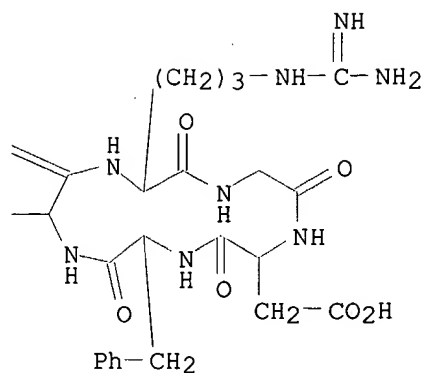
CRN 250612-06-7

CMF C75 H113 N23 O23

PAGE 1-A



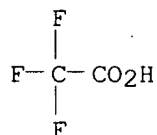
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



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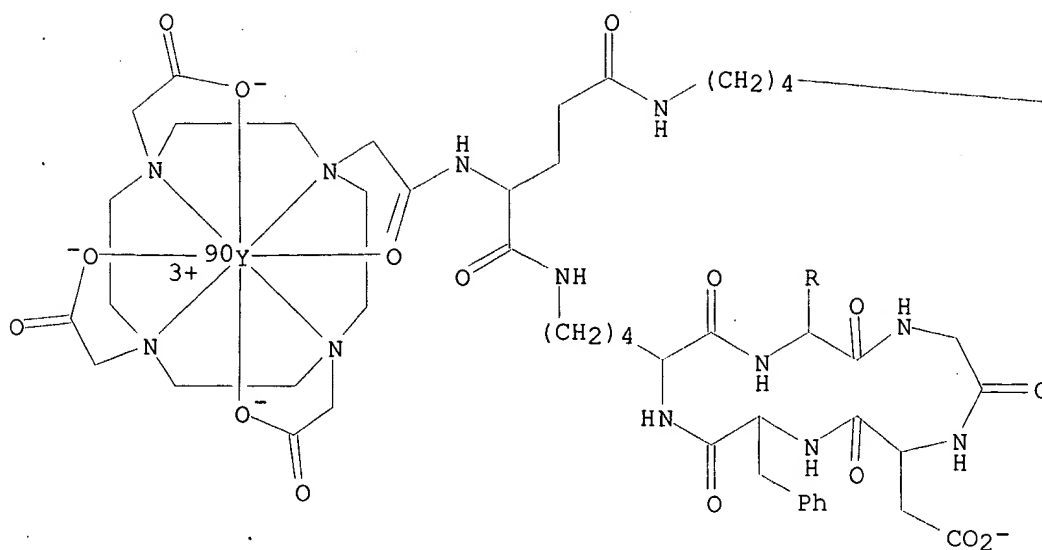
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(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

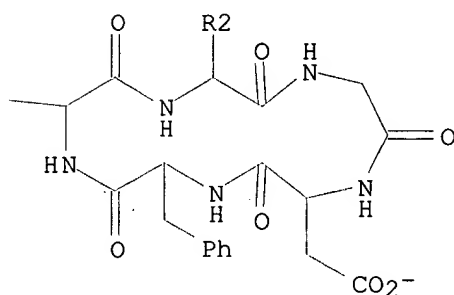
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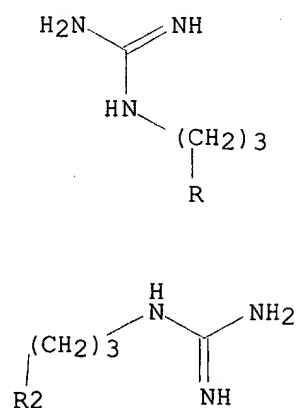
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PAGE 1-B



PAGE 2-A

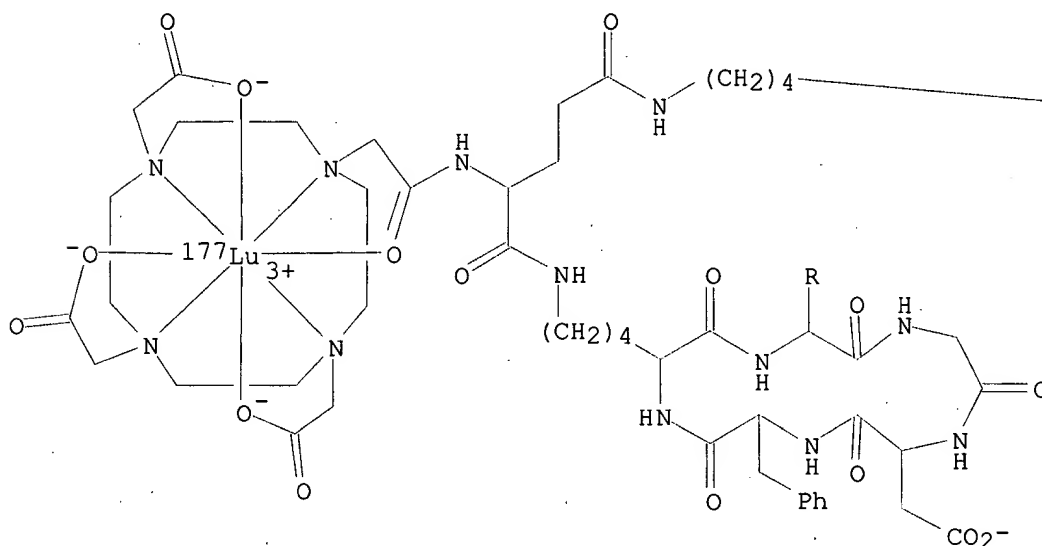


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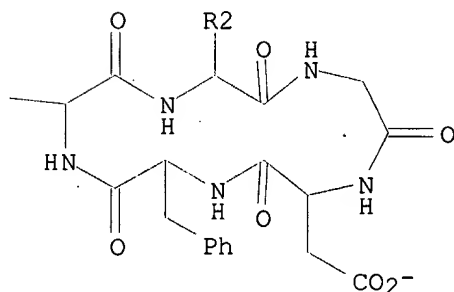
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PAGE 1-A

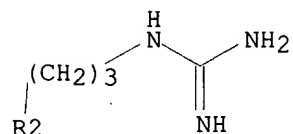
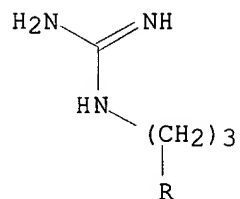


PAGE 1-B





PAGE 2-A



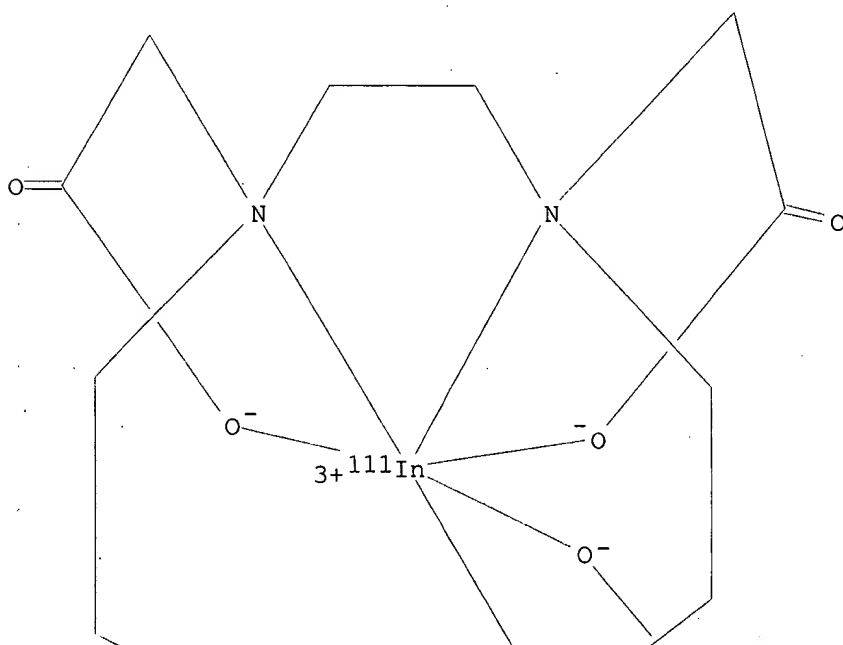
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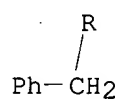
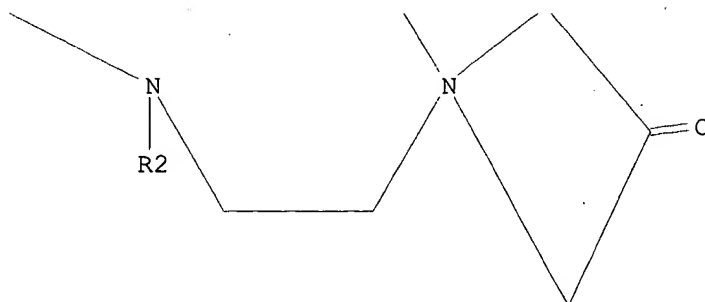
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        acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-
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PAGE 1-A

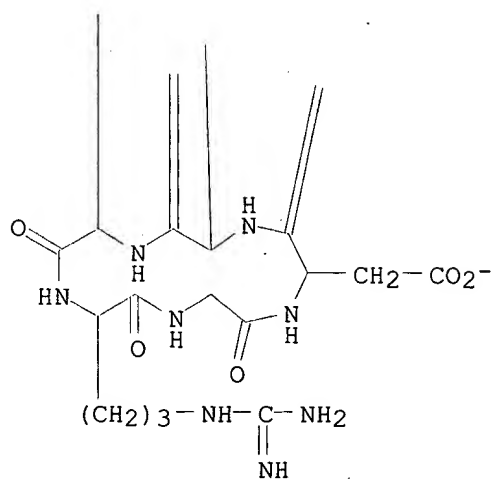


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A


2 H<sup>+</sup>

IT 250612-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

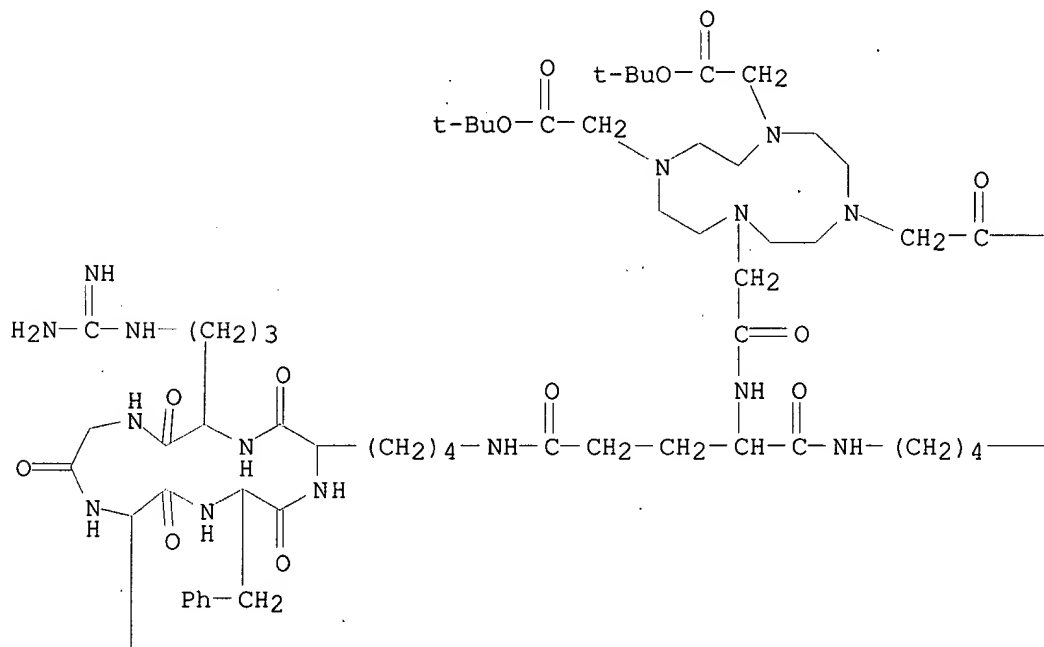
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
(9CI) (CA INDEX NAME)

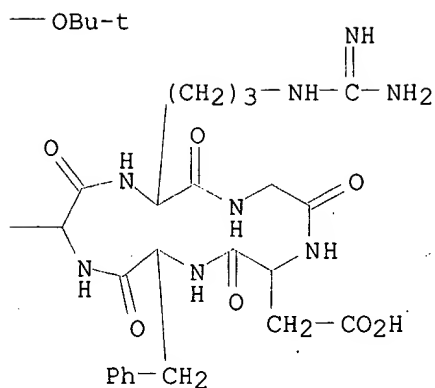
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CMF C87 H137 N23 O23

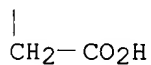
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PAGE 1-B

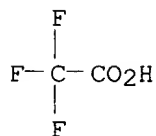


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



L62 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2002:539558 HCAPLUS  
 DN 137:109487  
 TI Simultaneous imaging of cardiac perfusion and a vitronectin receptor  
 targeted imaging agent  
 IN **Carpenter, Alan P., Jr.**  
 PA **Bristol-Myers Squibb Medical Imaging, Inc., USA**  
 SO PCT Int. Appl., 272 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K051-00  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 63, 78  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002055111	A2	20020718	WO 2001-US44155	20011126
	WO 2002055111	A3	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-253324P	P	20001127		
OS	MARPAT 137:109487				
AB	The invention describes a method of concurrent imaging in a mammal comprising: (a) administering a vitronectin receptor targeted imaging agent and a perfusion imaging agent, (b) concurrently detecting the vitronectin target imaging agent bound at the vitronectin receptor and the perfusion imaging agent, and (c) forming an image from the detection of the vitronectin receptor targeted imaging agent and the perfusion imaging agent. Compsds. claimed include those of formula (Q)d-Ln-Ch, where Q is a peptide, d is 1-10, Ln is a linking group, and Ch is a metal bonding unit. Thus, cyclo[Arg-Gly-Asp-D-Tyr[N-[2-[[[5-(carbonyl)-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl]-Val] was prepd. and applied to the synthesis of complex 99mTc(VnA) (tricine) (TPPTS), where VnA represents the vitronectin receptor antagonist and TPPTS is P(m-C6H4SO3Na)3.				
ST	peptide radiopharmaceutical prepn cardiac perfusion vitronectin receptor imaging agent				
IT	Perfusion				
	(heart; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	Heart				
	(perfusion; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	Angiogenesis				
	Imaging agents				
	Radiopharmaceuticals				
	(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	Vitronectin receptors				
	RL: BSU (Biological study, unclassified); BIOL (Biological study)				
	(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	Peptides, preparation				
	RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	Imaging				
	(tumor; prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)				
IT	288-88-0DP, 1H-1,2,4-Triazole, technetium-99m cyclopeptide tricine complexes 5704-04-1DP, Tricine, technetium-99m cyclopeptide triazole complexes 14133-76-7DP, cyclopeptide tricine triazole complexes, preparation				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of peptide derivs. for the imaging of angiogenic disorders)				
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250611-93-9P 250611-95-1P 250611-97-3P 250611-99-5P 250612-01-2P  
 250612-03-4P 250612-05-6P **250612-07-8P** 250612-08-9P  
 250612-09-0P 250612-11-4P

RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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 250612-24-9P 250612-25-0P 250612-26-1P 250612-27-2P 250614-19-8P  
 250614-20-1P 250614-21-2P 250614-22-3P 250614-23-4P 250614-24-5P  
 250614-25-6P 250614-26-7P 250614-27-8P 250614-28-9P 250614-29-0P  
 250614-30-3P 250614-31-4P 250614-32-5P 250614-33-6P 250614-34-7P  
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**250614-39-2P 250614-40-5P** 250614-41-6P 250614-42-7P  
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RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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 127455-27-0, Technetium-99 tetrofosmin 131410-48-5, Gadodiamide  
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RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT 67-43-6, Diethylenetriaminepentaacetic acid 108-30-5, Succinic anhydride, reactions 288-88-0, 1H-1,2,4-Triazole 3674-06-4, Boc phe osu 5437-45-6, Benzyl bromoacetate 5704-04-1, Tricine 63995-70-0, Tppms 63995-75-5, Tppms 64018-22-0, Tppds 122555-91-3 186305-11-3  
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(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

IT **250612-07-8P**

RL: DGN (Diagnostic use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

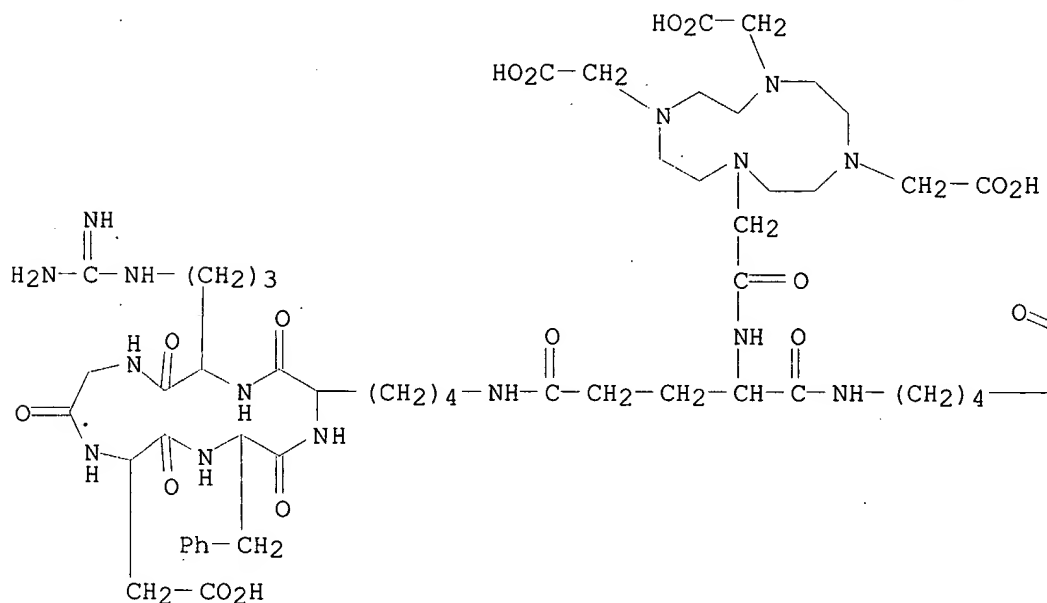
(prepn. of peptides and simultaneous imaging of cardiac perfusion and a

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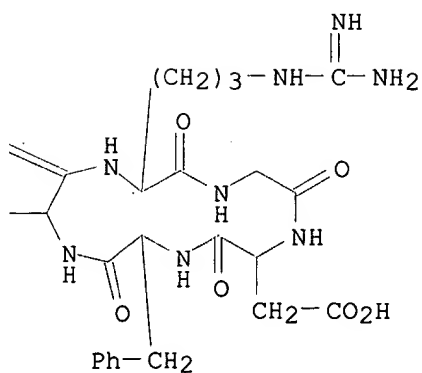
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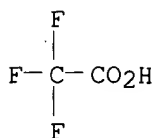
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CM 2

CRN 76-05-1.

CMF C2 H F3 O2



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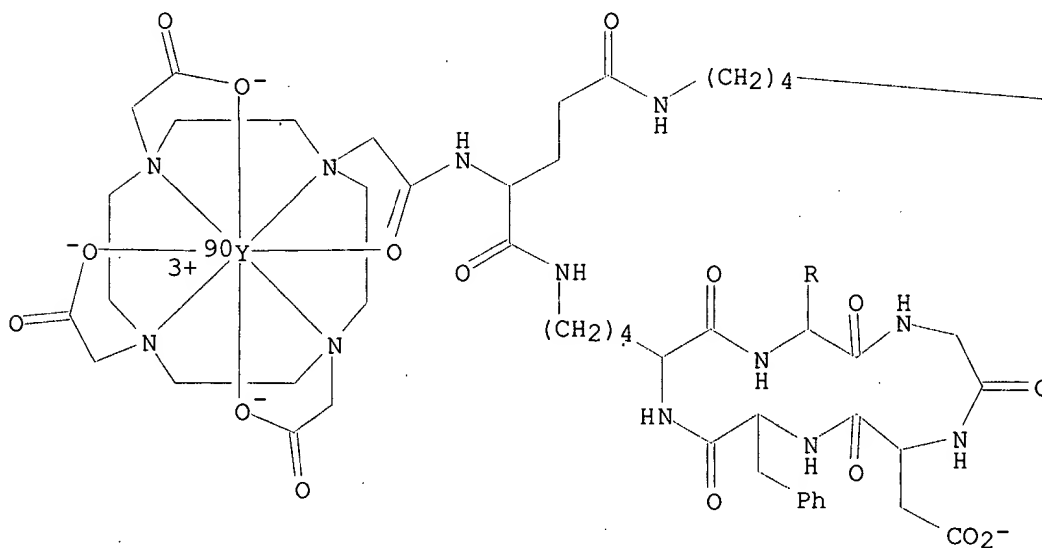
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

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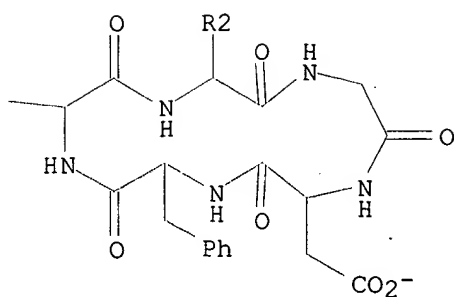
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PAGE 1-A

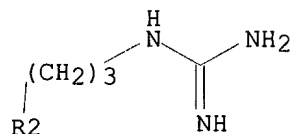
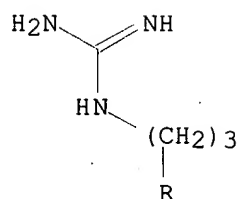




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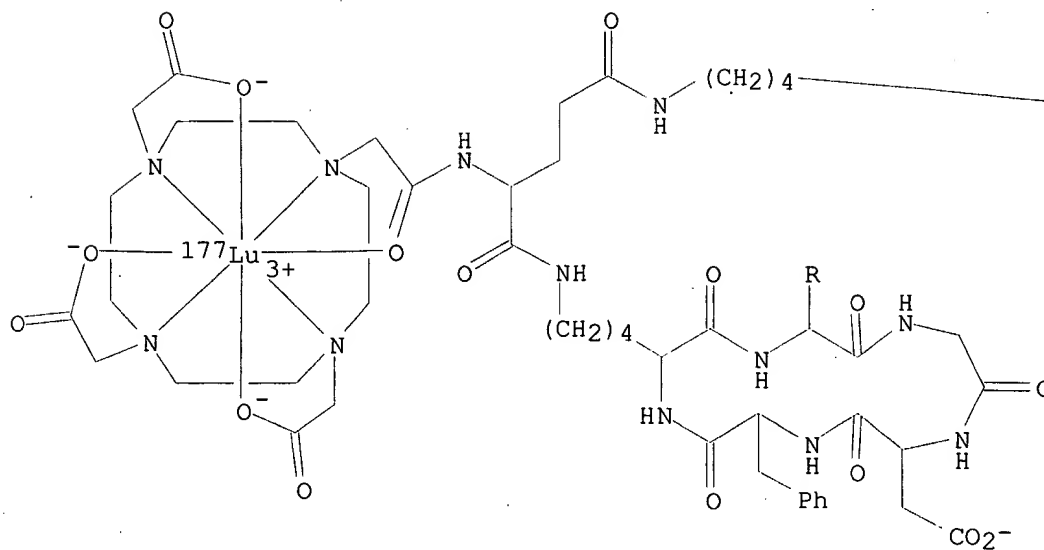


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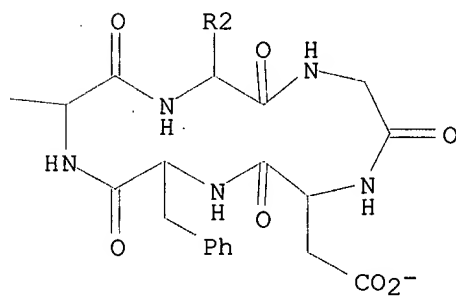
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RN 250614-39-2 HCAPLUS  
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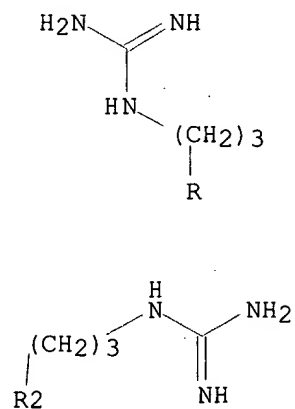
PAGE 1-A



PAGE 1-B

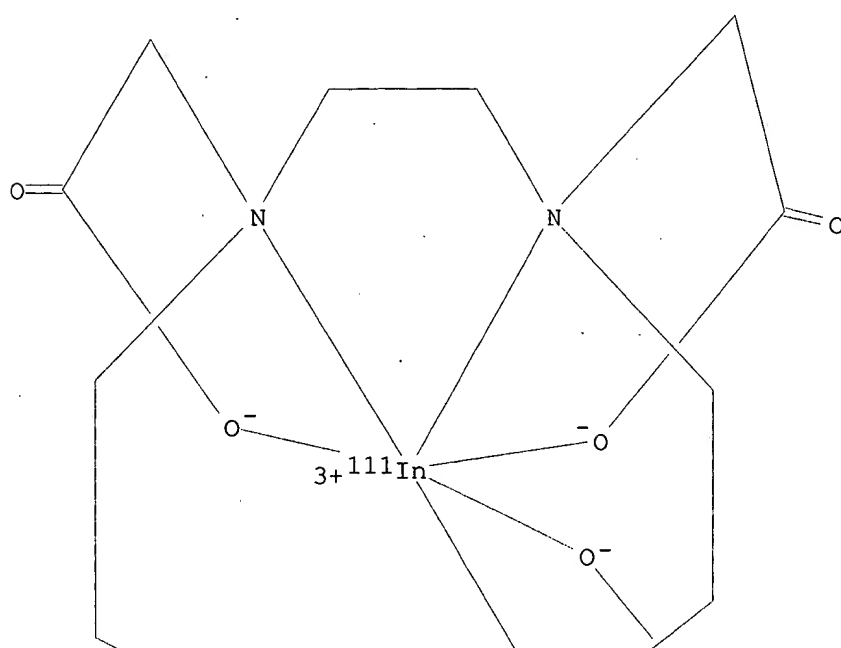


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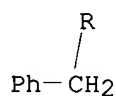
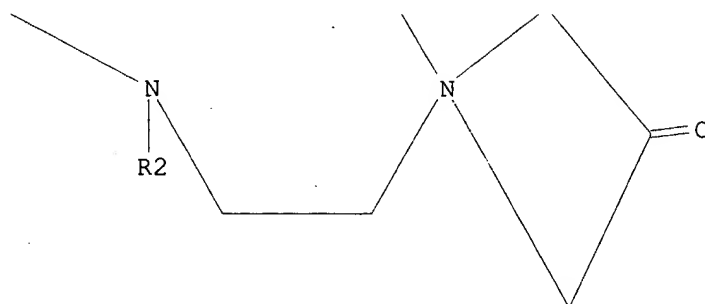


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PAGE 1-A

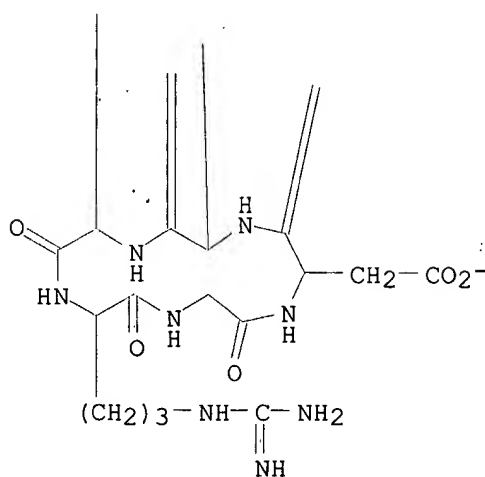


PAGE 2-A



\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

PAGE 4-A



2 H<sup>+</sup>

IT 250612-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptides and simultaneous imaging of cardiac perfusion and a vitronectin receptor targeted imaging agent)

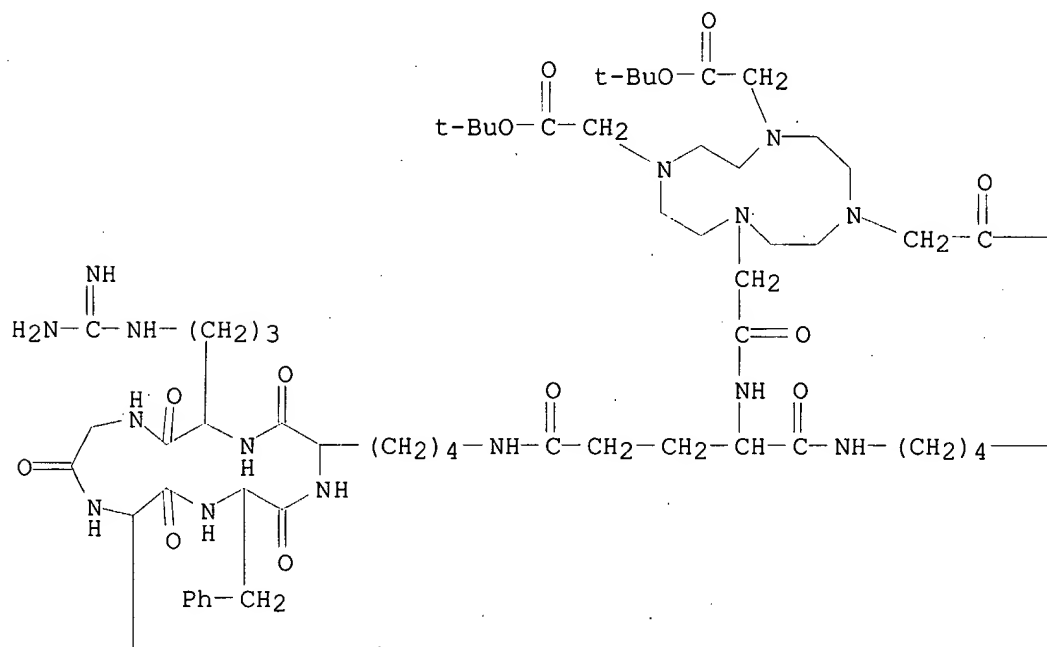
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 (9CI) (CA INDEX NAME)

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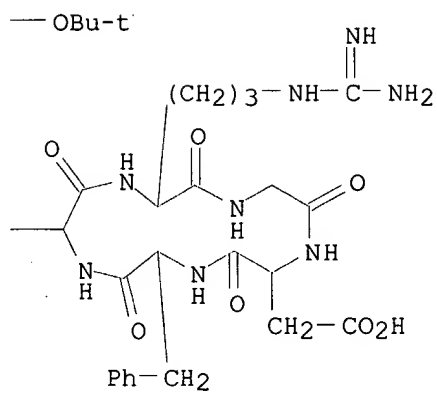
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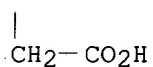
PAGE 1-A



PAGE 1-B

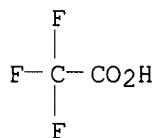


PAGE 2-A



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



L62 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2002:51305 HCAPLUS  
 DN 136:123597  
 TI Preparation of stable radiopharmaceutical compositions useful for tumor therapy  
 IN Liu, Shuang; Barrett, John A.; Carpenter, Alan P., Jr.  
 PA Dupont Pharmaceuticals Company, USA  
 SO PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K051-04  
 ICS A61K051-08  
 CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 8, 34, 78

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004030	A2	20020117	WO 2001-US21261	20010705
	WO 2002004030	A3	20030227		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002122768	A1	20020905	US 2001-899629	20010705
	EP 1311301	A2	20030521	EP 2001-984147	20010705
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-216396P	P	20000706		
	WO 2001-US21261	W	20010705		
OS	MARPAT 136:123597				
AB	<p>The present invention provides stable radiopharmaceutical compns. including a therapeutic radionuclide and an effective stabilizing amt. of an arom. stabilizer (e.g., a polyhydroxylated arom. compd., an arom. amine, or a hydroxylated arom. amine), alone or in combination with other antioxidants or stabilizers, to inhibit radiolytic degrdn. of radiopharmaceuticals. The present invention also provides improved radiopharmaceutical formulations by the use of an arom. stabilizing agent (e.g., a polyhydroxylated arom. compd., an arom. amines, or a hydroxylated arom. amine), and/or low temp. storage. The present invention also provides processes for making stable radiopharmaceutical compns. The present invention also provides the use of the pharmaceutical compns. in medical therapy and/or medical diagnosis.</p>				
ST	<p>radiopharmaceutical stabilization gentisate hydroxybenzoate; sulfonatobenzeneamine ascorbate radiopharmaceutical stabilization; antioxidant hydroxybenzaldehyde radiopharmaceutical stabilization; radionuclide chelator biomol conjugate prepn stabilization</p>				
IT	<p>Carcinoma (adenocarcinoma; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)</p>				
IT	<p>Uterus, neoplasm (cervix; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)</p>				
IT	<p>Interferons Interleukin 2 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (chemotherapeutic agent; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)</p>				
IT	<p>Intestine, neoplasm (colon; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)</p>				
IT	<p>Intestine, neoplasm (colorectal; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)</p>				
IT	<p>Radionuclides, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (complexes, radionuclides; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)</p>				
IT	<p>Radiology (diagnostic; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)</p>				
IT	<p>Angiogenesis</p>				

- (disease assocd. with receptors in; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Epidermal growth factor receptors  
Fibrinogen receptors  
Growth factor receptors  
Integrins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(disease assocd. with; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Uterus, neoplasm  
(endometrium; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Neuroglia  
(glioma; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Selectins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(ligands, disease assocd. with; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Antibodies  
RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study);  
USES (Uses)  
(monoclonal, labeled; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)
- IT Biliary tract  
Bladder  
Esophagus  
Larynx  
Mammary gland  
Prostate gland  
(neoplasm; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Nerve, neoplasm  
(neuroblastoma; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Salivary gland  
(parotid, cancer; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Peptides, biological studies  
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
USES (Uses)  
(prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)
- IT Antitumor agents  
Drug delivery systems  
Imaging agents  
Radiopharmaceuticals  
Stabilizing agents  
(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)
- IT Ligands  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selectin, disease assocd. with; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Lung, neoplasm  
(small-cell carcinoma; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Carcinoma  
(squamous cell; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)
- IT Animal tissue  
Atherosclerosis  
Drug delivery systems



Heart, disease  
Infection  
Inflammation  
Kidney, disease  
Kidney, neoplasm  
Liver, neoplasm  
Lung, neoplasm  
Melanoma

Organ, animal  
Ovary, neoplasm  
Pancreas, neoplasm  
Radiosensitizers, biological  
Stomach, neoplasm  
Testis, neoplasm  
Thyroid gland, neoplasm  
Transplant rejection  
Uterus, neoplasm

(stabilized radiopharmaceutical compns. for use in medical therapy  
and/or medical diagnosis)

IT Androgen receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(stabilized radiopharmaceutical compns. for use in medical therapy  
and/or medical diagnosis)

IT Embolism

(thromboembolism; stabilized radiopharmaceutical compns. for use in  
medical therapy and/or medical diagnosis)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(.alpha., chemotherapeutic agent; prepn. of stable radiopharmaceutical  
compns. useful for tumor therapy)

IT Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.IIb.beta.3, disease assocd. with; stabilized  
radiopharmaceutical compns. for use in medical therapy and/or medical  
diagnosis)

IT Integrins

Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.v.beta.3, disease assocd. with; stabilized radiopharmaceutical  
compns. for use in medical therapy and/or medical diagnosis)

IT Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.v.beta.5, disease assocd. with; stabilized radiopharmaceutical  
compns. for use in medical therapy and/or medical diagnosis)

IT Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.1.beta.1, disease assocd. with; stabilized radiopharmaceutical  
compns. for use in medical therapy and/or medical diagnosis)

IT Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.4.beta.1, disease assocd. with; stabilized radiopharmaceutical  
compns. for use in medical therapy and/or medical diagnosis)

IT Integrins

Integrins

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(.alpha.5.beta.1, disease assocd. with; stabilized radiopharmaceutical  
compns. for use in medical therapy and/or medical diagnosis)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(.beta., chemotherapeutic agent; prepn. of stable radiopharmaceutical  
compns. useful for tumor therapy)

IT Interferons

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(.gamma., chemotherapeutic agent; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

IT 50-07-7, Mitomycin 57-22-7, Vincristine 57-83-0, Progesterone, biological studies 59-05-2, Methotrexate 125-84-8, Aminoglutethimide 147-94-4, Cytarabine 302-79-4, Tretinoin 434-07-1, Oxymetholone 488-41-5, Mitobronitol 566-48-3, Formestane 2363-58-8, Epitiostanol 3094-09-5, Doxifluridine 3778-73-2, Ifosfamide 4291-63-8, Cladribine 4533-39-5, Nitracrine 4759-48-2, Isotretinoin 6620-60-6, Proglumide 9014-02-2, Zinostatin 9034-40-6, Lhrf 9050-67-3, Sizofilan 10318-26-0, Mitolactol 10540-29-1, Tamoxifen 13311-84-7, Flutamide 13425-98-4, Improsulfan 14769-73-4, Levamisole 17902-23-7, Tegafur 18016-80-3, Lisuride 18883-66-4, Streptozocin 20830-81-3, Daunorubicin 21362-69-6, Mepitiostane 21416-67-1, Razoxane 22181-94-8, Butocin 23214-92-8, Doxorubicin 24279-91-2, Carboquone 29069-24-7, Prednimustine 29767-20-2, Teniposide 33419-42-0, Etoposide 39325-01-4, Picibanil 41575-94-4, Carboplatin 42471-28-3, Nimustine 51264-14-3, Amsacrine 53643-48-4, Vindesine 53910-25-1, Pentostatin 54350-48-0, Etretnate 55726-47-1, Enocitabine 58337-35-2, Elliptinium acetate 61422-45-5, Carmofur 62304-98-7, Thymalfasin 71486-22-1, Vinorelbine 74050-98-9, Ketanserin 81627-83-0, Colony stimulating factor-1 81840-15-5, Vesnarinone 83869-56-1, Colonystimulating factor-2 90357-06-5, Bicalutamide 92118-27-9, Fotemustine 95058-81-4, Gemcitabine 95734-82-0, Nedaplatin 98631-95-9, Sobuzoxane 102676-47-1, Fadrozole 112809-51-5, Letrozole 112887-68-0, Raltitrexed 120287-85-6, Cetorelix 173146-27-5, Denileukin diftitox

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chemotherapeutic agent; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

IT 80449-02-1, Tyrosine kinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(disease assocd. with; stabilized radiopharmaceutical compns. for use in medical therapy and/or medical diagnosis)

IT 108-68-9 769-39-1 2419-94-5 2969-81-5 6066-82-6 18807-71-1 114559-25-0 137076-54-1 208580-27-2 277316-35-5 277316-57-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

IT 40324-66-1P 57932-18-0P 161552-03-0P 246234-73-1P 250612-43-2P 250612-45-4P 250612-48-7P **250612-82-9P** 277315-71-6P 277315-82-9P 277315-89-6P 277315-90-9P 277316-24-2P 277316-27-5P 277316-28-6P 277316-29-7P 277316-30-0P 277316-31-1P 277316-40-2P 277316-41-3P 277316-44-6P 277316-45-7P 277316-58-2P 389885-48-7DP, oxime resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

IT **250612-07-8P** 277315-68-1P 277315-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

IT **250614-38-1P** 278173-02-7P 278173-08-3P 390798-27-3P

RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

IT 10043-66-0D, Iodine 131, complexes, biological studies **10098-91-6D**, 90Y, complexes, biological studies 13967-65-2D, Holmium 166, complexes, biological studies 13981-25-4D, Copper 64, complexes, biological studies 13981-27-6D, Zirconium 89, complexes, biological studies 13981-59-4D, Tin 117, complexes, biological studies 13982-06-4D, Copper 60, complexes, biological studies 14119-09-6D,

Gallium 67, complexes, biological studies 14133-76-7D, 99Tc, complexes, biological studies 14158-31-7D, Iodine 125, complexes, biological studies 14265-75-9D, 177Lu, complexes, biological studies 14276-53-0D, Copper 62, complexes, biological studies 14378-26-8D, Rhenium 188, complexes, biological studies 14391-96-9D, Scandium 47, complexes, biological studies 14596-37-3D, Phosphorus 32, complexes, biological studies 14687-25-3D, Lead 203, complexes, biological studies 14913-89-4D, complexes, biological studies 14981-64-7D, Palladium 109, complexes, biological studies 14998-63-1D, Rhenium 186, complexes, biological studies 15715-08-9D, Iodine 123, complexes, biological studies 15750-15-9D, Indium 111, complexes, biological studies 15755-39-2D, Astatine 211, complexes, biological studies 15757-14-9D, Gallium 68, complexes, biological studies 15757-86-5D, Copper 67, complexes, biological studies 15758-35-7D, Ruthenium 97, complexes, biological studies 15765-31-8D, Promethium 149, complexes, biological studies 15766-00-4D, Samarium 153, complexes, biological studies  
 RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)  
 IT 16434-14-3, Lutetium-177 trichloride 39271-65-3, Yttrium-90 trichloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)  
 IT 22668-01-5 27314-97-2 70132-50-2 88876-88-4 104958-90-9  
 108001-60-1  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(radiosensitizer agent; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)  
 IT 50-81-7, Ascorbic acid, biological studies 51-85-4, Cystamine 57-55-6, Propylene glycol, biological studies 59-67-6, Nicotinic acid, biological studies 87-89-8, Inositol 89-57-6, 5-Amino-2-hydroxybenzoic acid 98-92-0, Nicotinamide 100-51-6, Benzyl alcohol, biological studies 134-03-2, Sodium ascorbate 137-51-9 149-91-7, biological studies 150-13-0, p-Aminobenzoic acid **490-79-9, Gentisic acid** 495-08-9, Gentisyl alcohol 610-02-6 2374-03-0 4955-90-2, Sodium gentisate 9004-54-0, Dextran, biological studies 13677-79-7 389885-49-8  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stabilizing agent; prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

IT **250612-82-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of chelator-optional linker-biomol. conjugates for use in stable radiopharmaceutical compns.)

RN 250612-82-9 HCAPLUS

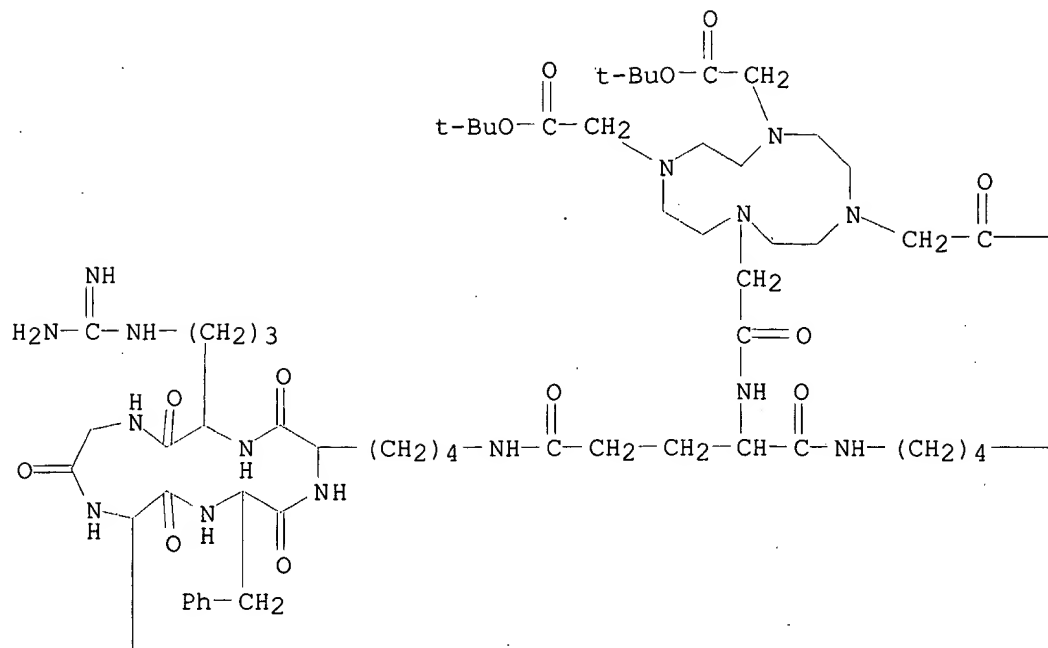
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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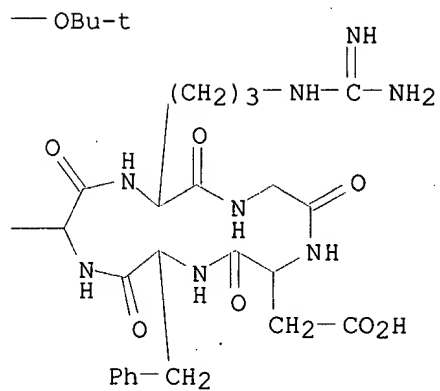
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CMF C87 H137 N23 O23

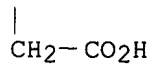
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PAGE 1-B

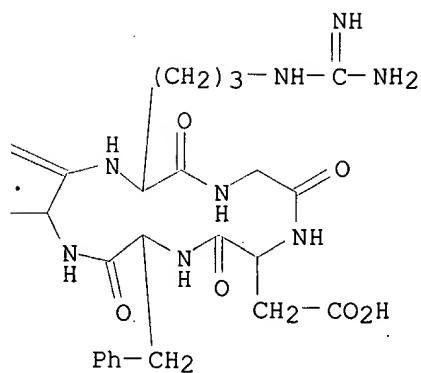


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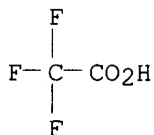
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 250614-38-1P

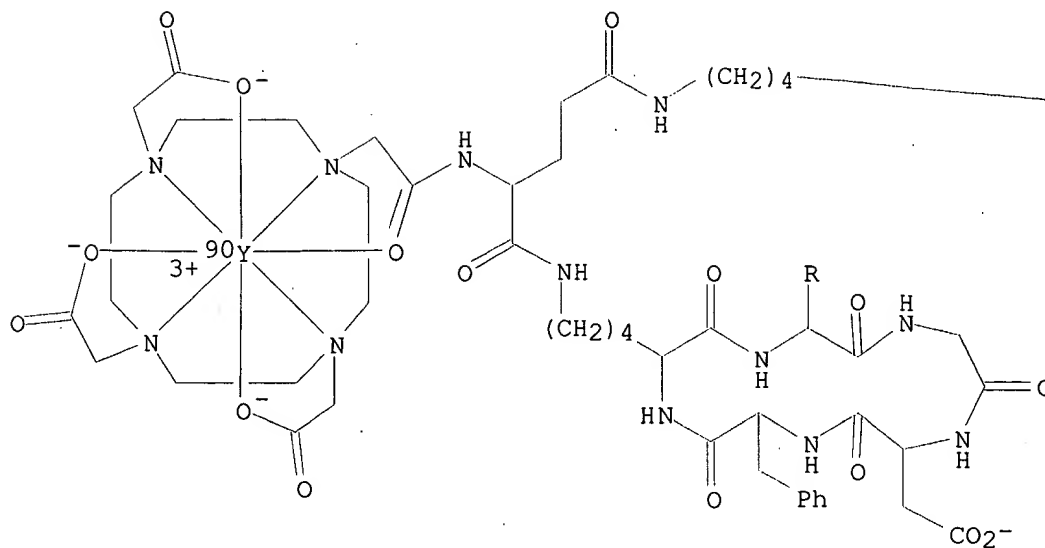
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of stable radiopharmaceutical compns. useful for tumor therapy)

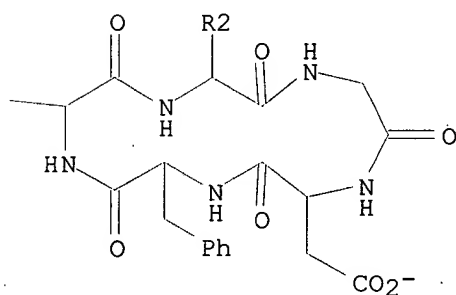
RN 250614-38-1 HCAPLUS

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

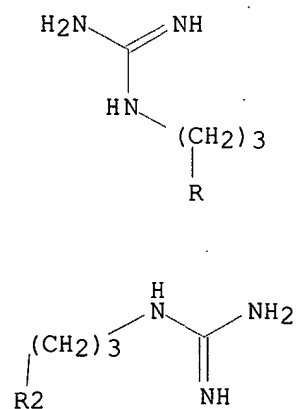
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PAGE 1-B



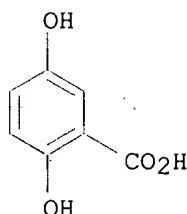
PAGE 2-A



IT **10098-91-6D**, 90Y, complexes, biological studies  
 RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)  
 (prepn. of stable radiopharmaceutical compns. useful for tumor therapy)  
 RN 10098-91-6 HCAPLUS  
 CN Yttrium, isotope of mass 90 (8CI, 9CI) (CA INDEX NAME)

90y

IT **490-79-9, Gentisic acid**  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (stabilizing agent; prepn. of stable radiopharmaceutical compns. useful  
 for tumor therapy)  
 RN 490-79-9 HCAPLUS  
 CN Benzoic acid, 2,5-dihydroxy- (9CI) (CA INDEX NAME)



L62 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2001:935452 HCAPLUS  
 DN 136:70083  
 TI Pharmaceuticals for the imaging of angiogenic disorders for use in  
 combination therapy  
 IN Rajopadhye, Milind; Edwards, D. Scott; **Barrett, John A.;**  
**Carpenter, Alan P., Jr.;** Heminway, Stuart J.; **Liu, Shuang**  
 ; Singh, Prahlad  
 PA **Dupont Pharmaceuticals Company, USA**  
 SO PCT Int. Appl., 306 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K051-08  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 8, 63, 78

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001097860	A2	20011227	WO 2001-US20108	20010621
	WO 2001097860	A3	20030227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1311302	A2	20030521	EP 2001-946697	20010621



R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 2000-213206P P 20000621

WO 2001-US20108 W 20010621

OS MARPAT 136:70083

AB Compds. (Q)d-Ln-Ch (Q is a peptide, d = 1-10, Ln is a linking group, Ch is a metal-bonding unit) were prepd. for use in the diagnosis and treatment of cancer in combination therapy in a patient. The present invention also provides novel compds. useful for the treatment of rheumatoid arthritis (no data). Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-Tyr(3-aminopropyl)-Val} with 2-[[[5-[[[2,5-dioxo-1-pyrrolidinyl]oxy]carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into radiopharmaceutical <sup>99m</sup>Tc(VnA) (tricine) (phosphine), where VnA represents the vitronectin receptor antagonist.

ST cyclic peptide radiolabeled prepn imaging angiogenic disorder;  
radiopharmaceutical cyclic peptide prepn vitronectin receptor antagonist anticancer agent

IT Imaging agents

(NMR contrast; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT Interferons

Interleukin 2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticancer agents as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)

IT Peptides, preparation

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(cyclic; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT Photosensitizers (pharmaceutical)

(photosensitizers as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)

IT Angiogenesis

Antitumor agents

Radiopharmaceuticals

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT Vitronectin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT Radiosensitizers, biological

(radiosensitizers as adjuvants in the treatment of cancer with peptide derivs. and their radioactive metal complexes)

IT Neoplasm

Rheumatoid arthritis

(treatment of; prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

IT 50-07-7, Mitomycin 57-22-7, Vincristine 57-83-0, Progesterone,  
biological studies 59-05-2, Methotrexate 125-84-8, Aminogluthetamide  
147-94-4, Cytarabine 302-79-4, Tretinoin 434-07-1, Oxymetholone  
488-41-5, Mitobronitol 566-48-3, Formestane 2363-58-8, Epitiostanol  
3094-09-5, Doxifluridine 3778-73-2, Ifosfamide 4291-63-8, Cladribine  
4533-39-5, Nitracrine 4759-48-2, Isotretinoin 6620-60-6, Proglumide  
9014-02-2, Zinostatin 9034-40-6, Lhrf 9050-67-3, Sizofilan  
10318-26-0, Mitolactol 10540-29-1, Tamoxifen 13311-84-7, Flutamide  
13425-98-4, Improsulfan 14769-73-4, Levamisole 17902-23-7, Tegafur  
18016-80-3, Lisuride 18883-66-4, Streptozocin 20830-81-3, Daunorubicin  
21362-69-6, Mepitiostane 21416-67-1, Razoxane 22181-94-8, Butocin

23214-92-8, Doxorubicin 24279-91-2, Carboquone 29069-24-7,  
 Prednimustine 29767-20-2, Teniposide 33419-42-0, Etoposide  
 39325-01-4, Picibanil 41575-94-4, Carboplatin 42471-28-3, Nimustine  
 51264-14-3, Amsacrine 53643-48-4, Vindesine 53910-25-1, Pentostatin  
 54350-48-0, Etrexinate 55726-47-1, Enocitabine 58337-35-2, Elliptinium  
 acetate 61422-45-5, Carmofur 62304-98-7, Thymalfasin 71486-22-1,  
 Vinorelbine 74050-98-9, Ketanserin 81627-83-0, Colony stimulating  
 factor-1 81840-15-5, Vesnarinone 83869-56-1, Colony stimulating  
 factor-2 90357-06-5, Bicalutamide 92118-27-9, Fotemustine  
 95058-81-4, Gemcitabine 95734-82-0, Nedaplatin 98631-95-9, Sobuzoxane  
 102676-47-1, Fadrozole 104958-90-9 108001-60-1 112809-51-5,  
 Letrozole 112887-68-0, Raltitrexed 120287-85-6, Cetorelix  
 173146-27-5, Denileukin diftix

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticancer agents as adjuvants in the treatment of cancer with peptide  
 derivs. and their radioactive metal complexes)

IT 22668-01-5 27314-97-2, 3-Amino-1,2,4-benzotriazine-1,4-dioxide  
 68335-15-9, Photofrin 70132-50-2 88876-88-4 220264-81-3  
 220264-83-5 381733-54-6 381733-55-7 381733-56-8 381733-57-9  
 381733-58-0 381733-59-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(photosensitizers as adjuvants in the treatment of cancer with peptide  
 derivs. and their radioactive metal complexes)

IT 202930-91-4P 250611-72-4P 250611-73-5P 250611-74-6P 250611-75-7P  
 250611-76-8P 250611-77-9P 250611-78-0P 250611-79-1P 250611-80-4P  
 250611-81-5P 250611-82-6P 250611-83-7P 250611-84-8P 250611-85-9P  
 250611-86-0P 250611-87-1P 250611-88-2P 250611-89-3P 250611-90-6P  
 250611-91-7P 250611-92-8P 250611-93-9P 250611-94-0P 250611-95-1P  
 250611-96-2P 250611-97-3P 250611-98-4P 250611-99-5P 250612-00-1P  
 250612-01-2P 250612-02-3P 250612-03-4P 250612-04-5P 250612-05-6P  
**250612-06-7P 250612-07-8P** 250612-08-9P 250612-09-0P  
 250612-10-3P 250612-11-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 250611-72-4DP, technetium-99m tricine triazole complex 250612-12-5P  
 250612-13-6P 250612-14-7P 250612-15-8P 250612-16-9P 250612-17-0P  
 250612-18-1P 250612-19-2P 250612-20-5P 250612-21-6P 250612-22-7P  
 250612-24-9P 250612-25-0P 250612-26-1P 250614-19-8P 250614-20-1P  
 250614-21-2P 250614-22-3P 250614-23-4P 250614-24-5P 250614-25-6P  
 250614-26-7P 250614-27-8P 250614-28-9P 250614-29-0P 250614-30-3P  
 250614-31-4P 250614-32-5P 250614-33-6P 250614-34-7P 250614-35-8P  
 250614-36-9P 250614-37-0P **250614-38-1P 250614-39-2P**  
**250614-40-5P** 250614-41-6P 250614-42-7P 250614-43-8P  
 250614-44-9P 250614-45-0P 250614-46-1P 250614-47-2P 250614-48-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 108-30-5, reactions 288-88-0, 1H-1,2,4-Triazole 5437-45-6, Benzyl  
 bromoacetate 5704-04-1, Tricine 23911-26-4,  
 Diethylenetriaminepentaacetic dianhydride 63995-70-0, Tppts  
 63995-75-5, TPPMS 64018-22-0, TPPDS 122555-91-3 161552-03-0  
 180468-25-1 186305-11-3 194920-62-2 250612-83-0D, resin-bound  
 250612-84-1D, resin-bound 250612-85-2D, resin-bound 250612-86-3  
 250612-87-4 250612-88-5D, resin-bound 250612-89-6D, resin-bound  
 250612-90-9D, resin-bound 250612-92-1D, resin-bound 250612-93-2D,  
 resin-bound 250612-94-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and

the treatment of cancer in combination therapy)

IT 137076-54-1P 192635-89-5P 246234-73-1P 250612-28-3P 250612-30-7P  
 250612-31-8P 250612-32-9P 250612-34-1P 250612-36-3P 250612-38-5P  
 250612-40-9P 250612-41-0P 250612-42-1P 250612-43-2P 250612-44-3P  
 250612-46-5P 250612-48-7P 250612-50-1P 250612-51-2P 250612-52-3P  
 250612-54-5P 250612-56-7P 250612-57-8P 250612-59-0P 250612-61-4P  
 250612-62-5P 250612-64-7P 250612-65-8P 250612-67-0P 250612-69-2P  
 250612-71-6P 250612-72-7P 250612-74-9P 250612-75-0P 250612-77-2P  
 250612-78-3P 250612-80-7P **250612-82-9P** 250636-75-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 22541-90-8, reactions  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 250614-59-6P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

IT 63-89-8 7091-44-3 250612-27-2  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

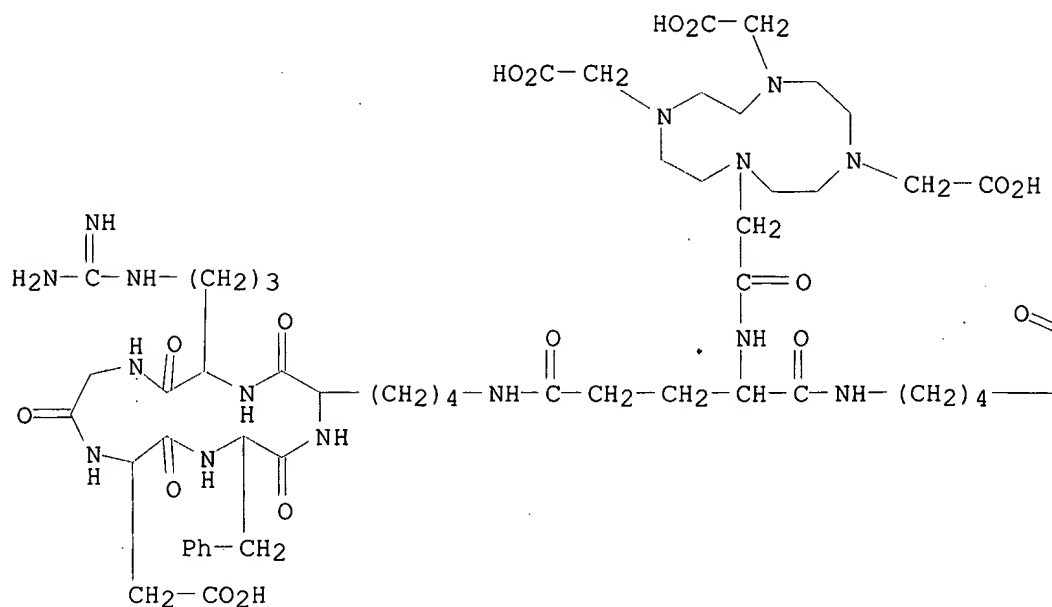
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 biological studies 13967-65-2, Ho166, biological studies 13981-28-7,  
 La140, biological studies 14041-42-0, Gd159, biological studies  
 14041-44-2, Yb175, biological studies 14158-31-7, il25, biological  
 studies 14269-78-4, Yb169, biological studies 14378-26-8, Re188,  
 biological studies 14391-11-8, Au199, biological studies 14694-69-0,  
 Ir192, biological studies 14913-49-6, Bi212, biological studies  
 14913-89-4, Rh105, biological studies 14914-12-6, Lu 174, biological  
 studies 14967-68-1, Pd103, biological studies 14981-64-7, Pd109,  
 biological studies 14998-63-1, Re186, biological studies 15749-66-3,  
 p33, biological studies 15756-45-3, Au192, biological studies  
 15757-86-5, Cu67, biological studies 15760-04-0, Ag111, biological  
 studies 15765-31-8, Pm149, biological studies 15766-00-4, Sm153,  
 biological studies 15840-01-4, Dy166, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (radioisotope for use with peptide derivs. for the treatment of cancer  
 in combination therapy)

IT **250612-06-7P 250612-07-8P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders and  
 the treatment of cancer in combination therapy)

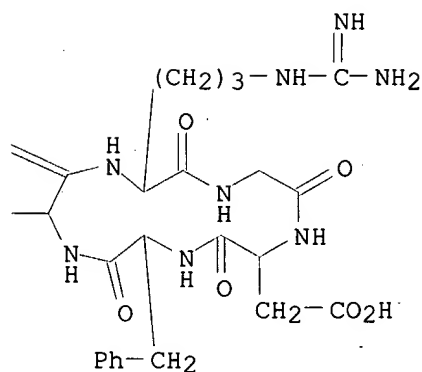
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CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-  
 yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

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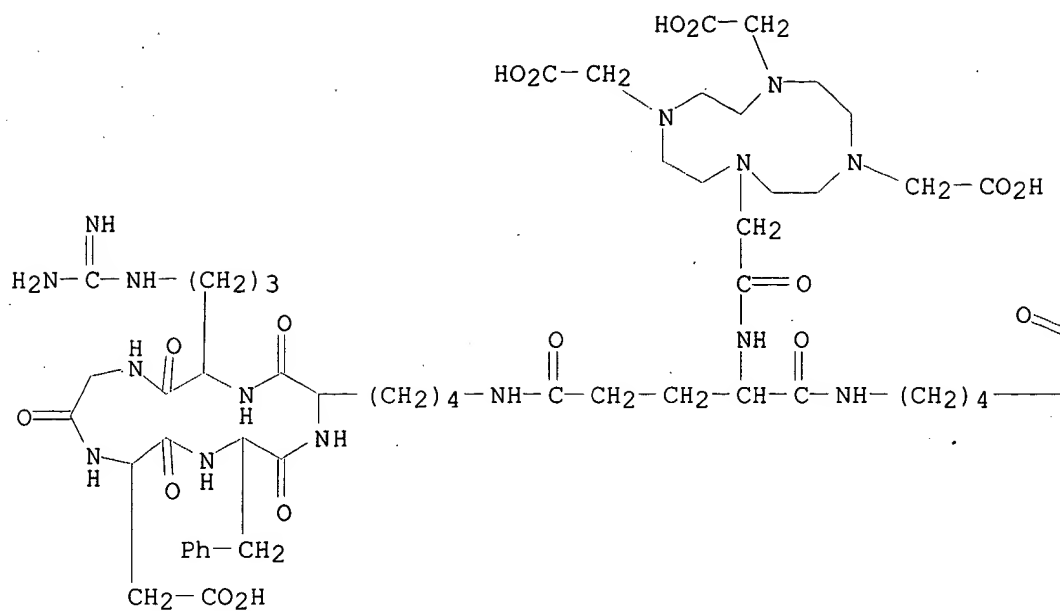


RN 250612-07-8 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

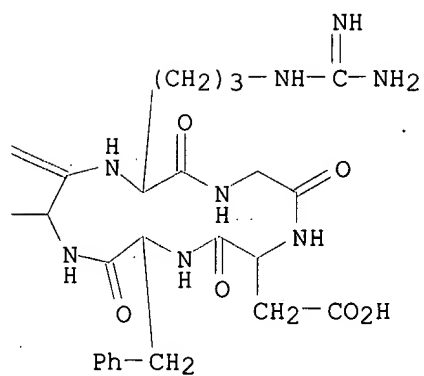
CM 1

CRN 250612-06-7  
 CMF C75 H113 N23 O23

PAGE 1-A

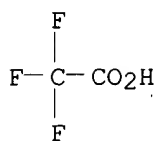


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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 250614-38-1P 250614-39-2P 250614-40-5P

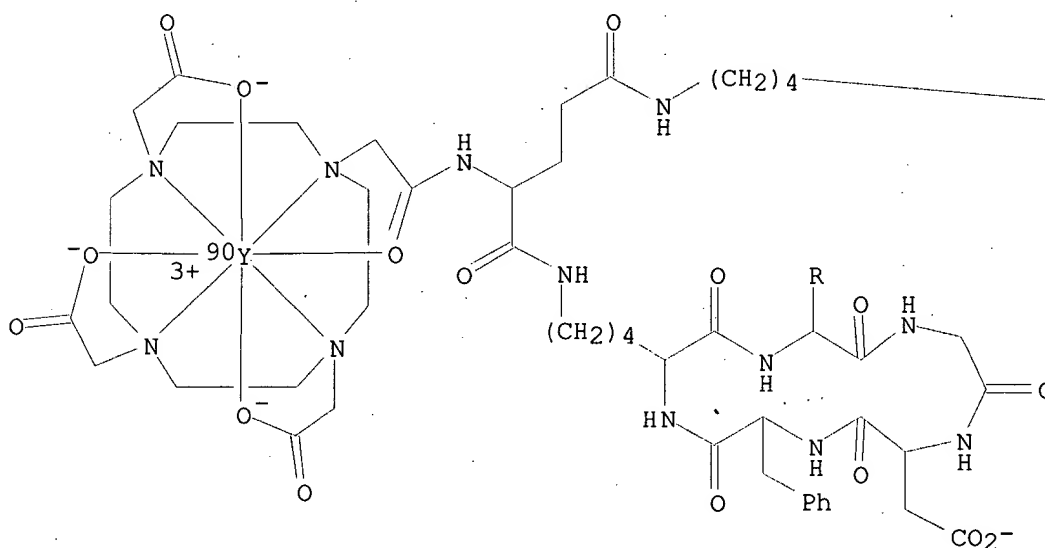
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

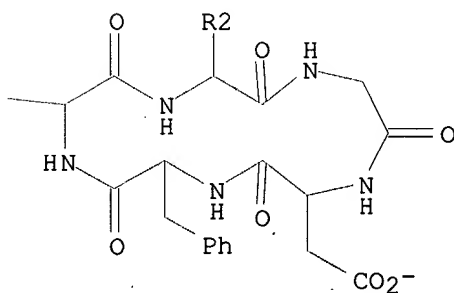
RN 250614-38-1 HCAPLUS

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

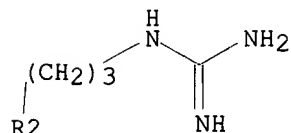
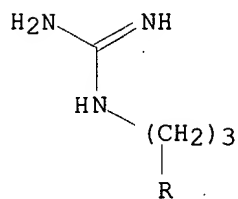
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PAGE 1-B



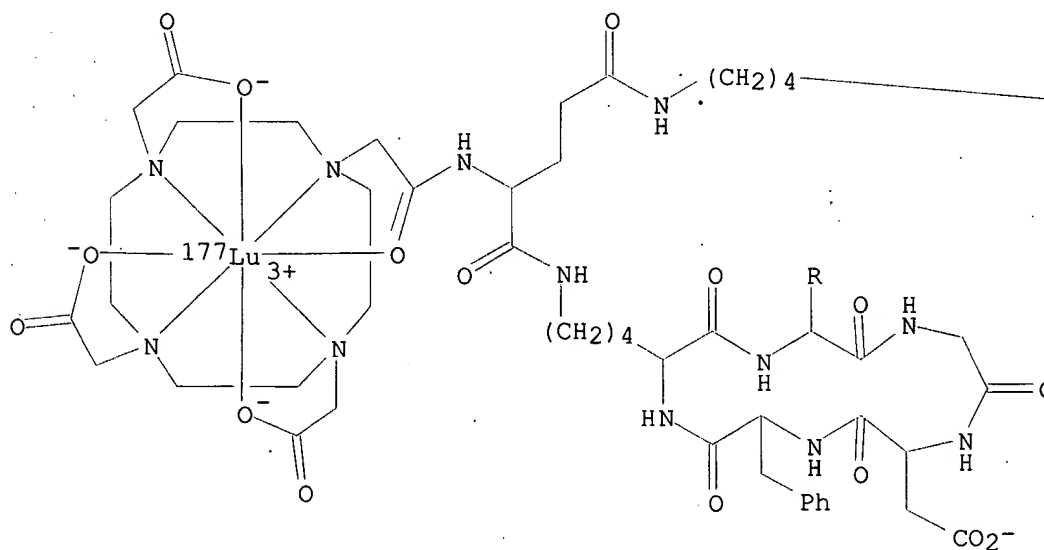
PAGE 2-A

 $\bullet 2 \text{ H}^+$ 

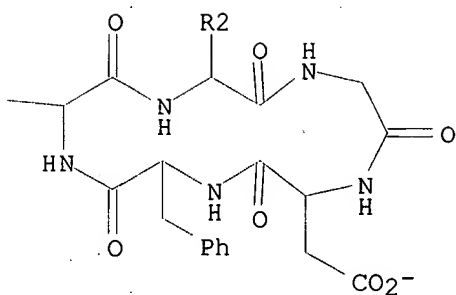
RN 250614-39-2 HCAPLUS

CN Lutetate(2-)-177Lu, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

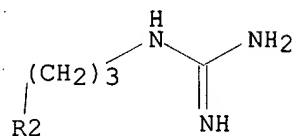
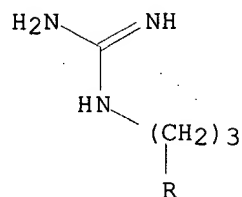
PAGE 1-A



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PAGE 2-A

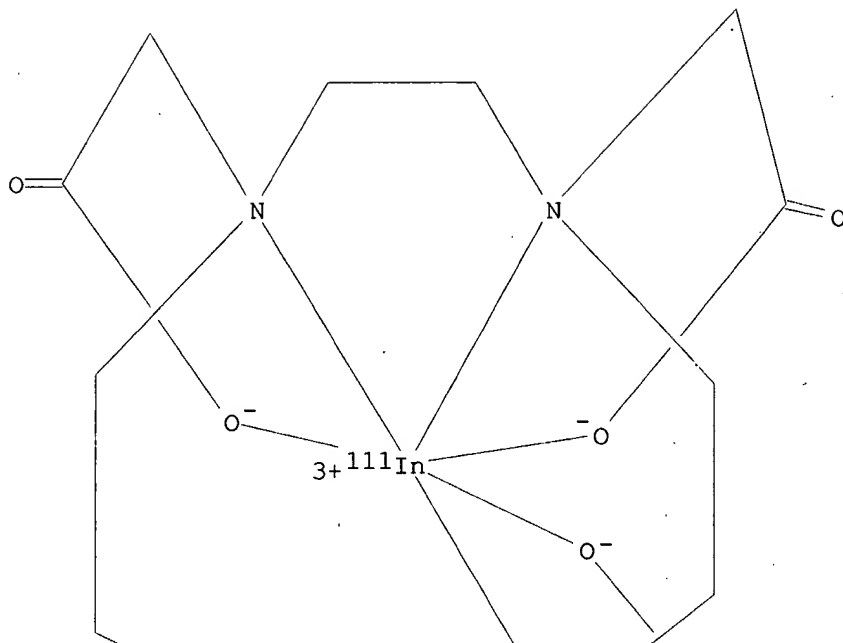
● 2 H<sup>+</sup>

RN 250614-40-5 HCAPLUS

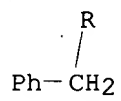
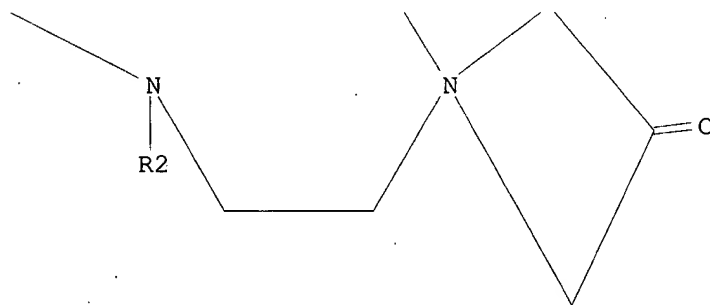
CN Indate(2-)-111In, [[5,5'-[N-[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

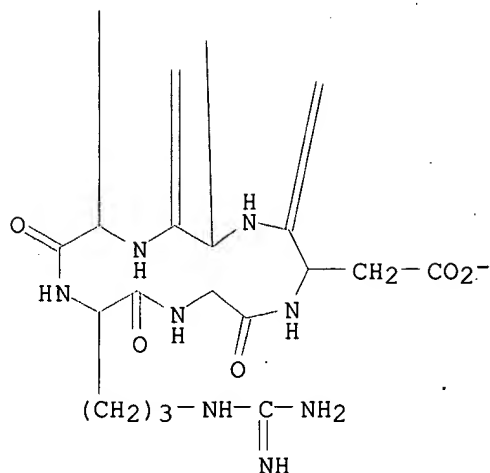


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PAGE 4-A

● 2 H<sup>+</sup>

IT 250612-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of peptide derivs. for the imaging of angiogenic disorders and the treatment of cancer in combination therapy)

RN 250612-82-9 HCAPLUS

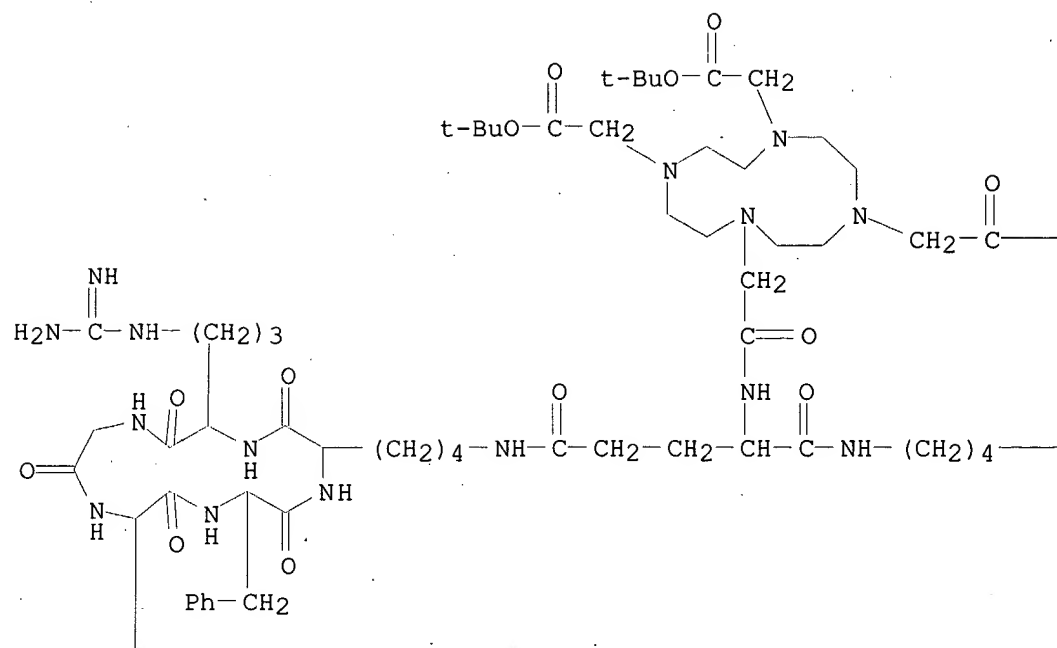
CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl), 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

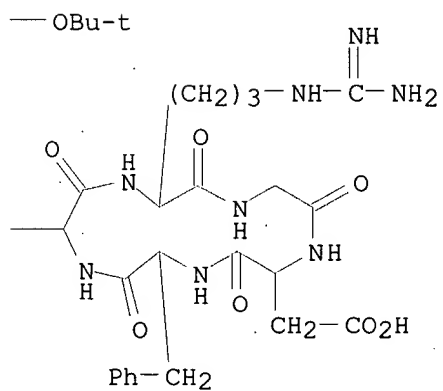
CRN 250612-81-8

CMF C87 H137 N23 O23

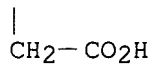
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PAGE 1-B



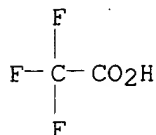
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 10098-91-6, y90, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (radioisotope for use with peptide derivs. for the treatment of cancer  
 in combination therapy)  
 RN 10098-91-6 HCAPLUS  
 CN Yttrium, isotope of mass 90 (8CI, 9CI) (CA INDEX NAME)

90Y

L62 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2001:421741 HCAPLUS  
 DN 135:177368  
 TI 90Y and 177Lu Labeling of a DOTA-Conjugated Vitronectin Receptor  
 Antagonist Useful for Tumor Therapy  
 AU Liu, Shuang; Cheung, Eric; Ziegler, Marisa C.; Rajopadhye,  
 Milind; Edwards, D. Scott  
 CS Medical Imaging Division, DuPont Pharmaceuticals Company, North  
 Billerica, MA, 01862, USA  
 SO Bioconjugate Chemistry (2001), 12(4), 559-568  
 CODEN: BCCHES; ISSN: 1043-1802  
 PB American Chemical Society  
 DT Journal  
 LA English  
 CC 8-9 (Radiation Biochemistry)  
 AB The 90Y and 177Lu complexes (RP697 and RP688, resp.) of a  
 DOTA-conjugated vitronectin receptor antagonist (SU015:  
 2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)-1-cyclododecyl)acetyl-  
 Glu(cyclo{Lys-Arg-Gly-Asp-D-Phe})-cyclo{Lys-Arg-Gly-Asp-D-Phe}) were  
 prepd. by reacting SU015 with the radiometal chloride in ammonium acetate  
 buffer (pH > 7.2) in the presence of an antioxidant (sodium gentisate,  
 GA). Through a series of radiolabeling expts., it was found that there  
 are many factors influencing the rate of 90Y chelation and the  
 radiolabeling efficiency of SU015. These include the purity of SU015, the  
 pH, reaction temp., and heating time, as well as the presence of trace  
 metal contaminants, such as Ca2+, Fe3+, and Zn2+. The chelation of 90Y by  
 SU015 is slow, so that heating at elevated temps. (50-100 .degree.C) is  
 needed to complete the 90Y-labeling. The rate of 90Y chelation is also  
 dependent on the pH of the reaction mixt. Under optimized radiolabeling  
 conditions (pH 7.2-7.8 and heating at 50-100 .degree.C for 5-10 min), the  
 min. amt. of SU015 required to achieve 95% RCP for RP697 is  
 .apprx.25 .mu:g for 20 mCi of 90YCl3 corresponding to a SU015:90Y ratio of  
 .apprx.30:1.  
 ST yttrium lutetium labeling DOTA conjugate vitronectin receptor antitumor  
 IT Vitronectin receptors  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (antagonist; 90Y and 177Lu labeling of DOTA-conjugated vitronectin  
 receptor antagonist useful for tumor therapy)

- IT Antitumor agents  
Chelation  
(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)
- IT 14127-61-8, Ca<sup>2+</sup>, uses 20074-52-6, Fe<sup>3+</sup>, uses 23713-49-7, Zn<sup>2+</sup>, uses  
RL: MOA (Modifier or additive use); USES (Uses)  
(contaminant; 90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)
- IT 250614-38-1P 250614-39-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)
- IT 4955-90-2, Sodium gentisate 16434-14-3, 177Lutetium chloride 39271-65-3, 90Yttrium chloride 94790-37-1, Hbtu 137076-54-1 250612-70-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)
- IT 250612-06-7P 250612-81-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)
- IT 60239-18-1DP, Dota, vitronectin receptor antagonist conjugated with, radiolabeled  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)

RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Aumailley, M; FEBS Lett 1991, V291, P50 HCAPLUS
- (2) Barrett, J; Bioconjugate Chem 1997, V8, P155 HCAPLUS
- (3) Barrett, J; Bioconjugate Chem 1996, V7, P203 HCAPLUS
- (4) Blood, C; Biochim Biophys Acta 1990, V1032, P410
- (5) Brooks, P; Cell 1994, V79, P1157 HCAPLUS
- (6) Brower, V; Nature Biol 1999, V17, P963 HCAPLUS
- (7) Cheesman, E; J Labeled Compds Radiopharm 1999, V42, PS164
- (8) Clarke, E; Inorg Chim Acta 1991, V190, P27 HCAPLUS
- (9) Clarke, E; Inorg Chim Acta 1991, V190, P37 HCAPLUS
- (10) Delgado, R; Talanta 1982, V29, P815 HCAPLUS
- (11) Drake, C; J Cell Sci 1995, V108, P2655 HCAPLUS
- (12) Edwards, D; Bioconjugate Chem 1999, V10, P803 HCAPLUS
- (13) Edwards, D; Bioconjugate Chem 1999, V10, P884 HCAPLUS
- (14) Edwards, D; Bioconjugate Chem 1997, V8, P146 HCAPLUS
- (15) Ferrara, N; Nature Medicine 1999, V5, P1359 HCAPLUS
- (16) Folkman, J; Nature Med 1995, V1, P27 HCAPLUS
- (17) Gasparini, G; Clinical Can Res 1998, V4, P2625 HCAPLUS
- (18) Giannis, A; Angew Chem, Int Ed Engl 1997, V36, P588 HCAPLUS
- (19) Harris, T; J Labeled Compds Radiopharm 1999, V42, PS576
- (20) Haubner, R; Angew Chem, Int Ed Engl 1997, V36, P1374 HCAPLUS
- (21) Haubner, R; J Am Chem Soc 1996, V118, P7461 HCAPLUS
- (22) Haubner, R; J Nucl Med 1999, V40, P1061 HCAPLUS
- (23) Heppler, A; Chem Eur J 1999, V5, P1974
- (24) Horak, E; Lancet 1992, V340, P1120 MEDLINE
- (25) Huabner, R; J Labeled Compds Radiopharm 1997, V40, P383
- (26) Jang, Y; J Am Chem Soc 1999, V121, P6142 HCAPLUS
- (27) Keire, D; Bioconjugate Chem 1999, V10, P454 HCAPLUS
- (28) Kline, S; Bioconjugate Chem 1991, V2, P26 HCAPLUS
- (29) Kulis, D; J Nucl Med 1998, V39, P2105
- (30) Kumar, K; Inorg Chem 1993, V32, P587 HCAPLUS

- (31) Kumar, K; Inorg Chem 1994, V33, P3567 HCAPLUS
- (32) Lewis, M; Bioconjugate Chem 1994, V5, P565 HCAPLUS
- (33) Lewis, M; Bioconjugate Chem 1998, V9, P72 HCAPLUS
- (34) Li, M; Bioconjugate Chem 1993, V4, P275 HCAPLUS
- (35) Liotta, L; Annu Rev Biochem 1986, V55, P1037 HCAPLUS
- (36) Liu, S; Bioconjugate Chem 1997, V8, P621 HCAPLUS
- (37) Liu, S; Bioconjugate Chem 1998, V9, P583 HCAPLUS
- (38) Liu, S; Bioconjugate Chem 1996, V7, P196 HCAPLUS
- (39) Liu, S; Bioconjugate Chem 1996, V7, P63 HCAPLUS
- (40) Liu, S; Bioconjugate Chem 2000, V11, P113 HCAPLUS
- (41) Liu, S; Chem Rev 1999, V99, P2235 HCAPLUS
- (42) Liu, S; Inorg Chem 1999, V38, P1326 HCAPLUS
- (43) Liu, Y; Pure Appl Chem 1991, V63, P427 HCAPLUS
- (44) Maillet, M; Tetrahedron Lett 1998, V39, P2659
- (45) Meitar, D; J Clin Oncol 1996, V14, P405 MEDLINE
- (46) Moi, M; Anal Chem 1985, V148, P249 HCAPLUS
- (47) Moi, M; J Am Chem Soc 1988, V110, P6266 HCAPLUS
- (48) Mousa, S; Drugs Future 1998, V23, P51 HCAPLUS
- (49) Parker, D; Chem Soc Rev 1990, V19, P271 HCAPLUS
- (50) Rajopadhye, M; J Labeled Compounds and Radiopharmaceuticals 1999, V42(suppl), PS234
- (51) Rajopadhye, M; J Nucl Med, (abstract no 1141) 2000, V41, P259P
- (52) Schubiger, P; Bioconjugate Chem 1996, V7, P165 HCAPLUS
- (53) Sherry, A; Inorg Chem 1989, V28, P620 HCAPLUS
- (54) Smith-Jones, P; Nucl Med Biol 1998, V25, P181 HCAPLUS
- (55) Stimmel, J; Bioconjugate Chem 1995, V6, P219 HCAPLUS
- (56) Stimmel, J; Nucl Med Biol 1998, V25, P117 HCAPLUS
- (57) van Hinsbergh, V; Ann Oncol 1999, V4, PS60
- (58) Volkert, W; Chem Rev 1999, V99, P2269 HCAPLUS
- (59) Weinstat-Saslow, D; FASEB 1994, V8, P401 HCAPLUS
- (60) Wolf, W; Nucl Med Biol 1986, V13, P319 HCAPLUS

IT 250614-38-1P 250614-39-2P

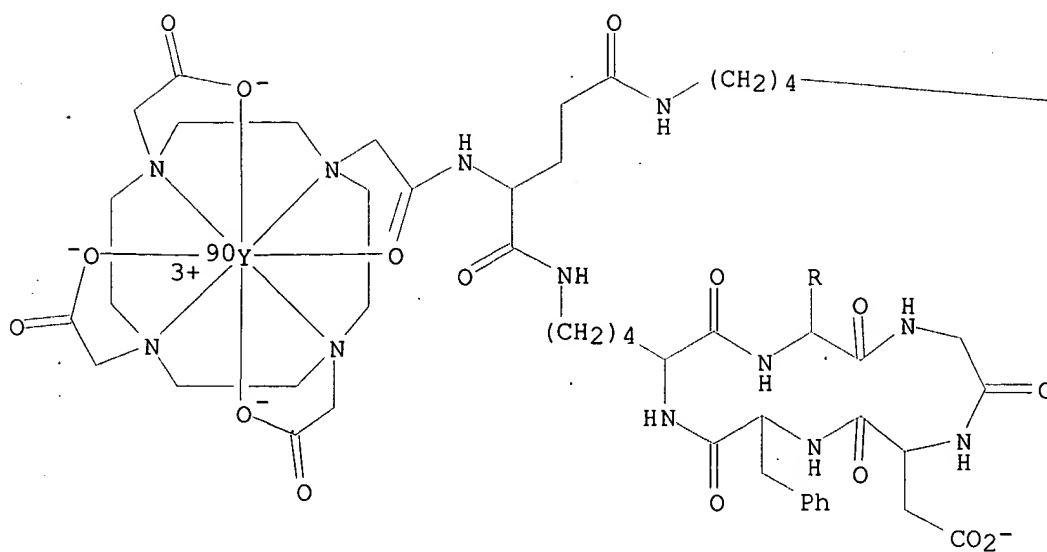
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(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)

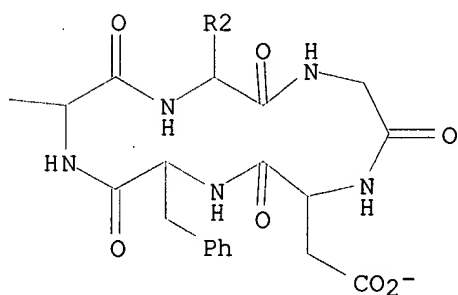
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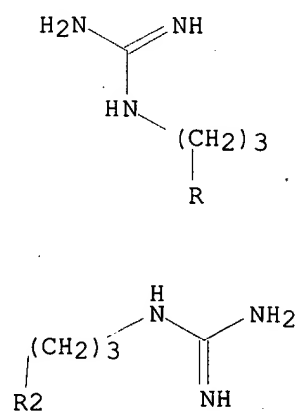
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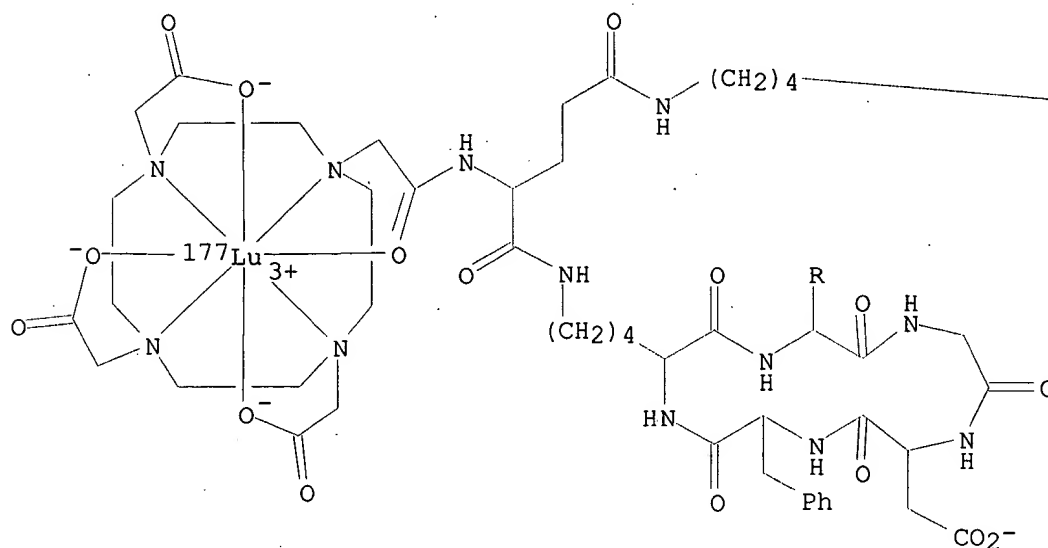
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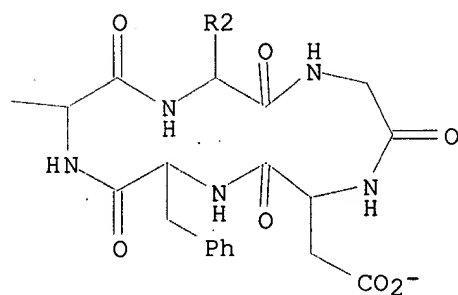
RN 250614-39-2 HCAPLUS

CN Lutetate(2-)-<sup>177</sup>Lu, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

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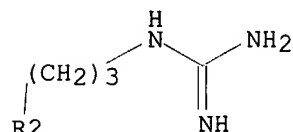
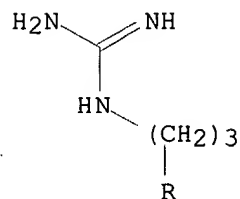


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PAGE 2-A

● 2 H<sup>+</sup>

IT 250612-06-7P 250612-81-8P

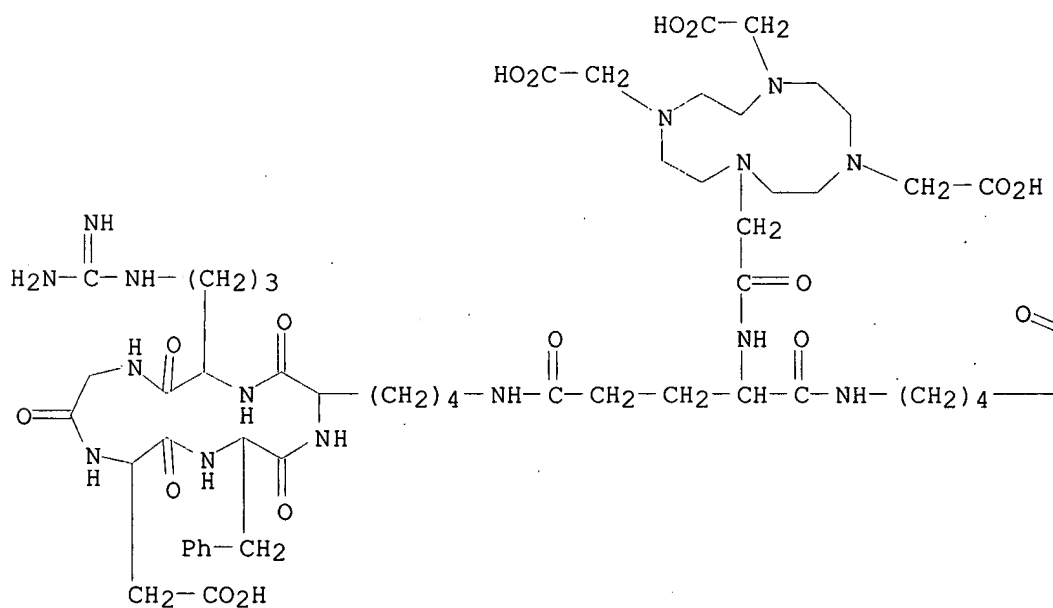
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(90Y and 177Lu labeling of DOTA-conjugated vitronectin receptor antagonist useful for tumor therapy)

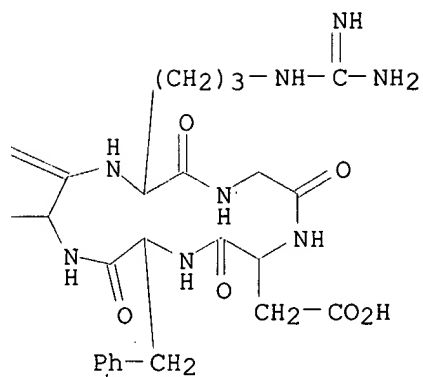
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PAGE 1-A



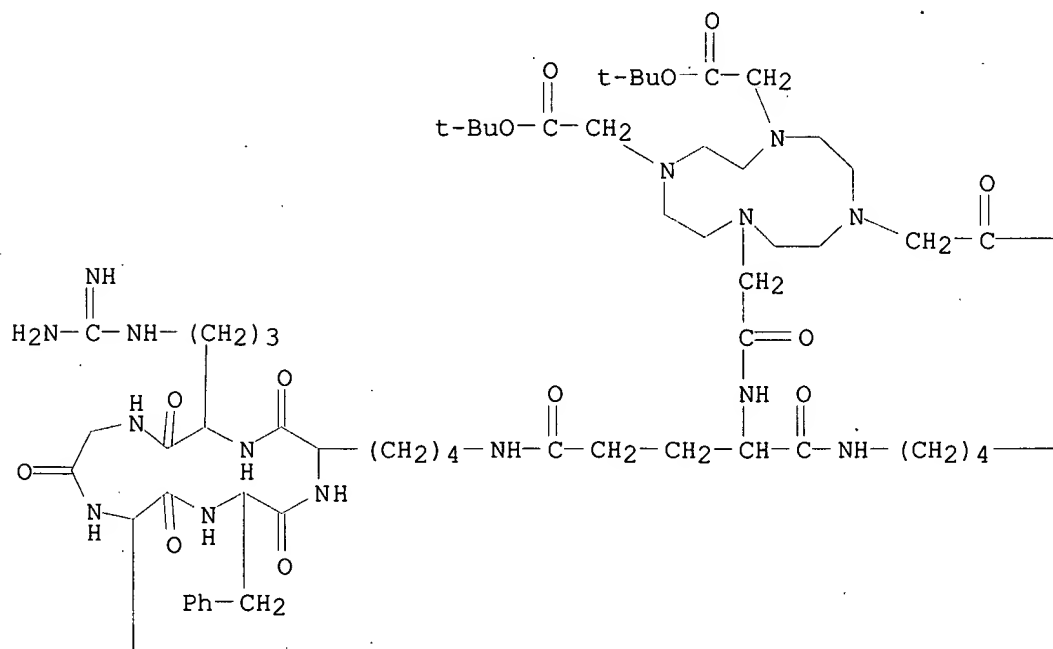
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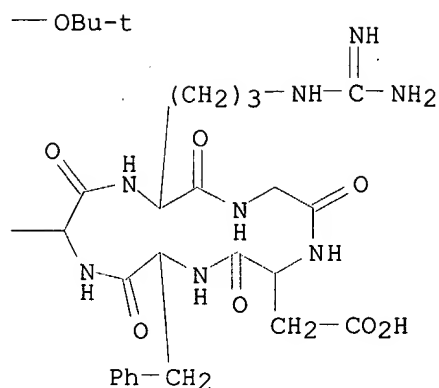
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CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
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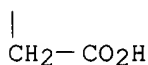
PAGE 1-A



PAGE 1-B



PAGE 2-A



L62 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2001:421740 HCAPLUS  
 DN 135:185318  
 TI Stabilization of 90Y-Labeled DOTA-Biomolecule Conjugates Using  
**Gentisic Acid** and Ascorbic Acid  
 AU **Liu, Shuang**; Edwards, D. Scott  
 CS Medical Imaging Division, **DuPont** Pharmaceuticals Company, North  
 Billerica, MA, 01862, USA  
 SO Bioconjugate Chemistry (2001), 12(4), 554-558  
 CODEN: BCCHES; ISSN: 1043-1802  
 PB American Chemical Society  
 DT Journal  
 LA English  
 CC 63-5 (Pharmaceuticals)  
 Section cross-reference(s): 34, 78  
 AB Radiolytic degrdn. of radiolabeled compds. is a major challenge for the  
 development of new therapeutic radiopharmaceuticals. The goal of this  
 study is to explore the factors influencing the soln. stability of a  
 90Y-labeled DOTA-peptide conjugate (**RP697**), including the amt.  
 of total activity, the activity concn., the stabilizer concn., and the  
 storage temp. In general, the rate of radiolytic decompn. of  
**RP697** is much slower at the lower activity concn. (<4 mCi/mL) than  
 that at the higher concn. (>10 mCi/mL). **RP697** remains relatively  
 stable at the 20 mCi level and room temp. while it decompn. rapidly at the  
 100 mCi level under the same storage conditions. Radical scavengers, such  
 as **gentisic acid** (GA) and ascorbic acid (AA), were  
 used in combination with the low temp. (-78 .degree.C) to prevent the  
 radiolytic decompn. of **RP697**. It was found that **RP697**  
 remains stable for at least 2 half-lives of 90Y when GA or AA (10 mg for

20 mCi of 90Y) is used as a stabilizer when the radiopharmaceutical compn. is stored at -78 .degree.C. The stabilizer (GA and AA) can be added into the formulation either before or after radiolabeling. The post-labeling approach is particularly useful when the use of a large amt. of the stabilizer interferes with the radiolabeling. The radiopharmaceutical compn. developed in this study can also apply to other 90Y-labeled DOTA-biomol. conjugates. The amt. of the stabilizer used in the radiopharmaceutical compn. and storage temp. should be adjusted according to the sensitivity of the radiolabeled DOTA-biomol. conjugate toward radiolytic decompn.

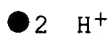
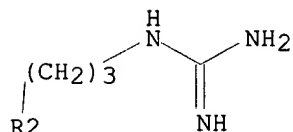
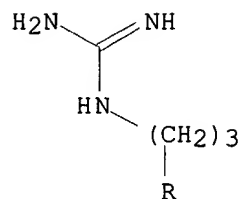
- ST DOTA peptide conjugate stabilization Y90 labeled; yttrium90 DOTA peptide conjugate stabilization; radiolysis Y90 DOTA peptide conjugate stabilization
- IT Radiolysis  
Stabilizing agents  
(stabilization of 90Y-labeled DOTA-biomol. conjugates using **gentisic acid** and ascorbic acid)
- IT **250614-38-1P**  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(stabilization of 90Y-labeled DOTA-biomol. conjugates using **gentisic acid** and ascorbic acid)
- IT 134-03-2, Sodium ascorbate 4955-90-2, Sodium gentisate  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stabilization of 90Y-labeled DOTA-biomol. conjugates using **gentisic acid** and ascorbic acid)
- IT 39271-65-3, yttrium 90 chloride **250612-06-7**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(stabilization of 90Y-labeled DOTA-biomol. conjugates using **gentisic acid** and ascorbic acid)

RE.CNT 30 . THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE

- (1) Ballinger, J; Eur J Nucl Med 1981, V6, P153 HCAPLUS
- (2) Barrett, J; Bioconjugate Chem 1996, V7, P203 HCAPLUS
- (3) Barrett, J; Bioconjugate Chem 1997, V8, P155 HCAPLUS
- (4) Chakrabarti, M; J Nucl Med 1996, V37, P1384 HCAPLUS
- (5) Cheesman, E; J Labelled Compd Radiopharm 1999, V42, PS164
- (6) Edwards, D; Bioconjugate Chem 1997, V8, P146 HCAPLUS
- (7) Edwards, D; Bioconjugate Chem 1999, V10, P803 HCAPLUS
- (8) Edwards, D; Bioconjugate Chem 1999, V10, P884 HCAPLUS
- (9) Edwards, D; Transition Met Chem (Dordrecht, Neth) 1997, V22, P425 HCAPLUS
- (10) Garrison, W; Chem Rev 1987, V87, P381 HCAPLUS
- (11) Harris, T; J Labelled Compd Radiopharm 1999, V42, PS576
- (12) Haubner, R; J Am Chem Soc 1996, V118, P7461 HCAPLUS
- (13) Heeg, M; Acc Chem Res 1999, V32, P1053 HCAPLUS
- (14) Knapp, F; Anticancer Res 1997, V17, P1783 HCAPLUS
- (15) Kulis, D; J Nucl Med 1998, V39, P2105
- (16) Liu, S; Bioconjugate Chem 1996, V7, P196 HCAPLUS
- (17) Liu, S; Bioconjugate Chem 1997, V8, P621 HCAPLUS
- (18) Liu, S; Bioconjugate Chem 1998, V9, P583 HCAPLUS
- (19) Liu, S; Bioconjugate Chem 1996, V7, P63 HCAPLUS
- (20) Liu, S; Bioconjugate Chem 2000, V11, P113 HCAPLUS
- (21) Liu, S; Bioconjugate Chem 2001, V12, P7 HCAPLUS
- (22) Liu, S; Bioconjugate Chem 2001, V12, Pxxx
- (23) Liu, S; Bioconjugate Chem (in press) 2001
- (24) Liu, S; Chem Rev 1999, V99, P2235 HCAPLUS
- (25) Liu, S; Inorg Chem 1999, V38, P1326 HCAPLUS
- (26) Rajopadhye, M; J Labelled Compd Radiopharm 1999, V42(Suppl), PS234
- (27) Rajopadhye, M; J Nucl Med, abstract #1141 2000, V41, P259P
- (28) Salako, Q; J Nucl Med 1998, V39, P667 HCAPLUS
- (29) Tofe, A; J Nucl Med 1980, V21, P366 HCAPLUS
- (30) Volkert, W; Chem Rev 1999, V99, P2269 HCAPLUS



PAGE 2-A



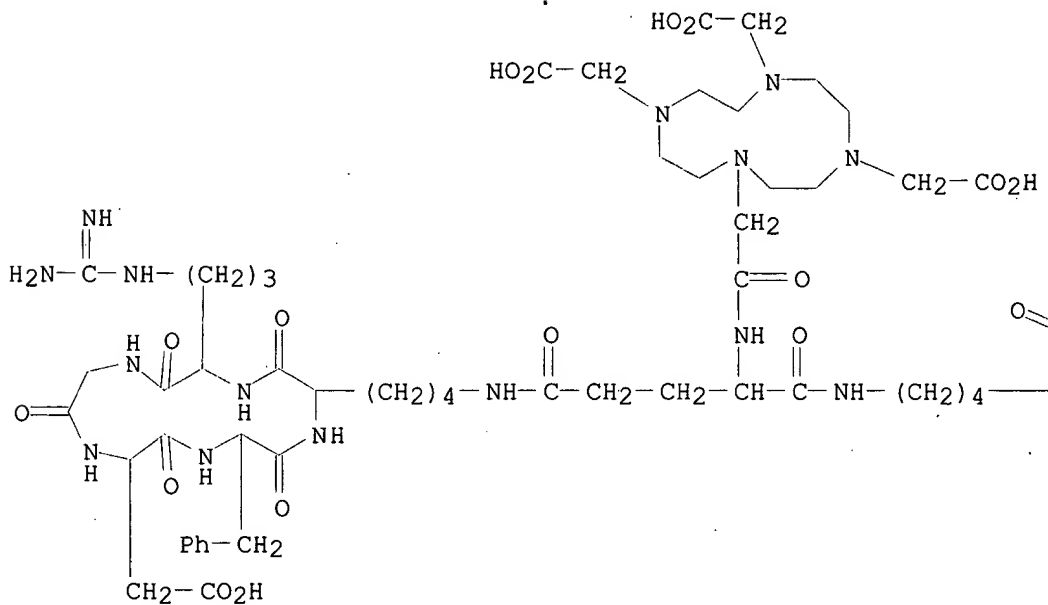
IT 250612-06-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(stabilization of 90Y-labeled DOTA-biomol. conjugates using  
**gentisic acid** and ascorbic acid)

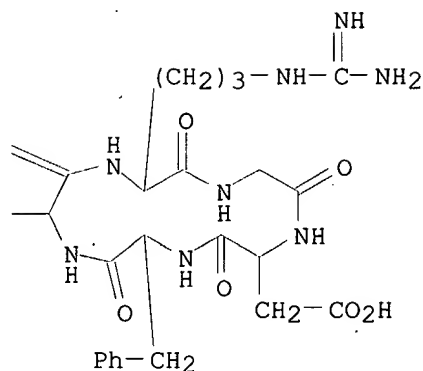
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CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

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L62 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2003 ACS

AN 1999:736515 HCAPLUS

DN 131:351678

TI Preparation of peptide derivatives for the imaging of angiogenic disorders  
 IN Rajopadhye, Miland; Edwards, D. Scott; Harris, Thomas D.; Heminway, Stuart J.; Liu, Shuang; Singh, Prahlad R.

PA Du Pont Pharmaceuticals Company, USA

SO PCT Int. Appl., 213 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K049-00

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 8; 78

FAN.CNT 7

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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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US 1998-112732P P 19981218  
 US 1998-112829P P 19981218  
 US 1998-112831P P 19981218  
 WO 1999-US6826 W 19990329  
 OS MARPAT 131:351678  
 AB Compds. (Q)d-Ln-Ch (Q is a peptide, d= 1-10, Ln is a linking group, Ch is a metal-bonding unit) were prepd. for use in the diagnosis and treatment of cancer, methods of imaging tumors in a patient, and methods of treating cancer in a patient. The present invention also provides novel compds. useful for monitoring therapeutic angiogenesis treatment and destruction of new angiogenic vasculature. Thus, cyclo{Arg-Gly-Asp-D-Tyr(N-[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid]-3-aminopropyl)-Val} was prepd. by acylation of cyclo{Arg-Gly-Asp-D-Tyr(3-aminopropyl)-Val} with 2-[[[5-[[2,5-dioxo-1-pyrrolidinyl]oxy]carbonyl]-2-pyridinyl]hydrazono]methyl]benzenesulfonic acid monosodium salt and converted into radiopharmaceutical <sup>99m</sup>Tc(VnA) (tricine) (phosphine), where VnA represents the vitronectin receptor antagonist.  
 ST cyclic peptide radiolabeled prepn imaging angiogenic disorder  
 IT Imaging  
 (NMR; prepn. of peptide derivs. for the imaging of angiogenic disorders)  
 IT Peptides, preparation  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (cyclic; prepn. of peptide derivs. for the imaging of angiogenic disorders)  
 IT Blood vessel  
 (formation; prepn. of peptide derivs. for the imaging of angiogenic disorders)  
 IT Angiogenesis  
 Antitumor agents  
 Imaging  
 Rheumatoid arthritis  
 Scintigraphy  
 Tomography  
 (prepn. of peptide derivs. for the imaging of angiogenic disorders)  
 IT Receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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 complexes 14133-76-7DP, cyclopeptide tricine triazole complexes,  
 preparation 250611-72-4DP, technetium-99m tricine triazole complex  
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 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

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(prepn. of peptide derivs. for the imaging of angiogenic disorders)

IT 250612-82-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

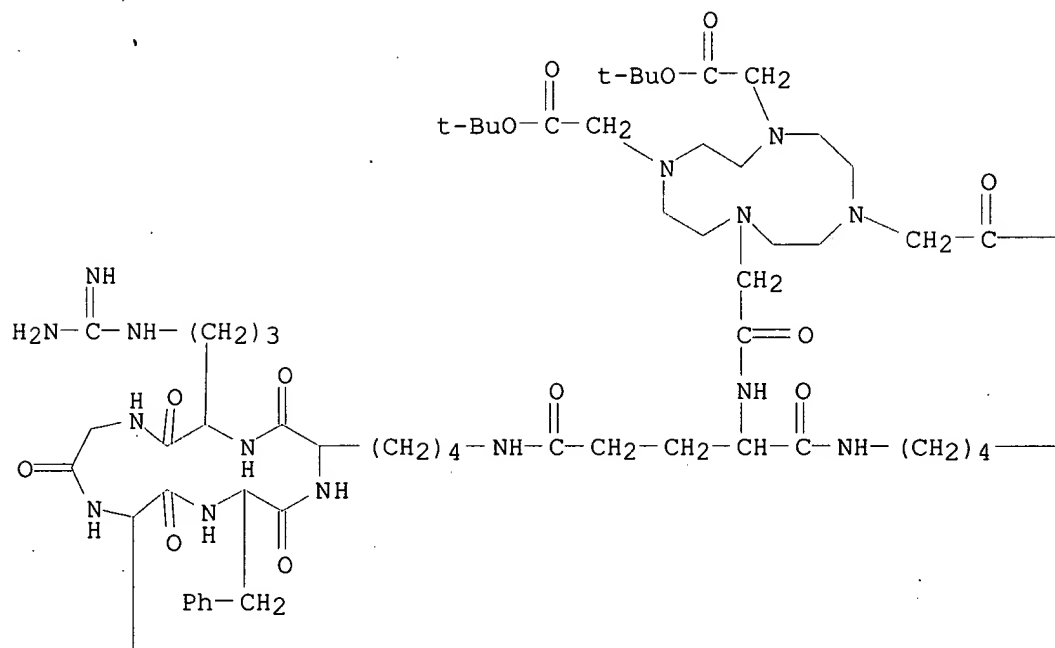
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

RN 250612-82-9 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris[2-(1,1-dimethylethoxy)-2-oxoethyl]-1,4,7,10-  
 tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate)  
 (9CI) (CA INDEX NAME)

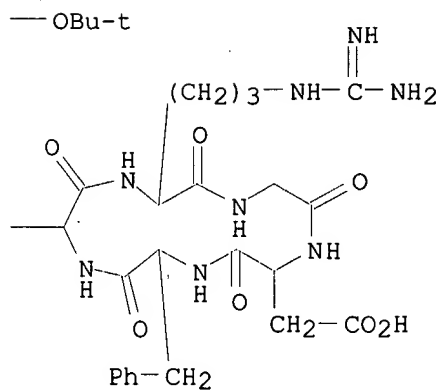
CM 1

CRN 250612-81-8  
 CMF C87 H137 N23 O23

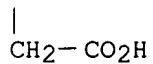
PAGE 1-A



PAGE 1-B



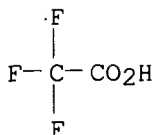
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 250612-06-7P 250612-07-8P

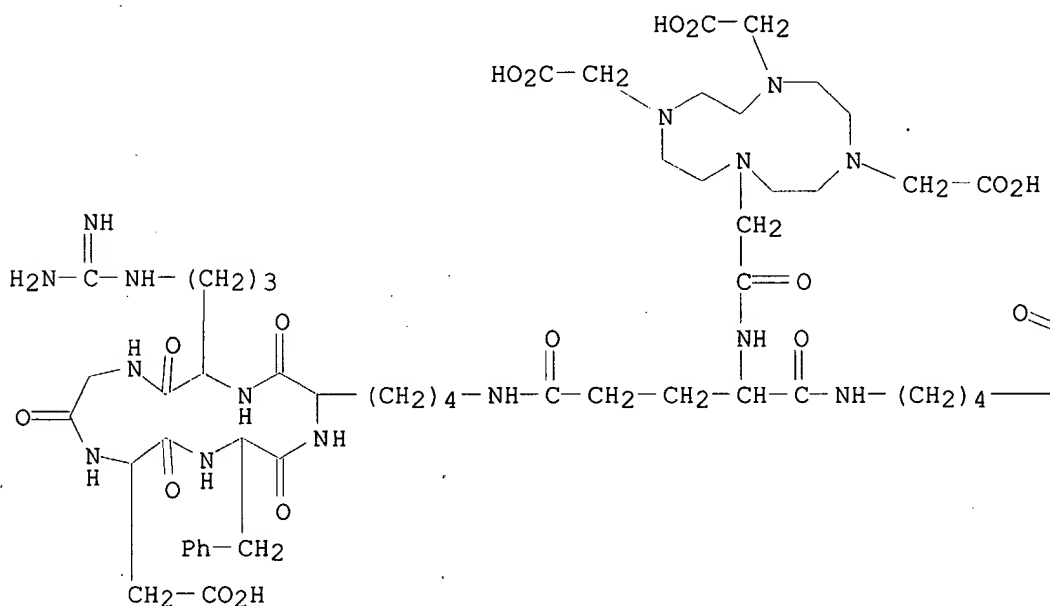
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)

(prepn. of peptide derivs. for the imaging of angiogenic disorders)

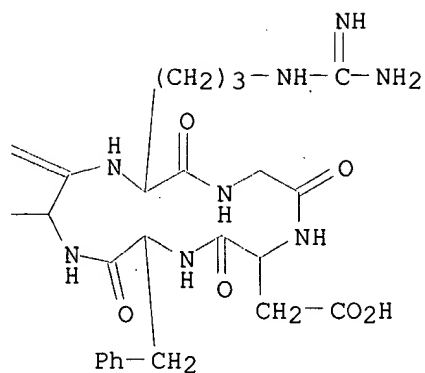
RN 250612-06-7 HCAPLUS

CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis- (9CI) (CA INDEX NAME)

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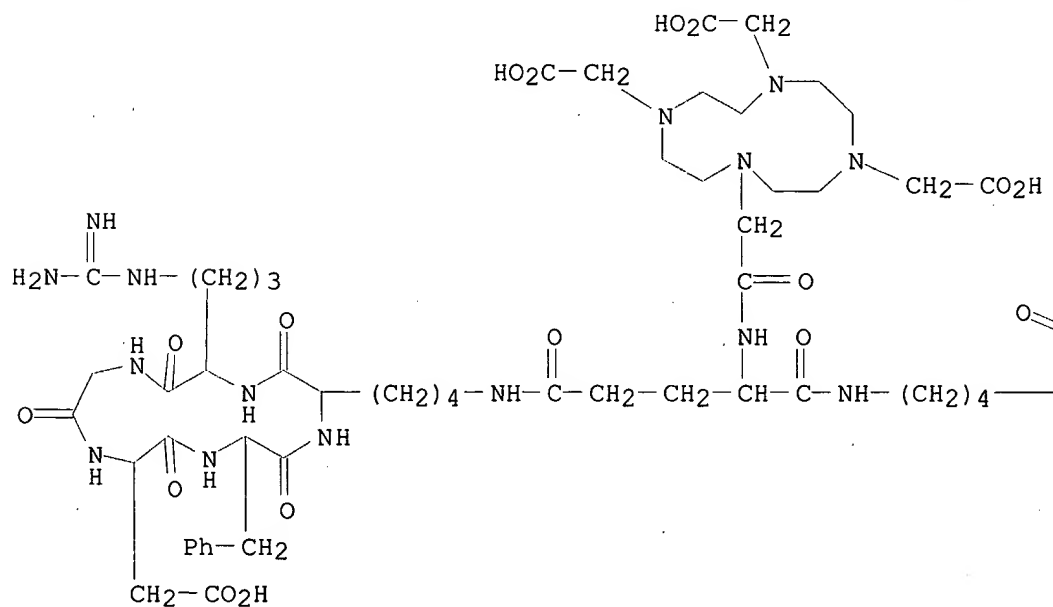


RN 250612-07-8 HCAPLUS  
 CN Cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysyl),  
 5,5'-[N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-glutamoyl]bis-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

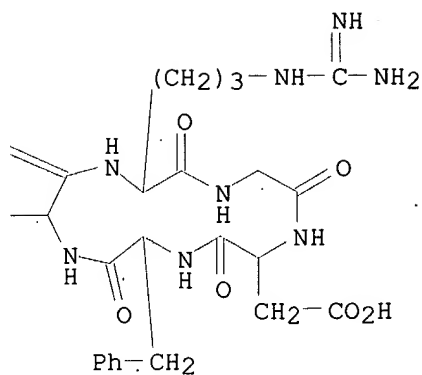
CM 1

CRN 250612-06-7  
 CMF C75 H113 N23 O23

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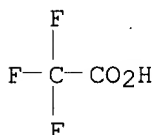
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



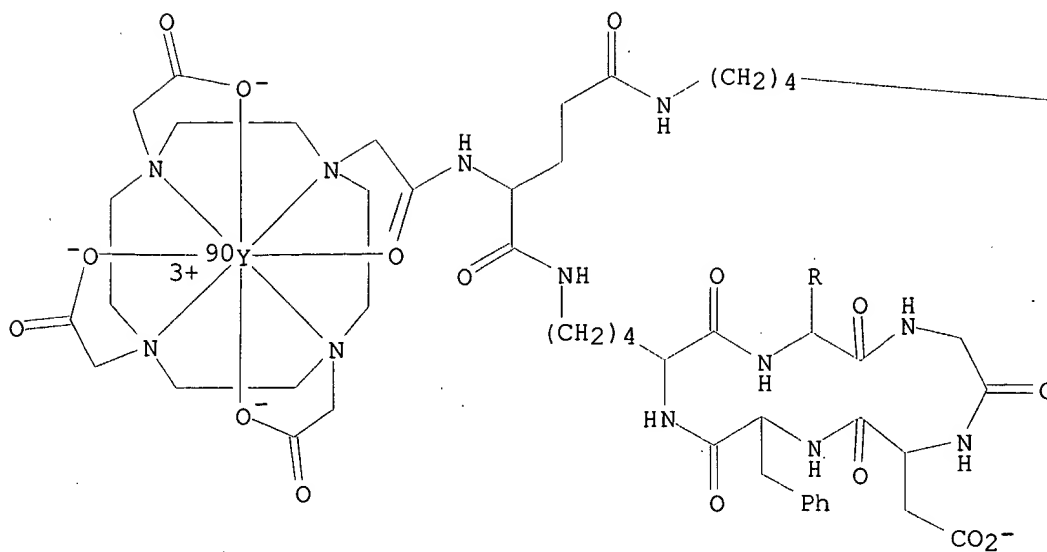
IT 250614-38-1P 250614-39-2P 250614-40-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptide derivs. for the imaging of angiogenic disorders)

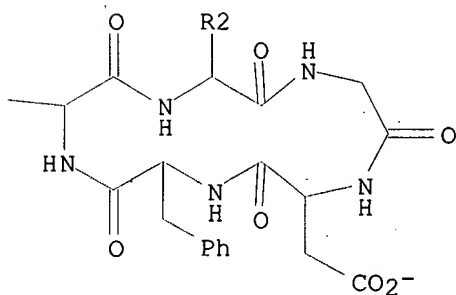
RN 250614-38-1 HCAPLUS

CN Yttrate(2-)-90Y, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

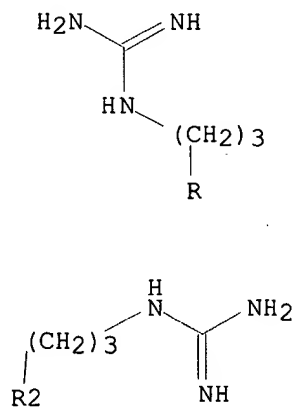
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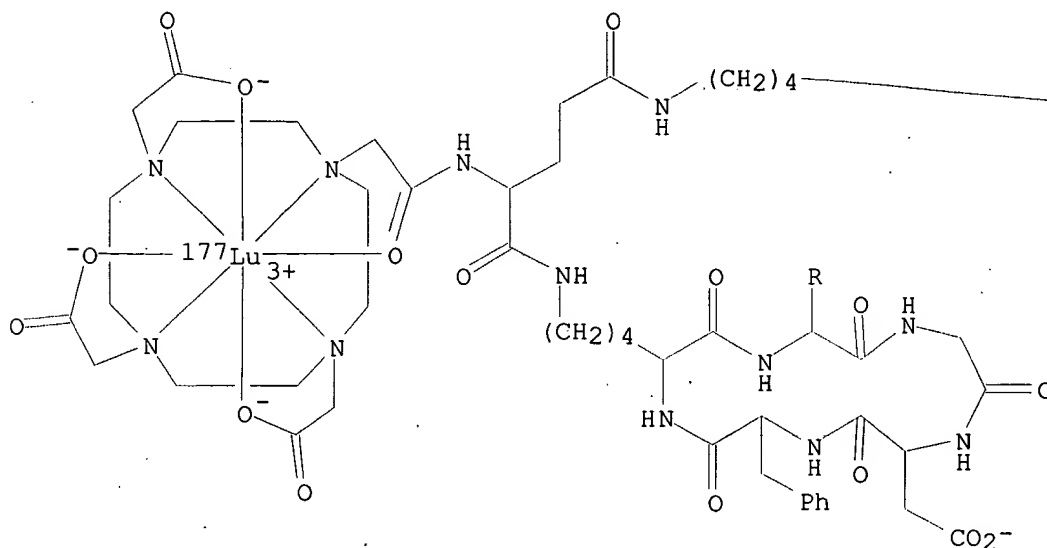
PAGE 2-A



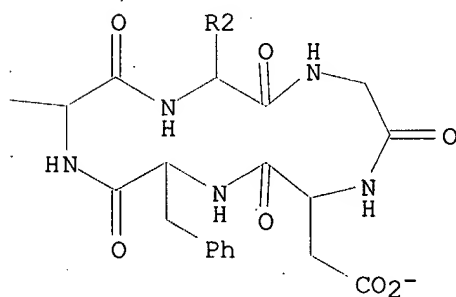
RN 250614-39-2 HCAPLUS

CN Lutetate(2-)-<sup>177</sup>Lu, [[5,5'-(N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl-.kappa.O]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

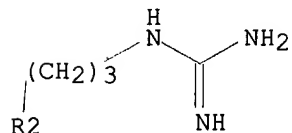
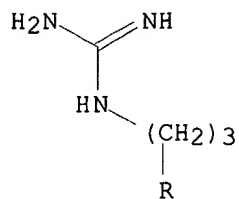
PAGE 1-A



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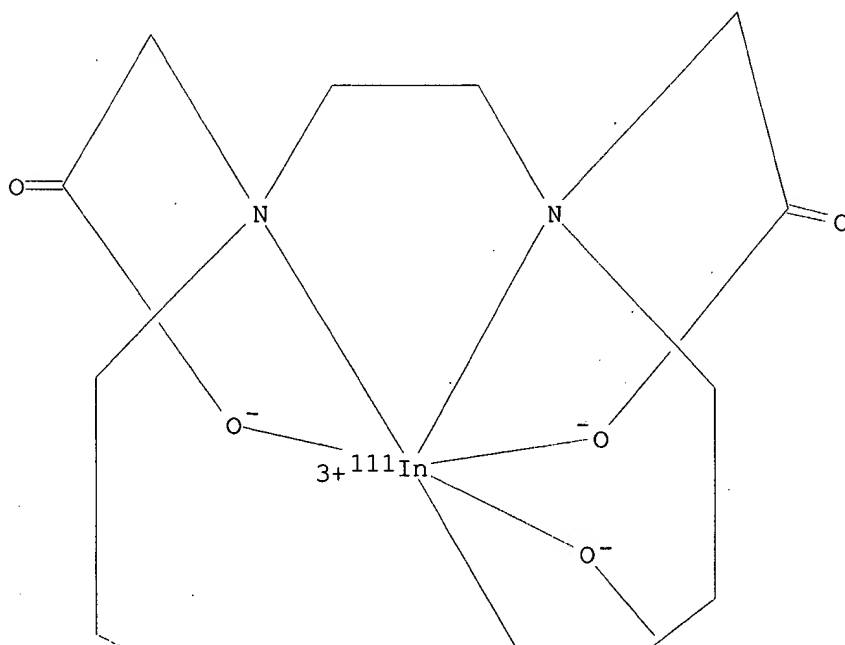


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● 2 H<sup>+</sup>

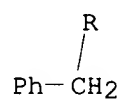
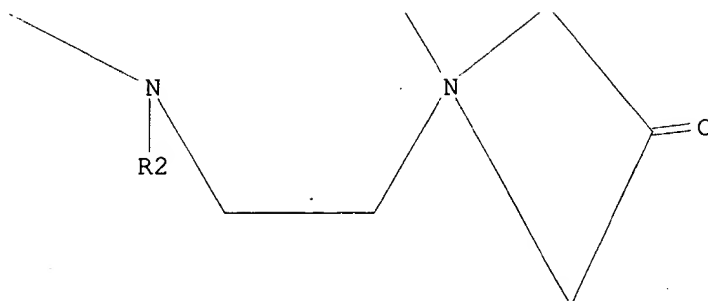
RN 250614-40-5 HCAPLUS  
 CN Indate(2-)-<sup>111</sup>In, [[5,5'-[N-[[4,7,10-tris[(carboxy-.kappa.O)methyl]-1,4,7,10-tetraazacyclododec-1-yl-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10]acetyl]-L-glutamoyl]bis[cyclo(L-arginylglycyl-L-.alpha.-aspartyl-D-phenylalanyl-L-lysylato)]](5-)]-, dihydrogen (9CI) (CA INDEX NAME)

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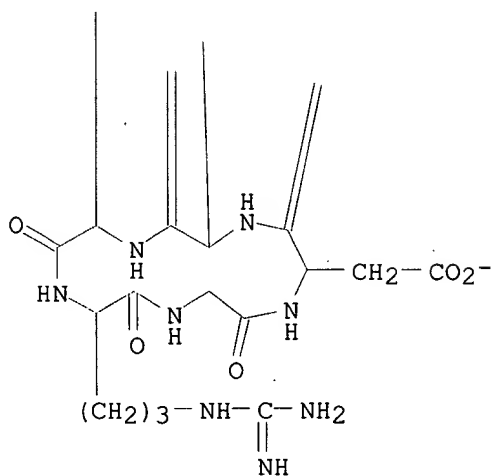


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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

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2 H<sup>+</sup>

=&gt; d his

(FILE 'HOME' ENTERED AT 06:55:24 ON 04 JUN 2003)

## SET COST OFF

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L1 1 S E3  
E WO2001-US21261/AP, PRN  
L2 1 S E3, E4  
E US2000-216396/AP, PRN  
L3 1 S E5  
L4 1 S L1-L3  
L5 1653 S GENTISIC ACID  
L6 549 S TRIHYDROXYBENZOIC ACID  
L7 30 S TRIHYDROXY BENZOIC ACID  
L8 1 S TRI HYDROXY BENZOIC ACID  
L9 6 S TRI HYDROXYBENZOIC ACID  
L10 1 S L4 AND L5-L9  
SEL RN

FILE 'REGISTRY' ENTERED AT 06:58:56 ON 04 JUN 2003

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L12 11 S L11 AND SQL/FA  
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L14 1 S 490-79-9  
L15 23 S L13 AND 46.150.18/RID AND 1/NR  
L16 2 S L15 AND C7H6O5  
L17 13 S C7H6O5/MF AND 46.150.18/RID  
L18 8 S L17 NOT (14C# OR D/ELS OR ALDEHYDE)  
L19 8 S L16, L18  
L20 46 S C7H6O4/MF AND 46.150.18/RID  
L21 23 S L20 AND BENZOIC ACID  
L22 14 S L21 NOT RIS/CI  
L23 9 S L22 NOT (17O OR HYDROPEROXY)  
L24 9 S L14, L23  
L25 5 S L13 AND NC2NC2NC2NC2/ES  
L26 122 S L13 NOT L14-L25  
L27 35 S L26 AND N>=4  
L28 1 S L12 AND Y/ELS  
L29 1 S L12 AND C75H113N23O23  
L30 1 S 250612-06-7  
L31 1 S 250612-06-7/CRN  
L32 3 S L28-L31  
L33 9 S L12 NOT L32  
L34 1 S L33 AND NC2NC2NC2NC2/ES  
L35 4 S L32, L34  
L36 8 S L33 NOT L35  
L37 1 S 10098-91-6  
L38 125 S Y/MF  
L39 84 S L38 AND ISOTOPE  
L40 41 S L38 NOT L39  
E KRGDF/SQEP  
L41 138 S E3  
L42 42 S L41 AND MULTICHAIN/NTE  
L43 28 S L42 AND 10/SQL  
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L45 7 S L35, L44

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L48 2 S L47 AND L37  
L49 2 S L47 AND L39, L40

L50 1 S L47 AND L24  
L51 4 S L47 AND (LIU ? OR BARRETT ? OR CARPENTER ?) /AU  
L52 14 S L47-L51

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L55 1 S L53 AND L24  
L56 2 S L5-L9 AND L53  
L57 8 S L53 AND (LIU ? OR BARRETT ? OR CARPENTER ?) /AU  
L58 7 S L53 AND (BRISTOL? OR MYER? OR MEYER? OR SQUIB? OR DUPONT? OR  
L59 8 S L53-L58

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FILE 'USPATFULL, USPAT2' ENTERED AT 07:29:35 ON 04 JUN 2003

L60 0 S RP697 OR RP 697

FILE 'HCAPLUS' ENTERED AT 07:38:31 ON 04 JUN 2003

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L62 8 S L59,L61